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A61K 031/35	C07D 493/08
A61K 031/38	C07D 495/08

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(56) Related Art

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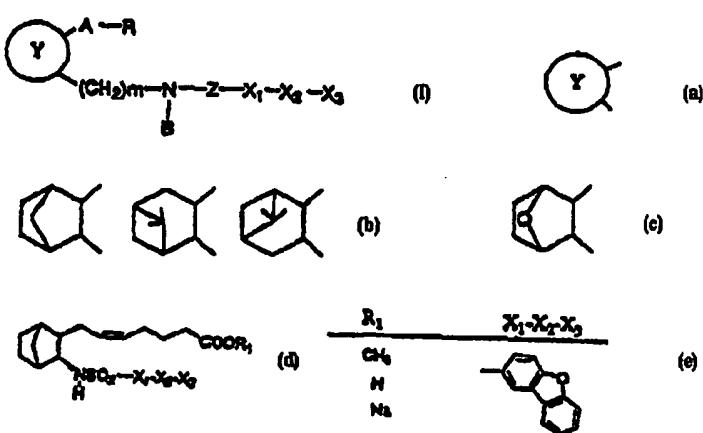
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(54) Title: BICYCLIC AMINO DERIVATIVES AND PGD₂ ANTAGONIST CONTAINING THE SAME

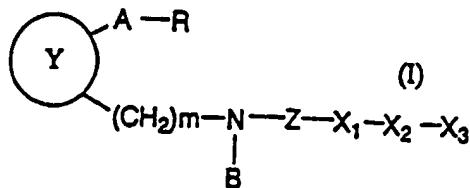
(54) 発明の名称 ビシクロ環系アミノ誘導体およびそれを含有するPGD2拮抗剤



(57) Abstract

Compounds of general formula (I), salts thereof or hydrates thereof wherein (a) represents (b) or (c), for example, the compounds (d) and (e), which are useful as a PGD₂ antagonist and thus usable in, for example, a remedy for systemic mastocytosis or systemic mast cell activation disorders, a drug for bronchoconstriction, an antiasthmatic, a drug for allergic rhinitis agent, a drug for allergic conjunctivitis, a drug for urticaria, a remedy for ischemia reflow disorders or an antiinflammatory agent. It is particularly useful in the treatment of nasal occlusion.

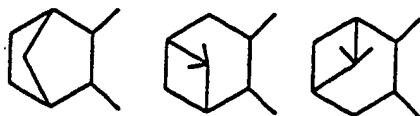
式 (I) :



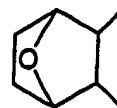
(式中、



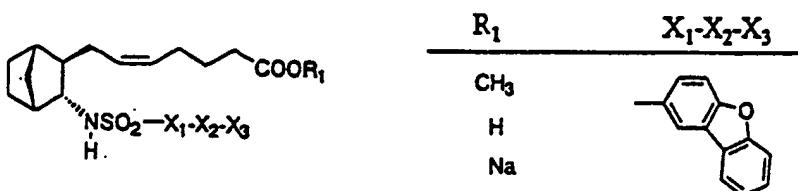
は、



または



を表し、一例として、



である化合物またはその塩もしくは水和物は、PGD₂拮抗剤として有用であり、例えば全身性肥満細胞症や全身性肥満細胞活性化障害の治療剤、抗気管収縮剤、抗喘息剤、抗アレルギー性鼻炎剤、抗アレルギー性結膜炎剤、抗荨麻疹剤、虚血再灌流傷害治療薬、抗炎症剤として用いることができる。特に鼻閉症の治療に有用である。

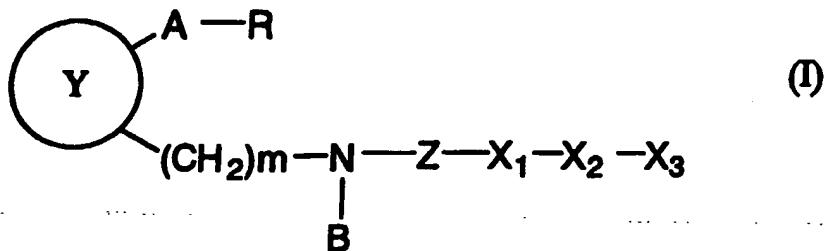
情報としての用途のみ

PCTに基づいて公開される国際出願をパンフレット第一頁にPCT加盟国を同定するために使用されるコード

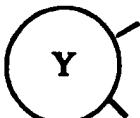
AL	アルベニア	DE	ドイツ	LI	リヒテンシュタイン	PL	ポーランド
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BJ	ベナン	GR	ギリシャ	MG	マダガスカル	SZ	スウェーデン
BR	ブラジル	HU	ハンガリー	MK	マケドニア旧ユーゴスラ	TD	チャド
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ABSTRACT

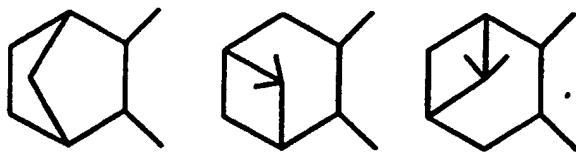
A compound of the formula (I):



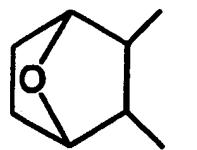
5 wherein



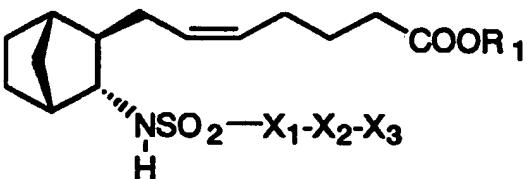
is



or



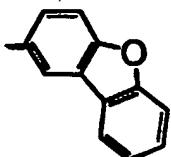
for example, a compound below:



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wherein

R1 is CH3, H or Na; and X1-X2-X3 is



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or its salt or a hydrate thereof is useful as PGD2 antagonist

and can be used as a drug for treating diseases in which mast

cell dysfunction is involved, for example, systemic

mastocytosis and disorder of systemic mast cell activation, and

DESCRIPTION

BICYCLIC AMINO DERIVATIVES AND PGD₂ ANTAGONIST CONTAINING THEM

5 FIELD OF THE INVENTION

The present invention relates to bicyclic amino derivatives and prostaglandin D₂ (hereinafter, referred to as PGD₂) antagonist containing them.

10 BACKGROUND OF THE INVENTION

Some of bicyclic amino derivatives of the present invention have known to be useful as thromboxane A₂ (TXA₂) antagonists (Japanese Patent Publication (KOKOKU) No. 79060/1993). However, the Japanese Patent Publication (KOKOKU) No. 79060/1993 only 15 describes that the compounds are useful as TXA₂ antagonist, and does not suggest the usefulness thereof as PGD₂ antagonist as disclosed by the present invention.

Namely, the TXA₂ is known to have activities such as action against platelet agglutination, thrombogenesis, etc. The TXA₂

also tracheal contraction, asthma, allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and as an anti-inflammatory agent. It is particularly useful in the treatment of nasal occlusion.

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3530

inflammation.

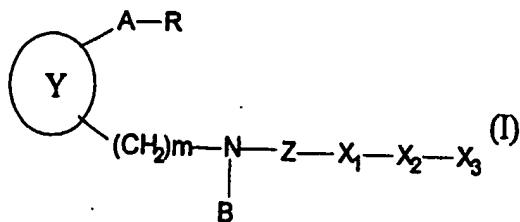
As is apparent from the above, the TXA₂ antagonist and the PGD₂ antagonist are completely different from each other in terms of the active site, mechanism of action, and application, and have quite different characteristics. Accordingly, it has never been expected that any compound could possess these activities simultaneously.

PGD₂ is produced through PGG₂ and PGH₂ from arachidonic acid by the action of cyclooxygenase activated by immunological or unimmunological stimulation and is the major prostanoid that is produced and released from mast cells. PGD₂ has various potent physiological and pathological activities. For example, PGD₂ can cause strong tracheal contraction, which leads to bronchial asthma, and, in a systemic allergic state, it can dilate the peripheral vessels, which leads to an anaphylactic shock. Especially, much attention has been paid on the idea that PGD₂ is one of the causal substances responsible to the onset of nasal occlusion in the allergic rhinitis. Therefore, it has been proposed to develop an inhibitor against the biosynthesis of PGD₂ or an antagonist of PGD₂.

and biochemically stable.

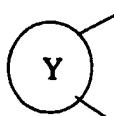
Accordingly, the present invention provides a compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:

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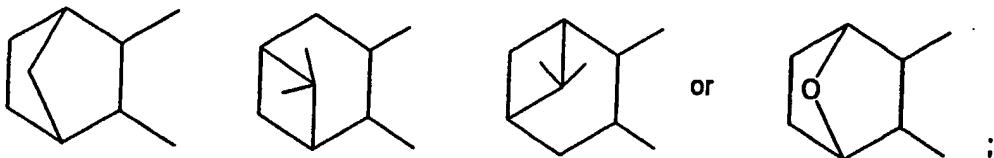
wherein

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is

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A is alkylene which optionally:

(i) is intervened by hetero atom or phenylene,

(ii) contains a carbonyl group, and/or

20 (iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR₁, CH₂OR₂, or CON(R₃)R₄;

R₁ is hydrogen or alkyl;

25 R₂ is hydrogen or alkyl;

R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

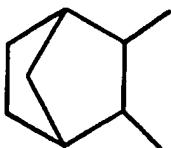
X₁ is a single bond, phenylene, naphtylene, thiophenediyl, indolediyl, or oxazolediyl;

30 X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -



$(CH_2)_n$ -, ethynylene, $-N(R_5)-$, $-N(R_{51})CO-$, $-N(R_{52})SO_2-$, $-$
 $N(R_{53})CON(R_{54})-$, $-CON(R_{55})-$, $-SO_2N(R_{56})-$, $-O-$, $-S-$, $-SO-$, $-SO_2-$, $-CO-$
, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

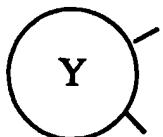
X , is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group,
5 cycloalkyl, cycloalkenyl, thiazolinylidenemethyl,
thiazolidinylidenemethyl, $-CH=NR_6$ or $-N=C(R_7)R_8$;
 R_5 , R_{51} , R_{52} , R_{53} , R_{54} , R_{55} and R_{56} each are hydrogen or alkyl;
 R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy,
thiocarbamoyloxy, ureido or thioureido;
10 R_7 and R_8 each are independently alkyl, alkoxy or aryl;
 n is 1 or 2;
 Z is $-SO_2-$ or $-CO-$; and
 m is 0 or 1;
15 wherein a cyclic substituent may has one to three substituents
selected from the group consisting of nitro, alkoxy, sulfamoyl,
substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy,
halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl,
aryloxy carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl,
20 trifluoromethyl, alkylthio, $-N=PPh_3$, oxo, thioxo, hydroxyimino,
alkoxyimino, phenyl, and CH_3 .



;

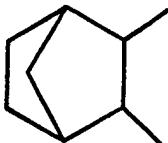
m is 0; and when Z is SO_2 , both X_1 and X_2 are a single bond; X_3 is alkyl, phenyl, naphthyl, styryl, quinolyl or thienyl; and a cyclic substituent among these substituents optionally has one to three substituents selected from a group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or its salt or hydrate thereof.

Similarly, specific examples include a compound of the formula (I) wherein



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is

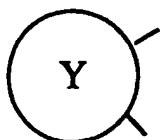


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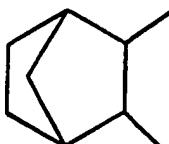
when m is 1, both X_1 and X_2 are a single bond; and X_3 is phenyl optionally substituted with halogen, or its salt or hydrate thereof.

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Similarly, specific examples include a compound of the formula (I) wherein



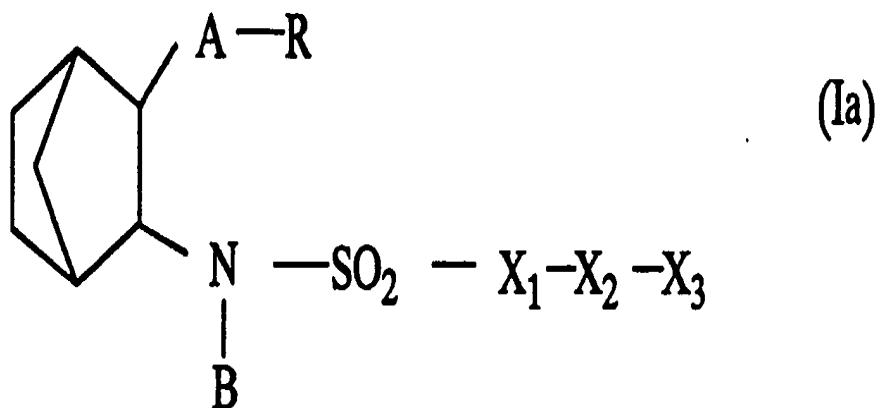
is



;

when m is 1, X_1 is phenyl, X_2 is $-\text{CH}_2-$ or $-\text{N}=\text{N}-$ and X_3 is phenyl, or its salt or hydrate thereof.

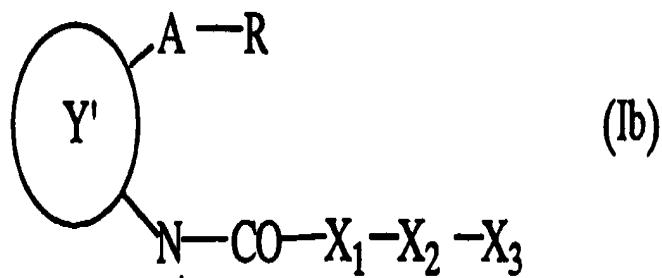
Similarly, examples of compounds of the formula (I) include those of the formula (Ia):



5

wherein A , B , R , X_1 , X_2 , and X_3 , are as defined above, or its salt or hydrate thereof, provided that those wherein (1) X_1 and X_2 are a single bond, and X_3 is substituted- or unsubstituted-phenyl, or naphthyl; and (2) A is 5-heptenylene, R is COOR_1 (R_1 is hydrogen or methyl), X_1 is 1,4-phenylene, X_2 is a single bond, and X_3 is phenyl are excluded.

Similarly, examples of compounds of the formula (I) include those of the formula (Ib):



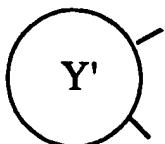
A, B, R, X₁, X₂ and X₃ are as defined above, or its salt or hydrate thereof, provided that those wherein X₁ and X₂ are a single bond, and X₃ is phenyl, and wherein X₁ is a single bond, X₂ is -O-, and X₃ is benzyl are excluded.

5 More specifically, examples of compounds of the formula (I) include those of the formula (Ia) wherein X₁ and X₂ are a single bond, X₃ is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxinyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, 10 dibenzoxepinyl, dibenzothiepinyl, cinnolyl, chromenyl, benzimidazolyl or dihydrobenzothiepinyl, or its salt or hydrate thereof.

Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein X₁ is a single bond, X₂ is phenylene, X₃ is alkenyl, alkynyl, -CH=NR₆ or -N=C(R₇)R₈, or its salt or hydrate thereof.

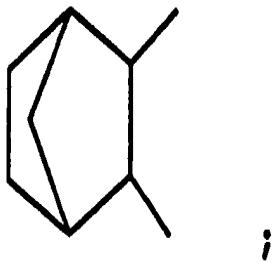
Similarly, examples of compounds of the formula (I) include those of the formula (Ia) wherein R is COOR₁, X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=N-, -CH=CH-, -CONH-, -20 NHCO- or ethynylene and X₃ is phenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl or thienyl, or its salt or hydrate thereof.

More specifically, examples of the compound (I) of the present invention include those of the formula (Ib) wherein



25 is

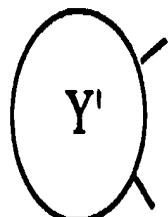




or its salt or hydrate thereof. Examples of more preferred compounds include those of the formula (Ib) wherein R is COOR₁ (R₁ is as defined above) or its salt or hydrate thereof.

5 Similarly, examples of compound (I) include those of the formula (Ib) wherein X₁ is phenylene or thiophenediyl, X₂ is a single bond, -N=N-, -CH=CH-, ethynylene, -O-, -S-, -CO-, -CON(R₅₅)- (R₅₅ is as defined above), -N(R₅₁)CO- (R₅₁ is as defined above) and X₃ is phenyl, or its salt or hydrate thereof.

10 More specifically, examples of compound (I) include those of the formula (Ib) wherein



is



The compounds of the general formula (Ia) and (Ib) are novel compounds synthesized by the present inventors.

The terms used throughout the present specification are as defined below.

5 The term "alkylene" means C₁ - C_n, straight or branched chain alkylene, for example, methylene, methylmethylene, dimethylmethylene, methylethylmethylene, ethylene, trimethylene, tetramethylene, pentamethylene, hexamethylene, heptamethylene, octamethylene, nonamethylene, or the like. The alkylene above can
10 be intervened by a hetero atom(s) (oxygen, sulfur, nitrogen atom, or the like) or phenylene (e.g., 1,4-phenylene, 1,3-phenylene, 1,2-phenylene, or the like), contain an oxo group, and/or have one or more double- or triple-bonds at any positions on the chain. Examples include -(CH₂)₂-O-CH₂-, -(CH₂)₂-O-(CH₂)₂-, -(CH₂)₂-O-(CH₂)₃-,
15 -(CH₂)₂-O-(CH₂)₄-, -(CH₂)₂-O-(CH₂)₅-, -(CH₂)₂-O-(CH₂)₆-, -(CH₂)₂-S-
 (CH₂)₂-, -(CH₂)₃-S-(CH₂)₂-, -CH₂-S-CH₂-, -CH₂-S-(CH₂)₄-, -CH₂-N(CH₃)-
 CH₂-, -CH₂-NH-(CH₂)₂-, -(CH₂)₂-N(CH₂CH₃)-(CH₂)₃-, -(CH₂)₂-1,4-
 phenylene-CH₂-, -(CH₂)₂-O-1,3-phenylene-CH₂-, -(CH₂)₂-O-1,2-
 phenylene-CH₂-, -(CH₂)₂-O-1,4-phenylene-CH₂-, -CH=CH-S-CH₂-1,4-
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 3-oxopentylene, 5-oxohexylene, vinylene, 1-propenylene, 2-
 propenylene, 1-butenylene, 2-butenylene, 3-butenylene, 1, 2-
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 1,4-hexadienylene, 1,5-hexadienylene, 2,3-hexadienylene, 2,4-
 hexadienylene 2,5-hexadienylene, 3,4-hexadienylene, 3,5-



hexadienyl n, 4,5-hexadienylen, 1,1-dimethyl-4-hexenylen, 1-heptenylene, 2-heptenylene, 3-heptenylene, 4-heptenylene, 5-heptenylene, 2,2-dimethyl-5-heptenylene, 6-heptenylene, 1,2-heptadienylene, 1,3-heptadienylene, 1,4-heptadienylene, 1,5-heptadienylene, 1,6-heptadienylene, 2,3-heptadienylene, 2,4-heptadienylene, 2,5-heptadienylene, 2,6-heptadienylene, 3,4-heptadienylene, 3,5-heptadienylene, 3, 6-heptadienylene, 4,5-heptadienylene, 4,6-heptadienylene or 5,6-heptadienylene, 1-propynylene, 3-butynylene, 2-pentynylene, 5-hexynylene, 6-heptynylene, -(CH₂)-CH=CH-O-(CH₂)₂-, -CH₂-S-(CH₂)₃-, -CH₂-cis-CH=CH-1,2-phenylene-CH₂-, -CH=CH-1,4-phenylene-(CH₂)₂-, -4-oxo-4,5-hexenylene-, and the like.

The term "alkyl" means C₁ - C₂₀ straight or branched chain alkyl, for example, methyl, ethyl, n-propyl, i-propyl, n-butyl, i-butyl, s-butyl, t-butyl, n-pentyl, i-pentyl, neopentyl, t-pentyl, hexyl, heptyl, octyl, nonyl, decyl, undecyl, dodecyl, tridecyl, tetradecyl, pentadecyl, hexadecyl, heptadecyl, octadecyl, nonadecyl, icosyl, and the like.

The term "aryl" means C₆ - C₁₄ monocyclic or condensed ring, for example, phenyl, naphthyl (e.g., 1-naphthyl, 2-naphthyl), anthryl (e.g., 1-anthryl, 2-anthryl, 9-anthryl), phenanthryl (e.g., 2-phenanthryl, 3-phenanthryl, 9-phenanthryl), fluorenyl (e.g., 2-fluorenyl), and the like. Phenyl is especially preferred.

The term "aralkyl" means a group formed by substituting an alkyl as defined above with an aryl above at any substitutable positions on the alkyl. Examples include benzyl, phenethyl, phenylpropyl (e.g., 3-phenylpropyl), naphtylmethyl (e.g., α-naphtylmethyl), anthrylmethyl (e.g., 9-anthrylmethyl),



phenanthrylmethyl (e.g., 3-phenanthrylmethyl), and the like.

The term "acyl" means C₁ - C_n, acyl derived from aliphatic carboxylic acid, for example, formyl, acetyl, propionyl, butyryl, valeryl, and the like.

5 The term "alkylsulfonyl" means a group formed by substituting a sulfonyl with an alkyl above, for example, methylsulfonyl, ethylsulfonyl, propylsulfonyl, and the like.

10 The term "alkenyl" is C₂ - C₂₀ straight or branched chain alkenyl, which corresponds to an alkyl above containing one or more double bonds. Examples include vinyl, 1-propenyl, 2-propenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1,2-butadienyl, 1-pentenyl, 1,2-pentadienyl, 2-hexenyl, 1,2-hexadienyl, 3-heptenyl, 1,5-heptadienyl, and the like.

15 The term "alkynyl" is C₂ - C₂₀ straight or branched chain, alkynyl, which corresponds to an alkyl above containing one or more triple bonds. Examples include ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl, and the like.

20 The term "heterocyclic group" means 5 - 7 membered cyclic group containing one or more hetero atoms selected independently from the group consisting of oxygen, sulfur and/or nitrogen atom on the ring, and is optionally condensed with a carbon ring or other heterocyclic group at any substitutable positions. Examples include pyrrolyl (e.g., 1-pyrrolyl, 3-pyrrolyl), indolyl (e.g., 2-indolyl, 3-indolyl, 6-indolyl), carbazolyl (e.g., 2-carbazolyl, 25 3-carbazolyl), imidazolyl (e.g., 1-imidazolyl, 4-imidazolyl), pyrazolyl (e.g., 1-pyrazolyl, 3-pyrazolyl), benzimidazolyl (e.g., 2-benzimidazolyl, 5-benzimidazolyl), indazolyl (e.g., 3-indazolyl), indolizinyl (e.g., 6-indolizinyl), pyridyl (e.g., 2-pyridyl, 3-pyridyl, 4-pyridyl), quinolyl (e.g., 8-quinolyl),



isoquinolyl (e.g., 3-isoquinolyl), acridyl (e.g., 1-acridyl),
phenanthrydanyl (e.g., 2-phenanthrydanyl, 3-phenanthrydanyl),
pyridazinyl (e.g., 3-pyridazinyl), pyrimidinyl (e.g., 4-
pyrimidinyl), pyrazinyl (e.g., 2-pyrazinyl), cinnolinyl (e.g.,
5-cinnolinyl), phthaladanyl (e.g., 5-phthaladanyl), quinazolinyl
(e.g., 2-quinazolinyl), isoxazolyl (e.g., 3-isoxazolyl, 4-
isoxazolyl), benzisoxazolyl (e.g., 1,2-benzisoxazol-4-yl, 2,1-
benzisoxazol-3-yl), oxazolyl (e.g., 2-oxazolyl, 4-oxazolyl, 5-
oxazolyl), benzoxazolyl (e.g., 2-benzoxazolyl), benzoxadiazolyl
10 (e.g., 4-benzoxadiazolyl), isothiazolyl (e.g., 3-isothiazolyl,
4-isothiazolyl) benzisothiazolyl (e.g., 1,2-benzisothiazol-3-yl,
2,1-benzisothizol-5-yl), thiazolyl (e.g., 2-thiazolyl),
benzothiazolyl (e.g., 2-benzothiazolyl), thiadiazolyl (e.g.,
1,2,3-thiadiazol-4-yl), oxadiazolyl (e.g., 1,3,4-oxadiazol-2-yl),
15 dihydroadiazolyl (e.g., 4,5-dihydro-1,2,4-oxadiazol-3-yl), furyl
(e.g., 2-furyl, 3-furyl), benzofuryl (e.g., 3-benzofuryl),
isobenzofuryl (e.g., 1-isobenzofuryl), thienyl (e.g., 2-thienyl,
3-thienyl), benzothienyl (1-benzothiophen-2-yl, 2-
benzothiophen-1-yl), tetrazolyl (e.g., 5-tetrazolyl),
20 benzodioxolyl (e.g., 1,3-benzodioxol-5-yl), dibenzofuryl (e.g.,
2-dibenzofuryl, 3-dibenzofuryl), dibenzoxepinyl (e.g.,
dibenz[b,f]oxepin-2-yl), dihydron dibenzoxepinyl (e.g.,
dihydron dibenz[b,f]oxepin-2-yl, chromenyl (e.g., 2H-chromen-3-yl,
4H-chromen-2-yl), dibenzothiepinyl (e.g., dibenzo[b,f]thiepin-
25 3-yl, dihydron dibenzo[b,f]thiepin-3-yl), morpholinyl (e.g., 1,4-
morpholin-4-yl), phenothiadanyl (2-phenothiadanyl),
cyclopentathienyl (e.g., cyclopenta[b]thiophen-3-yl),
cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl),



cyclohexathienyl (e.g., cyclohexa[b]thiophen-3-yl),
cycloheptathienyl (e.g., cyclohepta[b]thiophen-3-yl),
dibenzothienyl (e.g., 2-dibenzothienyl), dibenzopyranyl (e.g.,
2-dibenzopyranyl), dibenzo-p-dioxyl (e.g., 2-dibenzo-p-dioxyl),
5 and the like.

The term "cycloalkyl" means C₃ - C₆ cyclic alkyl, for

10

15



example, cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, and the like.

The term "cycloalkenyl" means C₃ - C₈ cyclic alkenyl, for example, cyclopropenyl (e.g., 1-cyclopropenyl), cyclobutenyl (e.g., 5 2-cyclobuten-1-yl), cyclopentenyl (1-cyclopenten-1-yl), cyclohexenyl (1-cyclohexen-1-yl), and the like.

The term "alkoxy" means C₁ - C₆ alkoxy, for example, methoxy, ethoxy, n-propoxy, i-propoxy, n-butoxy, and the like.

Examples of the substituted amino in the definition of 10 "substituted- or un-substituted-amino" include mono- or di-substituted amino such as methylamino, ethylamino, dimethylamino, cyclohexylamino, phenylamino, diphenylamino, or cyclic amino such as piperidino, piperadino or morpholino.

The term "acyloxy" means an acyloxy derived from the 15 "acyl" above, for example, acetyloxy, propionyloxy, butyryloxy, valeryloxy, and the like.

The term "halogen" means fluorine, chlorine, bromine and iodine.

The term "alkoxycarbonyl" means an alkoxycarbonyl group 20 derived from the "alkoxy" above, for example, methoxycarbonyl, ethoxycarbonyl, phenyloxycarbonyl, and the like.

The term "aralkyloxycarbonyl" means an aralkyloxycarbonyl group derived from the "aralkyl" above, for example, benzyloxycarbonyl, phenethyloxycarbonyl, and the like.

25 The term "aryloxycarbonyl" means an aryloxycarbonyl group derived from the "aryl" above, for example, phenyloxycarbonyl,

2-butenyloxy, and the like.

The term "hydroxyalkyl" means a hydroxyalkyl group derived from the "alkyl" above, for example, hydroxymethyl, hydroxyethyl, hydroxypropyl, and the like.

5 The term "alkylthio" means an alkylthio group derived from the "alkyl" above, for example, methylthio, ethylthio, propylthio, and the like.

10 The term "alkylenedioxy" means C₁ - C₂ alkylenedioxy, for example, methylenedioxy, ethylenedioxy, propylenedioxy, and the like.

In the case of "phenylene, "naphtylene", "thiophenediyl", "indolediyl", "oxazolediyl", "oxadiazolediyl" and tetrazolediyl", the said group can bind to the neighboring groups at any two substitutable sites.

15 In the definitions above, when a substituent(s) is cyclic, it may be substituted by one to three substituents selected from nitro, alkoxy, sulfamoyl, substituted- or un-substituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxycarbonyl, mesyloxy, 20 cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy. The substituent(s) may bind to any substitutable positions on the ring.

25 Examples of salts of the compound (I) include those formed with an alkali metal (e.g., lithium, sodium or potassium), an alkali earth metal (e.g., calcium), an organic base (e.g., tromethamine, trimethylamine, triethylamine, 2-aminobutane, t-butylamine, diisopropylethylamine, n-butylmethylamine, cyclohexylamine, dicyclohexylamine, N-isopropylcyclohexylamine, furfurylamine,

benzylamine, methylbenzylamine, dibenzylamine, N,N-dimethylbenzylamine, 2-chlorobenzylamine, 4-methoxybenzylamine, 1-naphthylmethyamine, diphenylbenzylamine, triphenylamine, 1-naphthylamine, 1-aminoanthracene, 2-aminoanthracene, 5 dehydroabiethylamine, N-methylmorpholine or pyridine), an amino acid (e.g., lysine, or arginine), and the like.

The term "hydrate" means a hydrate of the compound of the formula (I) or its salt. Examples include mono- and dihydrates.

The present compounds are shown by the formula (I) and 10 are inclusive of the form of any types of stereoisomers (e.g., diastereomer, epimer, enantiomer) and racemic compounds.

Among the compounds of the general formula (I), those wherein $m=1$, especially, those shown in Tables 3b and 3c below are known compounds described in Japanese Patent Publication (KOKAI) 15 No. 180862/1990.

Among the compounds of the general formula (I), those wherein $m=0$, [i.e., those shown by the general formula (I')], can be prepared by reacting an amino compound of the general formula (II) with a reactive derivative of 16:

carboxylic acid corresponding to th said partial structure is a compound of the general formula $X_3-X_2-X_1-COOH$. Reactive derivative of these sulfonic or carboxylic acids means a corresponding halide (e.g., chloride, bromide, iodide), acid anhydride (e.g., mixed acid 5 anhydride with formic acid or acetic acid), active ester (e.g., succinimide ester), and examples thereof generally include acylating agents used for the acylation of amino group. The carboxylic acid $X_3-X_2-X_1-COOH$ can be used in the reaction as it is without converting into a reactive derivative, in the presence of a condensing agent 10 (e.g., dicyclohexylcarbodiimide (DCC), 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide, N,N' -carbonyldiimidazole) which are used in the condensing reaction between amine and carboxylic acid.

The reaction can be conducted under the conditions 15 generally used for the acylation of amino group. For example, in the case of condensation using an acid halide, the reaction is carried out using a solvent such as an ether solvent (e.g., diethylether, tetrahydrofuran, dioxane), benzene solvent (e.g., benzene, toluene, xylene), halogenated hydrocarbon solvent (e.g., dichloromethane, 20 dichloroethane, chloroform), ethyl acetate, dimethylformamide, dimethyl sulfoxide, acetonitrile, or the like, if necessary, in the presence of a base (e.g., organic base such as triethylamine, pyridine, N,N -dimethylaminopyridine, N -methylmorpholine; inorganic base such as sodium hydroxide, potassium hydroxide, 25 potassium carbonate, or the like) under cooling, at room temperature or under heating, preferably at temperature ranging from $-20^{\circ}C$ to a temperature under cooling, or from room temperature to a refluxing temperature of the reaction system, for several min to several hr,

preferably for 0.5 hr to 24 hr, more preferably, for 1 hr to 12 hr.

The reaction conditions for the reaction between other reactive derivative or a free acid and an amine (II) can be determined in a conventional manner depending on the characteristics of the 5 respective reactive derivative or free acid.

The reaction product can be purified by conventional purification methods, for example, the extraction with a solvent, chromatography, recrystallization, or the like.

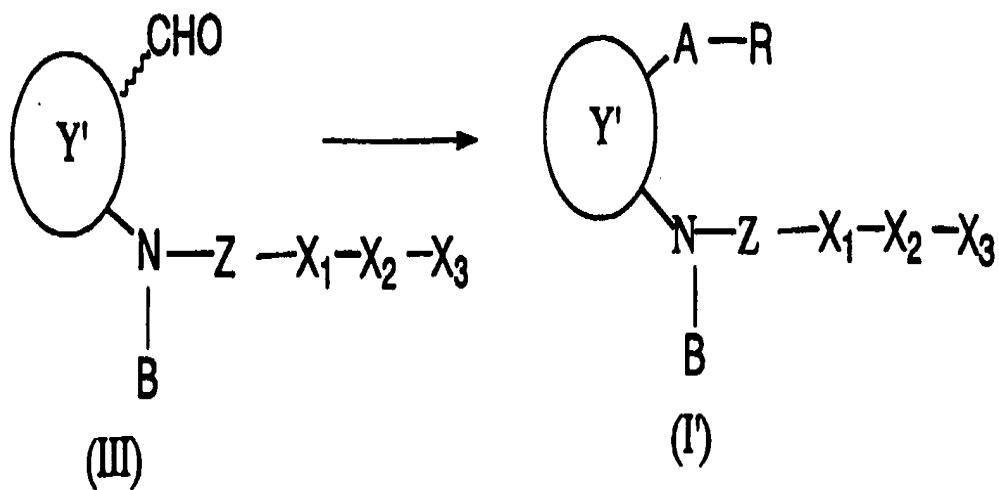
Specific examples of the compound (II) as a starting 10 material for the present method are as follows. Examples of 3-aminobicyclo[2.2.1]bicyclic compound include 7-(3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 7-(3-aminobicyclo[2.2.1]hept-2-yl)-2,2-dimethyl-5-heptenoic acid, 7-(N-methyl-3-aminobicyclo[2.2.1]hept-2-yl)-5-heptenoic acid, 6- 15 (3-aminobicyclo[2.2.1]hept-2-yl)-5-hexenoic acid. Specific examples of 2-amino-6,6-dimethyl[3.1.1]bicyclic compound include 7-(2-amino-6,6-dimethylbicyclo[3.1.1]hept-3-yl)-5-heptenoic acid. In these starting compounds, the heptenoic acid chain may be saturated to form heptanoic acid chain intervened by a hetero atom(s).

sulfonic acid or carboxylic acid having substitu nts corresponding to the Xs above. That is, examples includ alkane-sulfonic acid or -carboxylic acid, alk ne-sulfonic acid or -carboxylic acid, alkyne-sulfonic acid or -carboxylic acid, cycloalkane-sulfonic acid 5 or -carboxylic acid, cycloalkene-sulfonic acid or -carboxylic acid, aryl-sulfonic acid or -carboxylic acid, aralkyloxy-sulfonic acid or -carboxylic acid, heterocyclic-substituted-sulfonic acid or -carboxylic acid, heteroarylalkyl-sulfonic acid or -carboxylic acid, and substituted-amino-sulfonic acid or -carboxylic acid.

10 Each of sulfonic and carboxylic acids may have a substituent(s) above. These sulfonic acids and carboxylic acids are commercially available or can be easily synthesized from a known compound(s) in accordance with a known method. Upon reaction, the sulfonic or carboxylic acid can be converted into the corresponding reactive derivative above, 15 if necessary. For example, when an acid halide is needed, the compound is reacted with thionyl halide (e.g., thionyl chloride), phosphorous halide (e.g., phosphorous trichloride, phosphorous pentachloride) or oxalyl halide (e.g., oxalyl chloride) in accordance with a known method such as those described in a literature 20 (e.g., Shin-Jikken-Kagaku-Koza, vol. 14, pp. 1787 (1978); Synthesis, 852-854 (1986); Shin-Jikken-Kagaku-Koza, vol. 22, pp. 115 (1992)). The other reactive derivatives can also be prepared in accordance with a known method.

Among the objective compounds (I), those wherein the side 25 chain A contains an unsaturated bond, especially, a double bond, can also be prepared by reacting an aldehyde derivative of the general formula (III) below with an ylide compound corresponding to the r st part of the side chain A-R under the conditions for the Wittig reaction:





wherein A, B, R, X₁, X₂, X₃, Y and Z are as defined above.

The starting compound (III) can be prepared in accordance with a method described in, for example, Japanese Patent Publication 5 (KOKAI) No. 256650/1990. Further, an ylide compound corresponding to the rest part of the side chain A-R can be synthesized by reacting triphenylphosphine with a corresponding halogenated alkanoic acid, or an ester derivative, ether derivative or amide derivative thereof in the presence of a base according to a known method.

Among the objective compounds (I), those wherein R is COOH can be converted into a corresponding ester derivative, alcohol derivative, ether derivative, amide derivative, if desired. For example, ester derivatives can be prepared by esterifying a carboxylic acid in a conventional manner. An ester derivative, when

allergic rhinitis, allergic conjunctivitis, urticaria, injury due to ischemic reperfusion, and inflammation. The compound (I) shows preventive effect on nasal occlusion in vivo, and therefore is especially useful as a drug for treating them.

5 When using a compound (I) of the present invention in treatment, it can be formulated into ordinary formulations for oral and parenteral administration. A pharmaceutical composition containing a compound (I) of the present invention can be in the form for oral and parenteral administration. Specifically, it can
10 be formulated into formulations for oral administration such as tablets, capsules, granules, powders, syrup, and the like; those for parenteral administration such as injectable solution or suspension for intravenous, intramuscular or subcutaneous injection, inhalant, eye drops, nasal drops, suppositories, or
15 percutaneous formulations such as ointment.

In preparing the formulations, carriers, excipients, solvents, and bases known to one ordinary skilled in the art may be used. In case of tablets, they are prepared by compressing or formulating an active ingredient together with auxiliary components.
20 Examples of usable auxiliary components include pharmaceutically acceptable excipients such as binders (e.g., cornstarch), fillers (e.g., lactose, microcrystalline cellulose), disintegrants (e.g., starch sodium glycolate) or lubricants (e.g., magnesium stearate). Tablets may be coated appropriately. In the case of liquid
25 formulations such as syrups, solutions, or suspensions, they may contain suspending agents (e.g., methyl cellulose), emulsifiers (e.g., lecithin), preservatives, and the like. In the case of injectable formulations, it may be in the form of solution or suspension, or oily or aqueous emulsion, which may contain

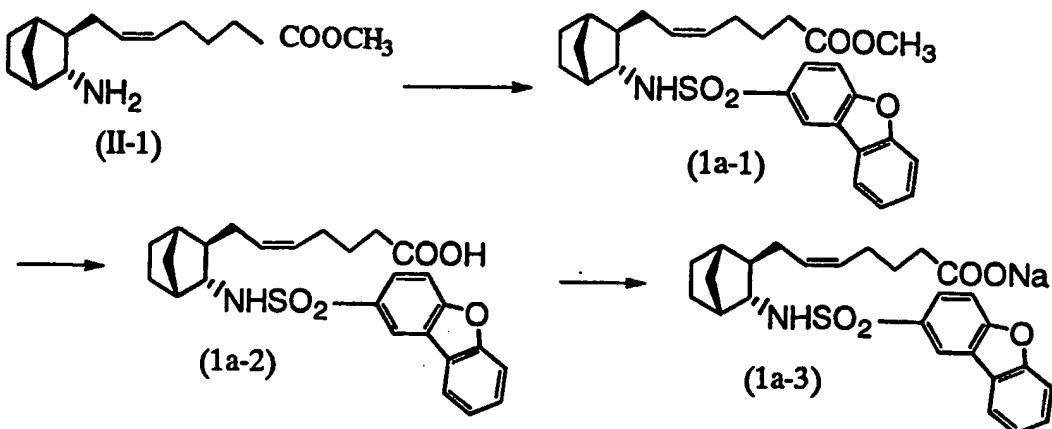


suspension-stabilizing agent or dispensing agent, and the like. In the case of an inhalant, it is formulated into a liquid formulation applicable to an inhaler. In the case of ey drops, it is formulated into a solution or a suspension. Especially, in the case of nasal 5 drug for treating nasal occlusion, it can be used as a solution or suspension prepared by a conventional formulating method, or as a powder formulated using a powdering agent (e.g., hydroxypropyl cellulose, carbopole), which are administered into the nasal cavity. Alternatively, it can be used as an aerosol after filling into a 10 special container together with a solvent of low boiling point.

Although an appropriate dosage of the compound (I) varies depending on the administration route, age, body weight, sex, or conditions of the patient, and the kind of drug(s) used together, if any, and should be determined by the physician in the end, in 15 the case of oral administration, the daily dosage can generally be between about 0.01 - 100 mg, preferably about 0.01 - 10 mg, more preferably about 0.1 - 10 mg, per kg body weight. In the case of parenteral administration, the daily dosage can generally be between about 0.001 - 100 mg, preferably about 0.001 - 1 mg, more preferably 20 about 0.01 - 1 mg, per kg body weight. The daily dosage can be administered in 1 - 4 divisions.

The following Examples are provided to further illustrate the present invention and are not to be construed as limiting the scope thereof.



Example 1

Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (II-1) (251 mg, 1.00 mmol) was dissolved in methylene chloride (8 ml) and triethylamine (0.238 ml, 2.00 mmol) was added thereto under a nitrogen atmosphere. To the mixture was added 2-chlorosulfonyldibenzofuran (350 mg, 1.31 mmol) under ice-cooling, and the mixture was stirred for 30 min and allowed to warm up to room temperature. The reaction mixture was purified by column chromatography on silica gel (n-hexane/ethyl acetate (1:4)) and recrystallized from n-hexane (10 ml) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (342 mg, 0.710 mmol). Yield 71 %, mp 115-116 °C.

Elemental analysis (C₂₇H₃₁NO₅S)

Calcd. (%): C, 67.34; H, 6.49; N, 2.91; S, 6.66

Found (%): C, 67.16; H, 6.47; N, 2.99; S, 6.66

IR (CHCl₃): 3382, 3024, 2952, 2874, 1726, 1583, 1465, 1442, 1319, 1245, 1154, 1121, 1104, 1071, 1019, 890, 840, 817 /cm.

¹H NMR (CDCl₃) δ: 0.94-1.92 (14H, m), 2.15-2.24 (3H, m), 2.99-3.07 (1H, m), 3.66 (3H, s), 4.98 (1H, d, J=6.6Hz), 5.10-5.22 (2H, m), 7.39-7.46 (1H, m), 7.51-7.70 (3H, m), 7.87-8.13 (2H, m), 8.53 (1H, d, J=2.1Hz)

$[\alpha]_D = -0.6^\circ$ (CHCl₃, c=1.01%, 23°C).

$[\alpha]_{365} = +37.0^\circ$ (CHCl₃, c=1.01%, 23°C).

Methyl (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)-

5 sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1a-1) (234 mg, 0.50 mmol) was dissolved in methanol (6 ml)/tetrahydrofuran (4 ml). To the solution was added 1 N potassium hydroxide (1.50 ml, 1.50 mmol) under ice-cooling. After the reaction mixture was warmed up to room temperature, it was allowed to react for 16 hr and 10 concentrated to remove the solvent. To the residue were added ethyl acetate (50 ml) and water (10 ml), and then 1 N HCl (2.00 ml, 2.00 mmol), and the organic layer was separated. The organic layer was washed with saturated brine, dried over anhydrous sodium sulfate and concentrated. The residue was purified by column chromatography 15 on silica gel (n-hexane/ethyl acetate (1:1) containing 0.2% acetic acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(2-dibenzofuryl)- sulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoic acid (1a-2) (203 mg, 0.434 mmol). Yield 87%, oil.

mmol) was dissolved in methanol (5 ml). After addition of 1 N sodium methoxide/methanol (1.034 N, 0.937 ml, 0.97 mmol), the mixture was allowed to warm up to room temperature and to react for 1 hr. The solvent was removed by distillation to yield the sodium salt (1a-3) (457 mg, 0.933 mmol). Yield 96 %.

Amorphous powder.

Elemental analysis ($C_{26}H_{28}NO_5SNa \cdot 0.6H_2O$)

Calcd. (%): C, 62.41; H, 5.88; N, 2.80; S, 6.41; Na, 4.59

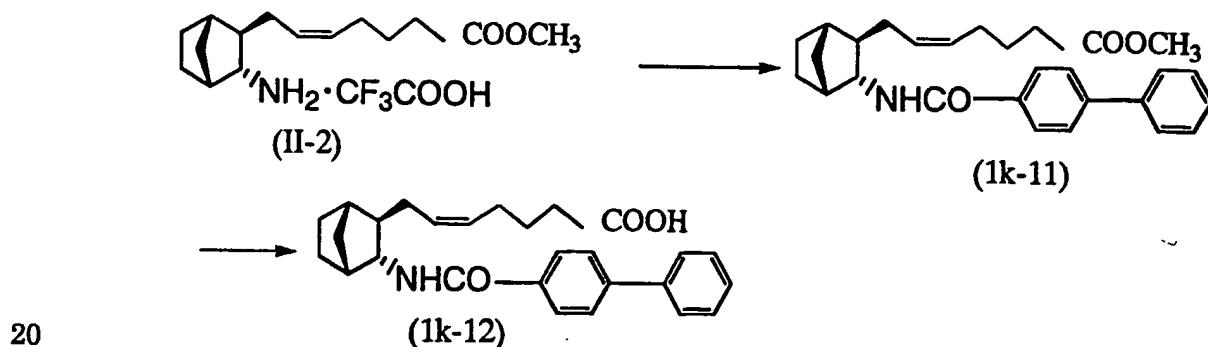
Found (%): C, 62.45; H, 5.92; N, 2.99; S, 6.49; Na, 4.46

IR (KBr) : 434, 3280, 3074, 3007, 2952, 2873, 1566, 1467, 1444, 1417, 1344, 1315, 1270, 1248, 1200, 1189, 1154, 1124, 1107, 1075, 1058, 895, 842, 818 /cm.

1H NMR (CD₃OD) δ : 1.02-2.05 (16H, m), 2.16-2.23 (1H, m), 2.94-3.00 (1H, m), 4.98-5.05 (2H, m), 7.41-7.48 (1H, m), 7.53-7.62 (1H, m), 7.66 (1H, d, J=8.4Hz), 7.77 (1H, d, J=8.4Hz), 8.57 (1H, d, J=2.1Hz).

$[\alpha]_D = -15.2^\circ$ (CH₃OH, c=1.07%, 22°C).

Example 2



20

Methyl (Z)-7-[(1S,2R,3R,4R)-3-aminobicyclo[2.2.1]hept-2-yl]-5-heptenoate trifluoroacetate (II-2) (232 mg, 0.636 mmol), which was prepared by the method

described in Reference Example 4 of the Japanese Patent Publication (KOKOKU) No. 79060/1993, was dissolved in methylene chloride (5 ml).

To the solution were added triethylamine (0.279 ml, 2.00 mmol) and 4-biphenylcarbonyl chloride under ice-cooling and stirred for 7 hr
5 at the same temperature. The reaction mixture was purified by column chromatography on silica gel (ethyl acetate/n-hexane (1:4)) to yield methyl (Z)-7-[(1S,2R,3R,4R)-3-(4-
biphenyl)carbonylaminobicyclo[2.2.1]hept-2-
y1]-5-heptenoate (1k-11) (221 mg, 0.512 mmol). The compound (1k-11)
10 (190 mg, 0.440 mmol) was dissolved in methanol (6 ml). To the solution was added 1 N KOH (1.10 ml, 1.10 mmol) under ice-cooling and stirred for 15 hr at room temperature. The reaction mixture was concentrated in vacuo. The residue, after the addition of water (20 ml) and 1 N HCl (2 ml), was extracted with ethyl acetate. The organic layer
15 was washed with saturated brine, dried over anhydrous sodium sulfate and concentrated. The residue was purified by column chromatography on silica gel (ethyl acetate/hexane (1:1) containing 0.3 % acetic acid) to yield (Z)-7-[(1S,2R,3R,4R)-3-(4-
biphenyl)carbonylaminobicyclo[2.2.1]hept-2-

bromide (14.8 g, 33.3 mmol) and tetrahydrofuran (80 ml) was added potassium t-butyrate (7.55 g, 67.3 mmol) at room temperature under a nitrogen atmosph re. After stirring for 1 hr at room temperature, the mixture was cooled to -20°C and a solution of N-[(1S,2S,3S,4R)-5-3-formylmethylbicyclo[2.2.1]hept-2-yl]benzenesulfonamide (III-1) (Japanese Patent Publication (KOKAI) No. 256650/1990, Reference Example 2) (3.25 g; 11.1 mmol) in tetrahydrofuran (20 ml) was added slowly. After stirring for about 1 hr at -20 °C, the ice bath was removed and the mixture was further stirred for 1 hr. To the reaction solution was added 2 N HCl and the mixture was extracted with ethyl acetate, washed with water and brine, and concentrated. After the addition of toluene and 1 N sodium hydroxide to the resultant crude product, aqueous layer was separated. The organic layer was washed with water again and the washing was combined with the previously obtained aqueous layer. After the addition of 2 N HCl, the aqueous solution was extracted with ethyl acetate. The extract was washed with water and brine, dried over sodium sulfate, and concentrated. The residue was purified by column chromatography on silica gel to obtain calcium (Z)-7-[(1R,2S,3S,4S)-3-phenylsulfonylaminobicyclo[2.2.1]hept-2-yl]-5-heptenoate (1d-1) (3.29 g, yield 79 %, mp 62°C).

Elemental analysis (C₂₀H₂₇NO₄S)

Calcd. (%): C, 63.63; H, 7.21; N, 3.71; S, 8.49

Found (%): C, 63.56; H, 7.21; N, 3.83; S, 8.43

[α]_D=+ 5.3 ± 0.5° (CHCl₃, c=1.003 %, 22°C)

[α]_D=+27.1 ± 0.7° (MeOH, c=1.015 % 24 °C)

IR(Nujol) 3282, 3260, 3300, 2400, 1708, 1268, 1248, 1202, 1162, 1153,

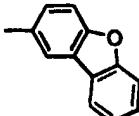
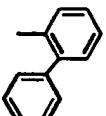
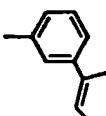
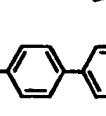
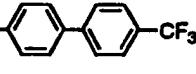
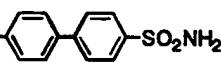
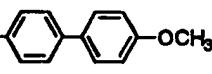
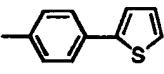
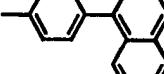
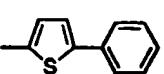
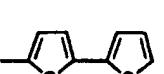
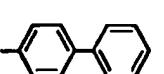
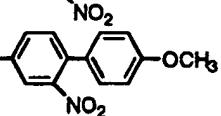
1095, 1076/cm.

¹H NMR δ 0.88-2.10(m, 14H), 2.14(br s, 1H), 2.34(t, J=7.2Hz, 2H), 2.95-3.07(m, 1H), 5.13-5.35(m, 3H), 7.45-7.64(m, 3H), 7.85-7.94(m, 2H), 9.52(brs, 1H).

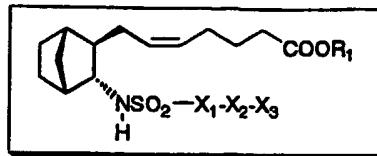
5

Compounds prepared in accordance with a method described in Examples above are shown in Tables below.

Table 1a

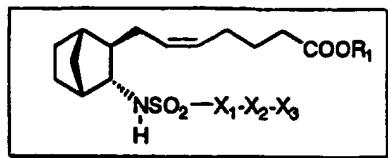
No.	R ₁	X ₁ -X ₂ -X ₃
1a-1	CH ₃	
1a-2	H	
1a-3	Na	
1a-4	CH ₃	
1a-5	H	
1a-6	CH ₃	
1a-7	H	
1a-8	CH ₃	
1a-9	H	
1a-10	CH ₃	
1a-11	H	
1a-12	CH ₃	
1a-13	H	
1a-14	CH ₃	
1a-15	H	
1a-16	CH ₃	
1a-17	H	
1a-18	CH ₃	
1a-19	H	
1a-20	CH ₃	
1a-21	H	
1a-22	H	
1a-23	H	





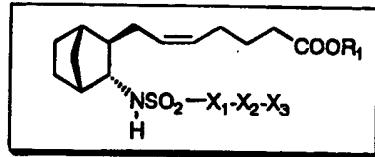
No.	R_1	$\text{X}_1\text{-X}_2\text{-X}_3$
1a-24	CH_3	
1a-25	H	
1a-26	Na	
1a-27	CH_3	
1a-28	H	
1a-29	Na	
1a-30	CH_3	
1a-31	H	
1a-32	CH_3	
1a-33	H	
1a-34	CH_3	
1a-35	CH_3	
1a-36	H	
1a-37	CH_3	
1a-38	H	
1a-39	CH_3	
1a-40	H	
1a-41	H	
1a-42	CH_3	
1a-43	H	
1a-44	CH_3	
1a-45	H	



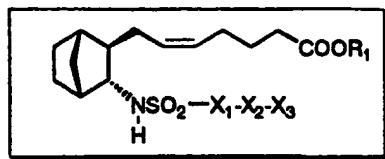


No.	R ₁	X ₁ -X ₂ -X ₃
1a-46	CH ₃	
1a-47	H	
1a-48	Na	
1a-49	CH ₃	
1a-50	H	
1a-51	CH ₃	
1a-52	H	
1a-53	CH ₃	
1a-54	H	
1a-55	CH ₃	
1a-56	H	
1a-57	CH ₃	
1a-58	H	
1a-59	CH ₃	
1a-60	H	
1a-61	CH ₃	
1a-62	H	
1a-63	CH ₃	
1a-64	H	
1a-65	CH ₃	
1a-66	H	
1a-67	CH ₃	
1a-68	H	



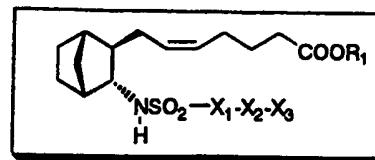


No.	R ₁	X ₁ -X ₂ -X ₃
1a-69	CH ₃	
1a-70	H	
1a-71	CH ₃	
1a-72	H	
1a-73	CH ₃	
1a-74	H	
1a-75	CH ₃	
1a-76	H	
1a-77	CH ₃	
1a-78	H	
1a-79	H	
1a-80	CH ₃	
1a-81	H	
1a-82	CH ₃	
1a-83	H	
1a-84	H	
1a-85	H	
1a-86	H	
1a-87	H	



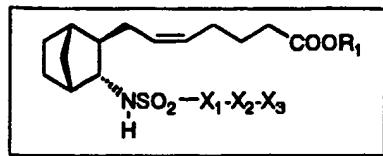
No.	R ₁	X ₁ -X ₂ -X ₃
1a-88	CH ₃	
1a-89	H	
1a-90	CH ₃	
1a-91	H	
1a-92	CH ₃	
1a-93	H	
1a-94	H	
1a-95	H	
1a-96	H	
1a-97	H	
1a-98	H	
1a-99	Na	





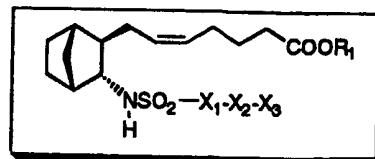
No.	R ₁	X ₁ -X ₂ -X ₃
1a-100	CH ₃	
1a-101	H	
1a-102	CH ₃	
1a-103	CH ₃	
1a-104	H	
1a-105	CH ₃	
1a-106	H	
1a-107	CH ₃	
1a-108	H	
1a-109	CH ₃	
1a-110	H	
1a-111	CH ₃	
1a-112	H	
1a-113	CH ₃	
1a-114	H	





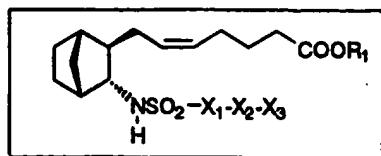
No.	R ₁	X ₁ -X ₂ -X ₃
1a-115	CH ₃	
1a-116	H	
1a-117	Na	
1a-118	i-Pr	
1a-119	CH ₃	
1a-120	Na	
1a-121	H	
1a-122	CH ₃	
1a-123	H	
1a-124	CH ₃	
1a-125	CH ₃	
1a-126	H	
1a-127	CH ₃	
1a-128	H	
1a-129	CH ₃	
1a-130	CH ₃	
1a-131	H	
1a-132	CH ₃	
1a-133	H	
1a-134	H	
1a-135	CH ₃	
1a-136	H	
1a-137	CH ₃	
1a-138	H	
1a-139	CH ₃	
1a-140	H	





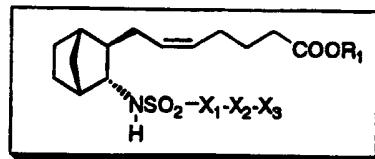
No.	R ₁	X ₁ -X ₂ -X ₃
1a-141	CH ₃	-CH ₂ -phenyl-phenyl
1a-142	H	NC-phenyl
1a-143	H	phenyl-phenyl-phenyl
1a-144	H	phenyl-phenyl-phenyl
1a-145	H	phenyl-phenyl-phenyl
1a-146	H	phenyl-phenyl-phenyl
1a-147	H	phenyl-phenyl-phenyl
1a-148	H	phenyl-phenyl-phenyl
1a-149	H	phenyl-phenyl
1a-150	H	phenyl-phenyl
1a-151	H	phenyl-phenyl



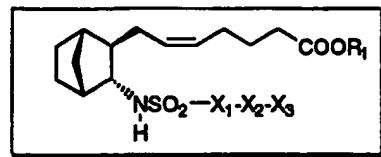


No.	R ₁	X ₁ -X ₂ -X ₃
1a-152	H	
1a-153	H	
1a-154	H	
1a-155	H	
1a-156	H	
1a-157	H	
1a-158	H	
1a-159	H	
1a-160	H	

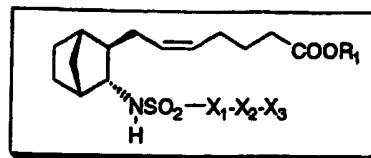




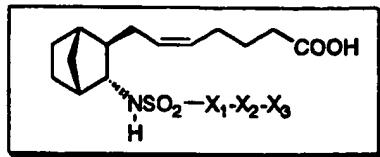
No.	R ₁	X ₁ -X ₂ -X ₃
1a-161	H	
1a-162	H	
1a-163	H	
1a-164	H	
1a-165	H	
1a-166	H	
1a-167	H	
1a-168	H	
1a-169	H	
1a-170	H	
1a-171	CH ₃	
1a-172	H	



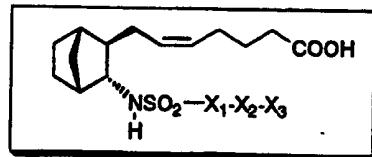
No.	R ₁	X ₁ -X ₂ -X ₃
1a-173	H	
1a-174	H	
1a-175	CH ₃	
1a-176	H	
1a-177	CH ₃	
1a-178	H	
1a-179	CH ₃	
1a-180	H	
1a-181	H	
1a-182	CH ₃	
1a-183	H	



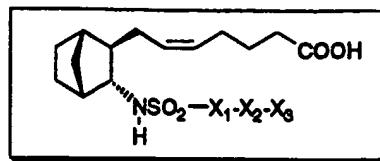
No.	R ₁	X ₁ -X ₂ -X ₃
1a-184	H	
1a-185	H	
1a-186	CH ₃	
1a-187	H	
1a-188	CH ₃	
1a-189	H	
1a-190	CH ₃	
1a-191	H	
1a-192	CH ₃	
1a-193	H	



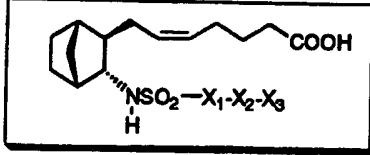
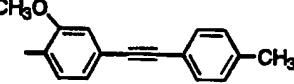
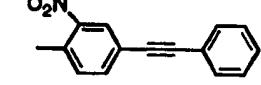
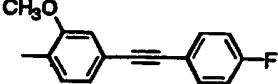
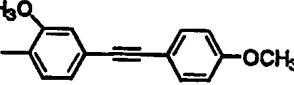
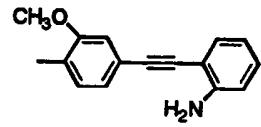
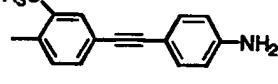
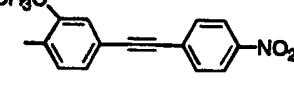
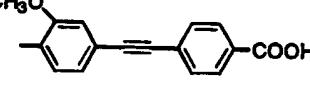
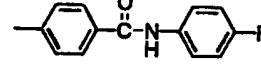
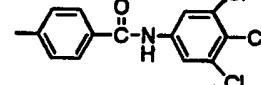
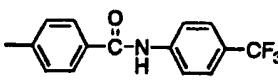
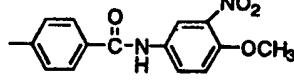
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1a-195	
1a-196	
1a-197	
1a-198	
1a-199	
1a-200	
1a-0201	
1a-202	
1a-203	

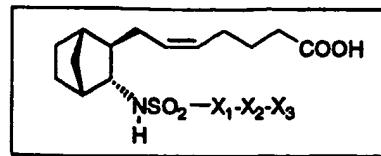


No.	X ₁ -X ₂ -X ₃
1a-204	
1a-205	
1a-206	
1a-207	
1a-208	
1a-209	
1a-210	
1a-211	
1a-212	
1a-213	

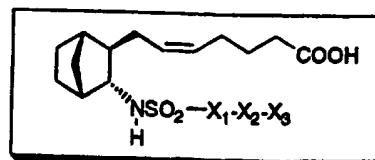


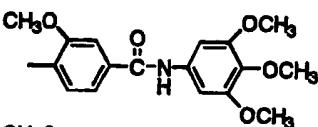
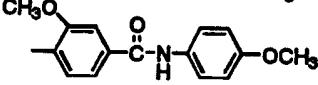
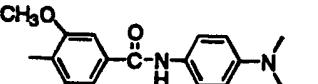
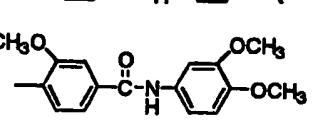
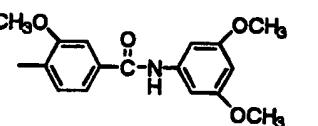
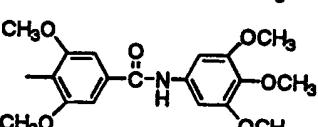
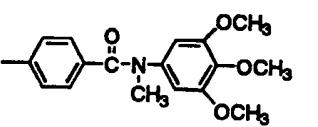
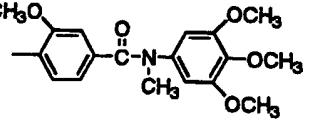
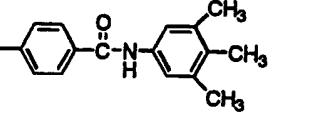
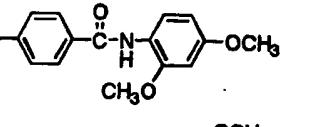
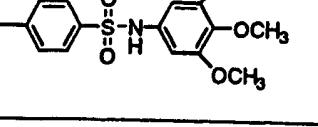
No.	X ₁ -X ₂ -X ₃
1a-214	
1a-215	
1a-216	
1a-217	
1a-218	
1a-219	
1a-220	
1a-221	
1a-222	
1a-223	

No.	$X_1-X_2-X_3$
	
1a-224	
1a-225	
1a-226	
1a-227	
1a-228	
1a-229	
1a-230	
1a-231	
1a-232	
1a-233	
1a-234	
1a-235	

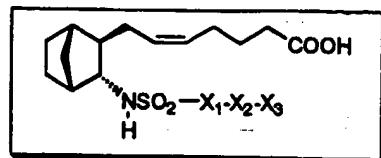


No.	X ₁ -X ₂ -X ₃
1a-236	
1a-237	
1a-238	
1a-239	
1a-240	
1a-241	
1a-242	
1a-243	
1a-244	
1a-245	
1a-246	

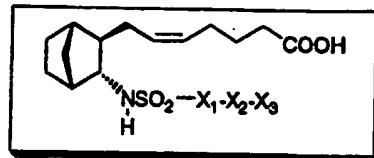


No.	$X_1-X_2-X_3$
1a-247	
1a-248	
1a-249	
1a-250	
1a-251	
1a-252	
1a-253	
1a-254	
1a-255	
1a-256	
1a-257	

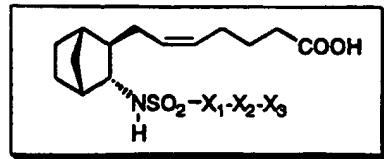




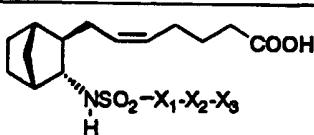
No.	X ₁ -X ₂ -X ₃
1a-258	
1a-259	
1a-260	
1a-261	
1a-262	
1a-263	
1a-264	
1a-265	
1a-266	
1a-267	
1a-268	
1a-269	
1a-270	
1a-271	



No.	X ₁ -X ₂ -X ₃
1a-272	
1a-273	
1a-274	
1a-275	
1a-276	
1a-277	
1a-278	
1a-279	
1a-280	
1a-281	
1a-282	
1a-283	

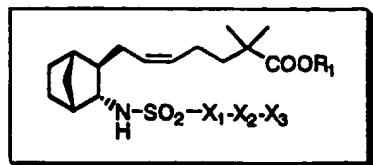


No.	X ₁ -X ₂ -X ₃
1a-284	
1a-285	
1a-286	
1a-287	
1a-288	
1a-289	
1a-290	
1a-291	
1a-292	
1a-293	
1a-294	

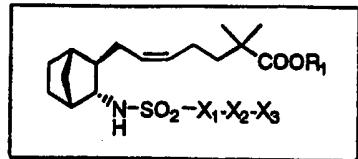


No.	X ₁ -X ₂ -X ₃
1a-295	
1a-296	
1a-297	
1a-298	
1a-299	
1a-300	
1a-301	
1a-302	
1a-303	
1a-304	
1a-305	

Table 1b

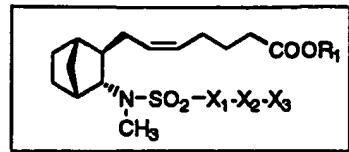


No.	R ₁	X ₁ -X ₂ -X ₃
1b-1	CH ₃	-C ₆ H ₄ -C ₆ H ₅
1b-2	CH ₃	-C ₆ H ₄ -CH ₂ -C ₆ H ₅
1b-3	H	-C ₆ H ₄ -CH ₂ -C ₆ H ₅
1b-4	H	
1b-5	H	
1b-6	H	
1b-7	H	
1b-8	H	
1b-9	H	
1b-10	H	



No.	R ₁	X ₁ -X ₂ -X ₃
1b-11	H	
1b-12	H	
1b-13	H	
1b-14	H	
1b-15	H	

Table 1c



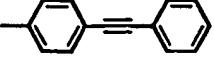
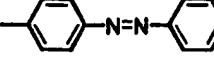
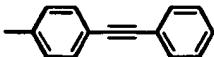
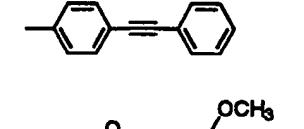
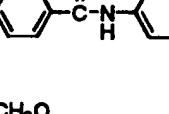
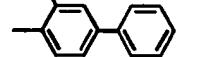
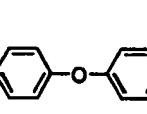
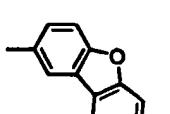
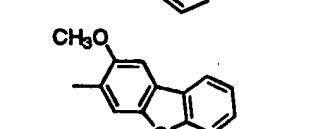
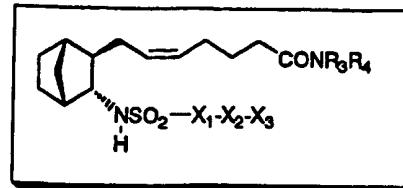
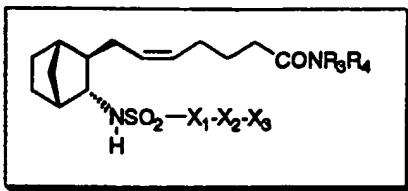
No.	R ₁	X ₁ -X ₂ -X ₃
1c-1	CH ₃	
1c-2	CH ₃	
1c-3	K	
1c-4	H	
1c-5	H	
1c-6	H	
1c-7	H	
1c-8	H	
1c-9	H	
1c-10	H	
1c-11	H	
1c-12	H	

Table 1d

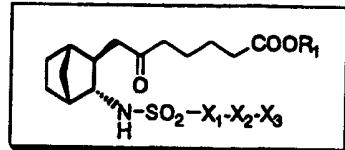


No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
1d-1	H	SO ₂ CH ₃	
1d-2	H	H	
1d-3	H	OH	
1d-4	H	SO ₂ CH ₃	
1d-5	H	SO ₂ CH ₃	
1d-6	H	SO ₂ CH ₃	
1d-7	H	SO ₂ CH ₃	
1d-8	H	SO ₂ CH ₃	
1d-9	H	SO ₂ CH ₃	
1d-10	H	SO ₂ CH ₃	



No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
1d-11	H	SO ₂ CH ₃	
1d-12	H	SO ₂ CH ₃	
1d-13	H	SO ₂ CH ₃	
1d-14	H	SO ₂ CH ₃	
1d-15	H	SO ₂ CH ₃	

Table 1e



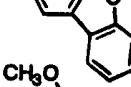
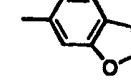
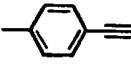
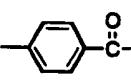
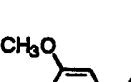
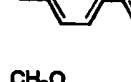
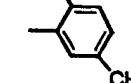
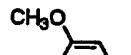
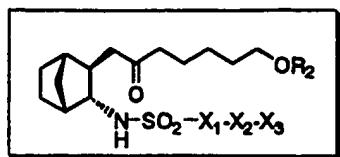
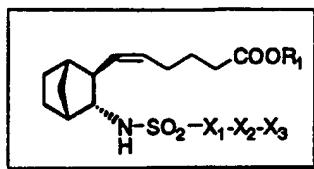
No.	R ₁	X ₁ -X ₂ -X ₃
1e-1	H	
1e-2	H	
1e-3	H	
1e-4	H	
1e-5	H	
1e-6	H	
1e-7	H	
1e-8	H	
1e-9	H	
1e-10	H	

Table 1f



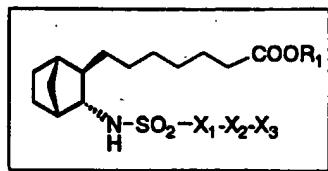
No.	R ₂	X ₁ -X ₂ -X ₃
1f-1	H	
1f-2	H	
1f-3	H	
1f-4	H	
1f-5	H	
1f-6	H	
1f-7	H	
1f-8	H	
1f-9	H	
1f-10	H	

Table 1g



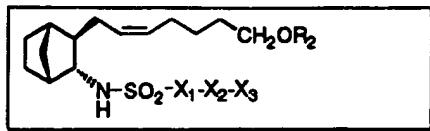
No.	R ₁	X ₁ -X ₂ -X ₃
1g-1	H	
1g-2	H	
1g-3	H	
1g-4	H	
1g-5	H	
1g-6	H	
1g-7	H	
1g-8	H	
1g-9	H	
1g-10	H	
1g-11	H	

Table 1h



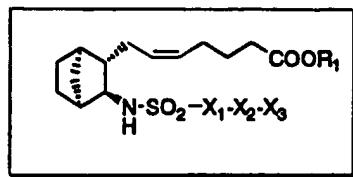
No.	R_1	$\text{X}_1\text{-X}_2\text{-X}_3$
1h-1	H	
1h-2	H	
1h-3	H	
1h-4	H	
1h-5	H	
1h-6	H	
1h-7	H	
1h-8	H	
1h-9	H	
1h-10	H	

Table 1i

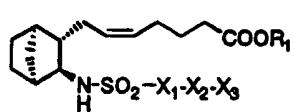


No.	R ₂	X ₁ -X ₂ -X ₃
1i-1	H	-C ₆ H ₄ -C ₆ H ₄ -
1i-2	H	-C ₆ H ₄ -CH ₂ -C ₆ H ₄ -
1i-3	H	-C ₆ H ₄ -C≡C-C ₆ H ₄ -
1i-4	H	-C ₆ H ₄ -C(=O)-N(C ₆ H ₄ -OCH ₃ -C ₆ H ₄ -OCH ₃)-
1i-5	H	-C ₆ H ₄ -C ₆ H ₄ -OCH ₃ -
1i-6	H	-C ₆ H ₄ -O-C ₆ H ₄ -
1i-7	H	-C ₆ H ₄ -O-C ₆ H ₄ -
1i-8	H	-C ₆ H ₄ -C ₆ H ₄ -CH ₂ -C ₆ H ₄ -
1i-9	H	-C ₆ H ₄ -C ₆ H ₄ -C ₆ H ₄ -O-C ₆ H ₄ -
1i-10	H	-C ₆ H ₄ -C(=O)-N(H)-C ₆ H ₄ -OCH ₃ -C ₆ H ₄ -OCH ₃ -
1i-11	H	-C ₆ H ₄ -C≡C-C ₆ H ₄ -
1i-12	H	-C ₆ H ₄ -O-C ₆ H ₄ -

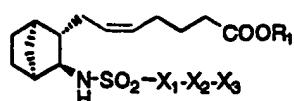
Table 1j



No.	R_1	$\text{X}_1\text{-}\text{X}_2\text{-}\text{X}_3$
1j-1	CH_3	
1j-2	H	
1j-3	Na	
1j-4	H	
1j-5	CH_3	
1j-6	CH_3	
1j-7	H	
1j-8	CH_3	
1j-9	CH_3	
1j-10	H	
1j-11	CH_3	
1j-12	H	
1j-13	CH_3	
1j-14	H	
1j-15	CH_3	
1j-16	H	

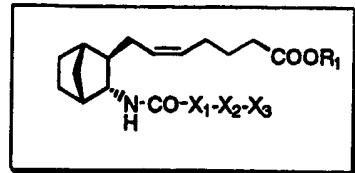


No.	R ₁	X ₁ -X ₂ -X ₃
1j-17	H	
1j-18	CH ₃	
1j-19	H	
1j-20	CH ₃	
1j-21	H	
1j-22	H	
1j-23	CH ₃	
1j-24	H	
1j-25	CH ₃	
1j-26	H	
1j-27	H	
1j-28	CH ₃	
1j-29	H	

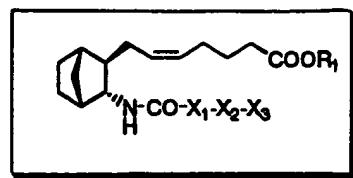


No.	R ₁	X ₁ -X ₂ -X ₃
1j-30	H	
1j-31	H	
1j-32	H	
1j-33	H	
1j-34	H	
1j-35	H	
1j-36	H	
1j-37	H	
1j-38	H	

Table 1k

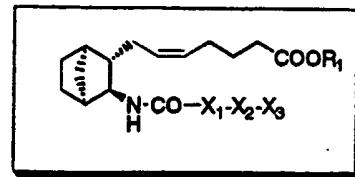


No.	R ₁	X ₁ -X ₂ -X ₃
1k-1	H	-O-CH ₂ -
1k-2	CH ₃	-
1k-3	H	-
1k-4	H	-
1k-5	H	-
1k-6	H	-
1k-7	H	-
1k-8	H	-
1k-9	H	-
1k-10	H	-
1k-11	CH ₃	-
1k-12	H	-

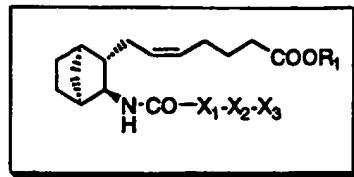


No.	R ₁	X ₁ -X ₂ -X ₃
1k-13	H	
1k-14	H	
1k-15	H	
1k-16	H	
1k-17	H	
1k-18	H	
1k-19	H	
1k-20	H	

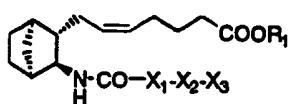
Table 1m



No.	R ₁	X ₁ -X ₂ -X ₃
1m-1	CH ₃	
1m-2	H	
1m-3	CH ₃	
1m-4	H	
1m-5	CH ₃	
1m-6	H	
1m-7	CH ₃	
1m-8	H	
1m-9	CH ₃	
1m-10	H	
1m-11	CH ₃	
1m-12	H	
1m-13	CH ₃	
1m-14	H	
1m-15	CH ₃	
1m-16	H	
1m-17	CH ₃	
1m-18	H	



No.	R ₁	X ₁ -X ₂ -X ₃
1m-19	CH ₃	
1m-20	H	
1m-21	H	
1m-22	H	
1m-23	CH ₃	
1m-24	H	
1m-25	CH ₃	
1m-26	H	
1m-27	CH ₃	
1m-28	H	
1m-29	CH ₃	
1m-30	H	
1m-31	H	
1m-32	H	
1m-33	H	



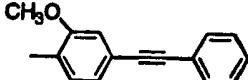
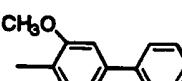
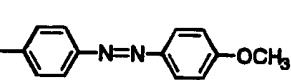
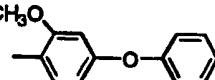
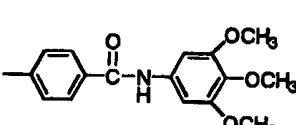
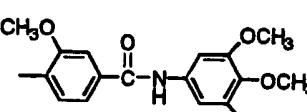
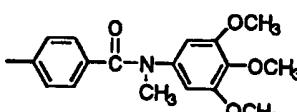
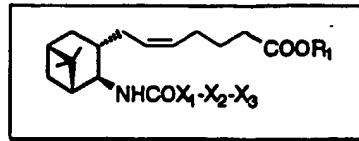
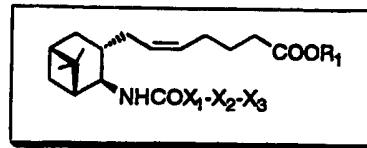
No.	R ₁	X ₁ -X ₂ -X ₃
1m-34	H	
1m-35	H	
1m-36	H	
1m-37	H	
1m-38	H	
1m-39	H	
1m-40	H	

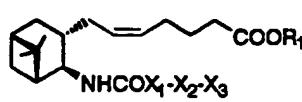
Table 2a



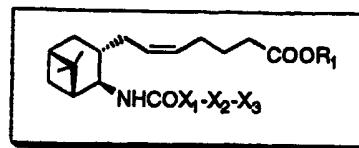
No.	R ₁	X ₁ -X ₂ -X ₃
2a-1	CH ₃	
2a-2	H	
2a-3	CH ₃	
2a-4	H	
2a-5	Na	
2a-6	CH ₃	
2a-7	H	
2a-8	CH ₃	
2a-9	H	
2a-10	CH ₃	
2a-11	H	
2a-12	CH ₃	
2a-13	H	
2a-14	CH ₃	
2a-15	H	
2a-16	CH ₃	
2a-17	H	
2a-18	CH ₃	
2a-19	H	
2a-20	CH ₃	
2a-21	H	
2a-22	Na	
2a-23	CH ₃	
2a-24	H	



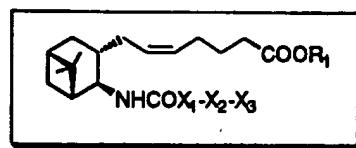
No.	R ₁	X ₁ -X ₂ -X ₃
2a-25	CH ₃	
2a-26	H	-CH ₂ -C ₆ H ₄ -C ₆ H ₅
2a-27	CH ₃	
2a-28	H	-C ₆ H ₄ -O-C ₆ H ₅
2a-29	CH ₃	
2a-30	H	-C ₆ H ₄ -N=O-C ₆ H ₅
2a-31	CH ₃	-C ₆ H ₄ -N=N-C ₆ H ₅
2a-32	CH ₃	
2a-33	H	-C ₆ H ₄ -CH ₂ -N ₃
2a-34	CH ₃	
2a-35	H	-C ₆ H ₄ -C≡C-C ₆ H ₅
2a-36	CH ₃	
2a-37	H	-N-C ₆ H ₄ -C ₆ H ₅
2a-38	CH ₃	
2a-39	H	-C ₆ H ₄ -N=O
2a-40	CH ₃	
2a-41	H	-C ₆ H ₄ -N=C(NH ₂)S
2a-42	CH ₃	
2a-43	H	-C ₆ H ₄ -N=C(NH ₂)C=O
2a-44	CH ₃	
2a-45	H	-C ₆ H ₄ -N=N-C(=O)N-H-C ₆ H ₅
2a-46	CH ₃	
2a-47	H	-C ₆ H ₄ -N=N-C(=S)N-H-C ₆ H ₅



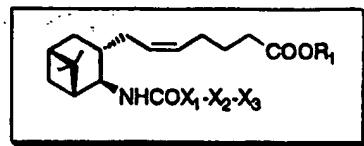
No.	R ₁	X ₁ -X ₂ -X ₃
2a-48	CH ₃	
2a-49	H	
2a-50	CH ₃	
2a-51	H	
2a-52	CH ₃	
2a-53	H	
2a-54	CH ₃	
2a-55	H	
2a-56	CH ₃	
2a-57	H	
2a-58	CH ₃	
2a-59	H	
2a-60	CH ₃	
2a-61	H	
2a-62	CH ₃	
2a-63	H	
2a-64	CH ₃	
2a-65	H	
2a-66	CH ₃	
2a-67	H	



No.	R ₁	X ₁ -X ₂ -X ₃
2a-68	CH ₃	
2a-69	H	
2a-70	CH ₃	
2a-71	H	
2a-72	CH ₃	
2a-73	H	
2a-74	CH ₃	
2a-75	H	
2a-76	CH ₃	
2a-77	H	
2a-78	CH ₃	
2a-79	H	
2a-80	CH ₃	
2a-81	H	
2a-82	CH ₃	
2a-83	H	
2a-84	CH ₃	
2a-85	H	
2a-86	CH ₃	
2a-87	H	

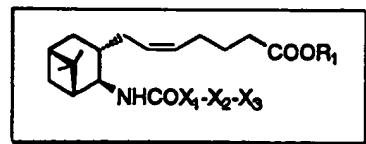


No.	R ₁	X ₁ -X ₂ -X ₃
2a-88	CH ₃	
2a-89	H	
2a-90	CH ₃	
2a-91	H	
2a-92	CH ₃	
2a-93	H	
2a-94	CH ₃	
2a-95	H	
2a-96	Na	
2a-97	Ca ^{1/2}	
2a-98	CH ₃	
2a-99	H	
2a-100	CH ₃	
2a-101	H	
2a-102	CH ₃	
2a-103	H	
2a-104	CH ₃	
2a-105	H	
2a-106	CH ₃	
2a-107	H	
2a-108	CH ₃	
2a-109	H	
2a-110	Na	
2a-111	CH ₃	
2a-112	H	



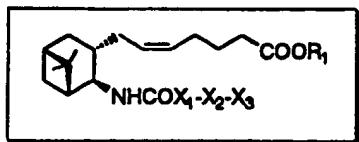
No.	R ₁	X ₁ -X ₂ -X ₃
2a-113	CH ₃	
2a-114	H	-C ₆ H ₄ -CF ₃
2a-115	CH ₃	
2a-116	H	-C ₆ H ₄ -CH ₃
2a-117	CH ₃	
2a-118	H	-C ₆ H ₄ -O-CH ₃
2a-119	H	-C ₆ H ₄ -OAc
2a-120	H	-C ₆ H ₄ -OH
2a-121	H	-C ₆ H ₄ -OCH ₃
2a-122	H	-C ₆ H ₁₁
2a-123	H	-CH ₂ -C ₆ H ₅
2a-124	H	-CH ₂ -C ₆ H ₄ -OH
2a-125	H	-C ₆ H ₄ -C(=O)-C ₆ H ₅





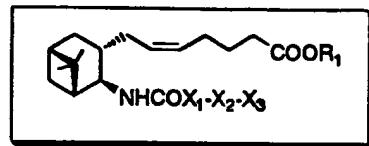
No.	R ₁	X ₁ -X ₂ -X ₃
2a-126	H	-C ₆ H ₄ -Br
2a-127	H	-C ₆ H ₄ -NH-C(=O)-NH-C ₆ H ₄ -
2a-128	H	-NH-C ₆ H ₄ -
2a-129	H	-C ₆ H ₄ -C ₁₀ H ₇ -
2a-130	H	-C ₆ H ₄ -C ₁₀ H ₇ -
2a-131	H	-C ₆ H ₄ -O-C ₆ H ₄ -
2a-132	H	-C ₆ H ₄ -CH(O)-C ₆ H ₄ -
2a-133	H	-C ₆ H ₄ -CH(O)-C ₆ H ₄ -
2a-134	H	-CH ₂ -O-C ₆ H ₄ -
2a-135	H	-C ₆ H ₄ -C ₆ H ₃ (O)-
2a-136	H	-C ₆ H ₄ -C(CH ₃) ₂ -C ₆ H ₄ -





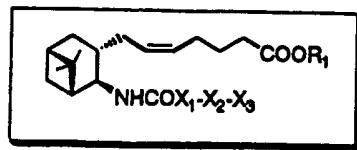
No.	R ₁	X ₁ -X ₂ -X ₃
2a-137	H	--O--OC ₂ H ₅
2a-138	H	--O--OCH(CH ₃) ₂
2a-139	H	-
2a-140	H	-
2a-141	H	--CH=CH--OCH ₃
2a-142	H	--CH ₂ -
2a-143	H	-C(R)-CH(OH)-
2a-144	H	-C(HO) ^s -
2a-145	H	--S(=O)-
2a-146	H	--S(=O) ² -
2a-147	H	--SCH ₃





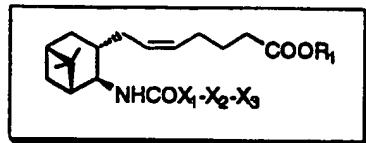
No.	R ₁	X ₁ -X ₂ -X ₃
2a-148	H	
2a-149	H	
2a-150	H	
2a-151	H	
2a-152	H	
2a-153	H	
2a-154	H	
2a-155	H	
2a-156	H	
2a-157	H	
2a-158	H	
2a-159	H	





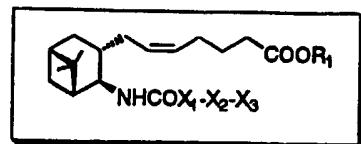
No.	R ₁	X ₁ -X ₂ -X ₃
2a-160	H	
2a-161	H	
2a-162	H	
2a-163	H	
2a-164	H	
2a-165	H	
2a-166	H	
2a-167	H	
2a-168	H	
2a-169	H	
2a-170	H	

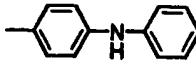
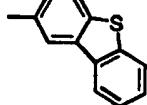
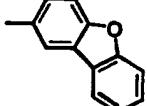
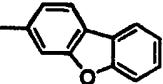
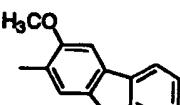
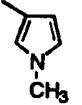
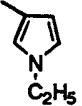
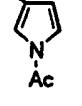




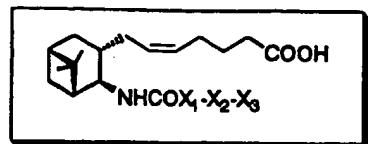
No.	R ₁	X ₁ -X ₂ -X ₃
2a-171	H	
2a-172	H	
2a-173	H	
2a-174	H	
2a-175	H	
2a-176	H	
2a-177	H	
2a-178	H	
2a-179	H	
2a-180	H	
2a-181	H	
2a-182	H	





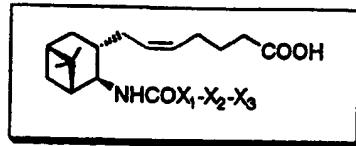
No.	R_1	$\text{X}_1-\text{X}_2-\text{X}_3$
2a-183	H	
2a-184	H	
2a-185	H	
2a-186	H	
2a-187	H	
2a-188	H	
2a-189	H	
2a-190	H	
2a-191	H	
2a-192	H	
2a-193	H	





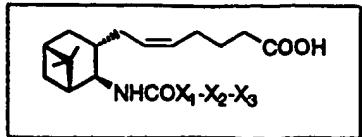
No.	X_1 - X_2 - X_3
2a-214	
2a-215	
2a-216	
2a-217	
2a-218	
2a-219	
2a-220	
2a-221	
2a-222	
2a-223	





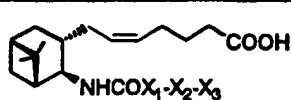
No.	X ₁ -X ₂ -X ₃
2a-224	
2a-225	
2a-226	
2a-227	
2a-228	
2a-229	
2a-230	
2a-231	
2a-232	
2a-233	





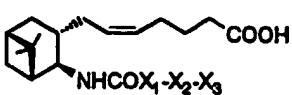
No.	X ₁ -X ₂ -X ₃
2a-234	
2a-235	
2a-236	
2a-237	
2a-238	
2a-239	
2a-240	
2a-241	
2a-242	
2a-243	





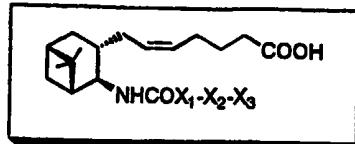
No.	X_1 - X_2 - X_3
2a-244	
2a-245	
2a-246	
2a-247	
2a-248	
2a-249	
2a-250	
2a-251	





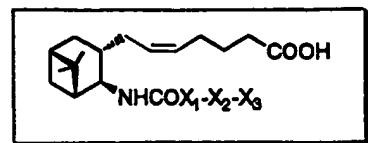
No.	$\text{X}_1\text{-X}_2\text{-X}_3$
2a-252	
2a-253	
2a-254	
2a-255	
2a-256	
2a-257	





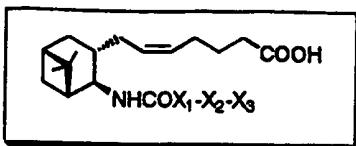
No.	X ₁ -X ₂ -X ₃
2a-258	
2a-259	
2a-260	
2a-261	
2a-262	
2a-263	
2a-264	
2a-265	
2a-266	
2a-267	





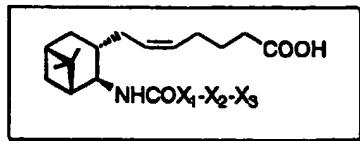
No.	$X_1-X_2-X_3$
2a-268	
2a-269	
2a-270	
2a-271	
2a-272	
2a-273	
2a-274	
2a-275	
2a-276	
2a-277	





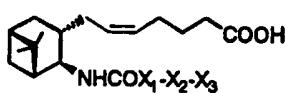
No.	$X_1-X_2-X_3$
2a-278	
2a-279	
2a-280	
2a-281	
2a-282	
2a-283	
2a-284	
2a-285	
2a-286	
2a-287	





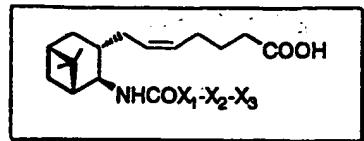
No.	X ₁ -X ₂ -X ₃
2a-288	
2a-289	
2a-290	
2a-291	
2a-292	
2a-293	
2a-294	
2a-295	
2a-296	





No.	X ₁ -X ₂ -X ₃
2a-297	
2a-298	
2a-299	
2a-300	
2a-301	
2a-302	
2a-303	
2a-304	
2a-305	
2a-306	





No.	$X_1 \cdot X_2 \cdot X_3$
2a-307	
2a-308	
2a-309	
2a-310	
2a-311	
2a-312	
2a-313	
2a-314	
2a-315	

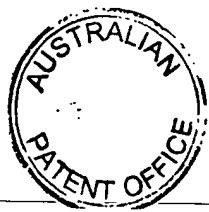
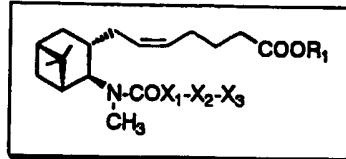
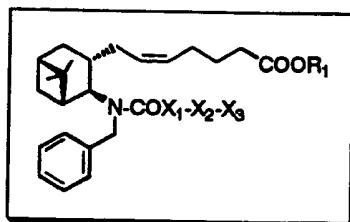


Table 2b



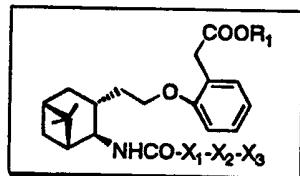
No.	R ₁	X ₁ -X ₂ -X ₃
2b-1	H	
2b-2	H	

Table 2c



No.	R ₁	X ₁ -X ₂ -X ₃
2c-1	H	
2c-2	H	
2c-3	H	

Table 2d



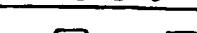
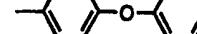
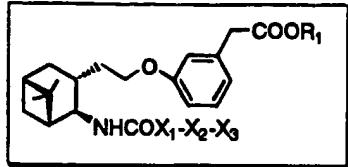
No.	R ₁	X ₁ -X ₂ -X ₃
2d-1	H	
2d-2	H	
2d-3	H	

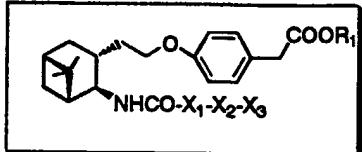


Table 2e



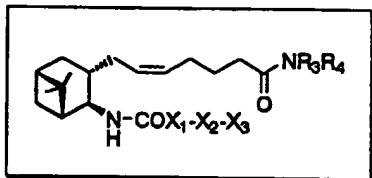
No.	R ₁	X ₁ -X ₂ -X ₃
2e-1	H	
2e-2	H	
2e-3	H	

Table 2f



No.	R ₁	X ₁ -X ₂ -X ₃
2f-1	H	
2f-2	H	
2f-3	H	

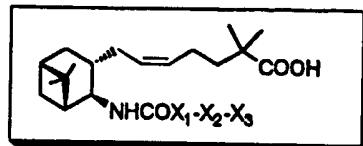
Table 2g



No.	R ₃	R ₄	X ₁ -X ₂ -X ₃
2g-1	H	SO ₂ CH ₃	

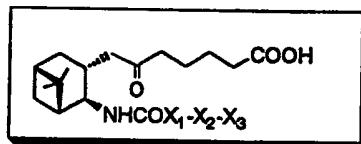


Table 2h



No.	X ₁ -X ₂ -X ₃
2h-1	
2h-2	
2h-3	
2h-4	
2h-5	
2h-6	

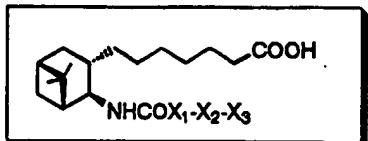
Table 2i



No.	X ₁ -X ₂ -X ₃
2i-1	
2i-2	
2i-3	
2i-4	
2i-5	
2i-6	

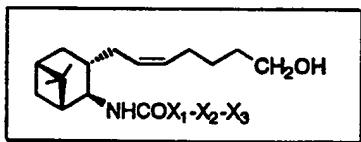


Table 2j



No.	$X_1 \cdot X_2 \cdot X_3$
2j-1	
2j-2	
2j-3	
2j-4	
2j-5	
2j-6	

Table 2k



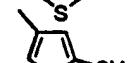
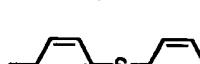
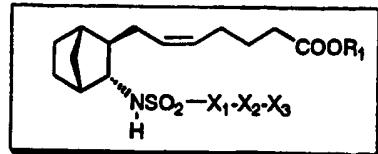
No.	$X_1-X_2-X_3$
2k-1	
2k-2	
2k-3	
2k-4	
2k-5	
2k-6	

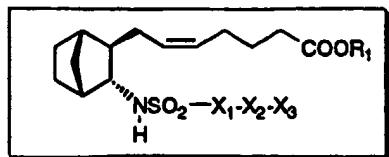


Table 3a



No.	R ₁	X ₁ -X ₂ -X ₃
3a-1	CH ₃	
3a-2	H	
3a-3	CH ₃	
3a-4	H	
3a-5	H ₃ N ⁺ C(CH ₂ OH) ₃	
3a-6	Na	
3a-7	1/2 Ca	
3a-8	H	
3a-9	H	
3a-10	CH ₃	
3a-11	H	
3a-12	CH ₃	
3a-13	H	
3a-14	CH ₃	
3a-15	CH ₃	
3a-16	H	
3a-17	CH ₃	
3a-18	H	

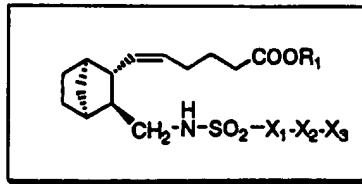




No.	R ₁	X ₁ -X ₂ -X ₃
3a-19	CH ₃	
3a-20	H	
3a-21	CH ₃	
3a-22	H	
3a-23	CH ₃	
3a-24	H	
3a-25	H	-(CH ₂) ₃ CH ₃
3a-26	CH ₃	
3a-27	H	-(CH ₂) ₇ CH ₃
3a-28	CH ₃	
3a-29	H	
3a-30	CH ₃	
3a-31	CH ₃	
3a-32	H	
3a-33	Na	
3a-34	H	
3a-35	Na	

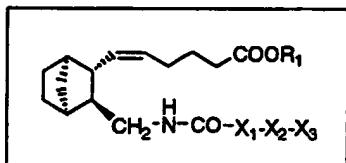


Table 3b



No.	R ₁	X ₁ -X ₂ -X ₃
3b-1	CH ₃	
3b-2	H	
3b-3	H	
3b-4	H	

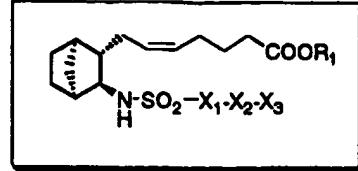
Table 3c

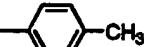
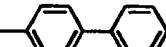
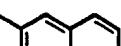


No.	R ₁	X ₁ -X ₂ -X ₃
3c-1	H	



Table 3d



No.	R ₁	X ₁ -X ₂ -X ₃
3d-1	1/2 Ca	
3d-2	Na	
3d-3	Na	
3d-4	Na	
3d-5	CH ₃	
3d-6	H	
3d-7	CH ₃	
3d-8	H	
3d-9	Na	
3d-10	CH ₃	
3d-11	H	
3d-12	Na	
3d-13	1/2 Ca	
3d-14	H	
3d-15	Na	



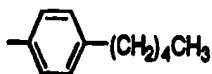
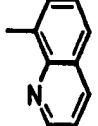
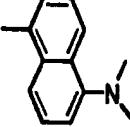
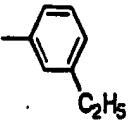
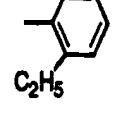
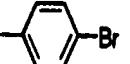
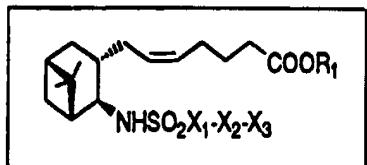
No.	R ₁	X ₁ -X ₂ -X ₃	
3d-16	H		
3d-17	H		
3d-18	H	-(CH ₂) ₃ CH ₃	
3d-19	CH ₃		
3d-20	H	-NHCH ₃	
3d-21	CH ₃		
3d-22	H		
3d-23	H		
3d-24	H		
3d-25			
3d-26	H Na		racemic compound
3d-27 3d-28	H Na		racemic compound
3d-29 3d-30	H Na		racemic compound

Table 3e



Physicochemical properties of compounds above are shown below. The compound number below corresponds to that described in Tables above.

5 No.1a - 4

$[\alpha]_D = -11.5^\circ$ (CHCl₃, c=1.01, 23.5°C).

No.1a - 5

$[\alpha]_D = -10.0^\circ$ (CHCl₃, c=1.01, 25.0°C).

10

No.1a - 6

CDCl₃ 300MHz

0.93-1.96(14H,m), 2.20-2.26(3H,m), 3.03(1H,m), 3.67(3H,s), 4.99(1H,d,J=6.6Hz), 5.10-5.24(2H,m), 7.37-7.51(3H,m), 7.54-7.64(3H,m), 7.76-7.88(2H,m), 8.11(1

15 H,m).

IR (CHCl₃): 3384, 3278, 3026, 2952, 2874, 1727, 1436, 1411, 1324, 1155, 1097 /cm.

$[\alpha]_D = -9.0^\circ$ (CHCl₃, c=1.04, 22.0°C).

No.1a - 7

20 CDCl₃ 300MHz

0.93-2.00(14H,m), 2.18(1H,m), 2.28(2H,t,J=7.2Hz), 3.04(1H,m), 5.15-5.25(2H,m), 5.28(1H,d,J=6.9Hz), 7.36-7.50(3H,m), 7.54-7.63(3H,m), 7.76-7.89(2H,m), 8.12(1H,m) .

IR(CHCl₃): 3268, 3028, 2952, 2872, 1708, 1452, 1410, 1324, 1155, 1097 /cm.

25 $[\alpha]_D = -9.1^\circ$ (CHCl₃, c=1.01, 24.0°C).

No.1a - 8

CDCl₃ 300MHz

0.94-1.99(14H,m), 2.21-



2.29(3H,m),3.05(1H,m),3.67(3H,s),4.92(1H,d,J=6.3Hz), 5.14-
5.30(2H,m),7.70-7.78(6H,m),7.96-8.01(2H,m).

IR(CHCl₃):3376,3272,3018,2946,2868,1727,1616,1435,1388,1324,1162,1130,
1069 /cm.

5 [α]_D=+1.6° (CHCl₃,c=1.01,24.0°C). mp.117-119°C.

No.1a - 9

CDCl₃ 300MHz

0.95-2.08(14H,m),2.19(1H,m), 2.32(2H,t,J=7.2Hz),3.06(1H,m),5.20-5.30(2H,
10 m),5.34(1H,d,J=6.6Hz),7.69-7.78(6H,m),7.96-8.03(2H,m).

IR(CHCl₃):3260,3020,2950,2868,1708,1389,1324,1162,1130,1069 /cm.

[α]_D=+13.3° (CHCl₃,c=1.05,24.0°C).

mp.118-120°C

15 No.1a - 1 0

CDCl₃ 300MHz

0.96-1.98(14H,m),2.15-2.32(3H,m),3.04(1H,m),3.66(3H,s),5.12-
5.26(5H,m),7.67-7.78(4H,m),7.93-8.07(4H,m).

IR(CHCl₃):3276,3018,2946,2868,1726,1595,1435,1341,1162,1095 /cm.

No.1a - 1 2

CDCl₃ 300MHz

0.96-1.96(14H,m),2.22-2.27(3H,m),3.03(1H,m),3.66(3H,s),3.87(3H,s),4.86(1H,d,J=6.9Hz),5.18-5.24(2H,m),6.99-7.02(2H,m),7.55-7.66(2H,m),7.66-7.69(2H,m),7.89-7.92(2H,m).

IR(CHCl₃):3374,3270,3016,2948,2870,1726,1608,1518,1487,1458,1437,1248,1157,1037.

[α]_D=+4.2° (CHCl₃,c=1.01,24°C).

10 mp.85-87°C.

No.1a - 1 3

CDCl₃ 300MHz

0.97-1.99(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.04(1H,m),3.86(3H,s),5.18(1H,d,J=5.7Hz),5.23-5.26(2H,m),6.99-7.02(2H,m),7.55-7.58(2H,m),7.66-7.68(2H,m),7.89-7.92(2H,m).

IR(CHCl₃):3380,3260,3020,2948,2868,1708,1608,1519,1487,1458,1306,1293,1248,1156 /cm.

[α]_D=+18.3° (CHCl₃,c=1.00,25.5°C) .

20

No.1a - 1 4

CDCl₃ 300MHz

0.98-2.00(14H,m),2.20(1H,m),2.25(2H,t,J=7.2Hz),3.02(1H,m),3.67(3H,s),4.85(1H,d,J=6.3Hz),5.19-5.25(2H,m),7.13(1H,dd,J=4.8,3.6Hz),7.39(1H,d,J=4.8Hz),7.40(1H,d,J=3.6Hz),7.71-7.74(2H,m),7.86-7.89(2H,m).

IR(CHCl₃):3374,3270,3018,2946,2868,1727,1593,1434,1322/cm.

[α]_D= +5.6° (CHCl₃,c=1.01,24°C).

mp.69-71°C.



No.1a - 1 5

CDCl₃ 300MHz

0.95-2.00(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.03(1H,m),5.20(1H,d,J=6.

9Hz),5.24-5.28(2H,m),7.13(1H,dd,J=4.8,3.3Hz),7.38(1H,d,J=4.8Hz),7.43(1H,

5 d,J=3.3Hz),7.73(2H,d,J=8.4Hz),7.87(2H,d,J=8.4Hz).

IR(CHCl₃):3260,3022,2948,2868,1709,1593,1404,1321,1154/cm.

[α]_D = +20.8° (CHCl₃,c=1.07,23°C).

mp.71-73°C.

10 No.1a - 1 6

CDCl₃ 300MHz

0.98-2.00(14H,m),2.27(2H,t,J=7.5Hz),2.28(1H,m),3.13(1H,m),3.66(3H,s),4.9

0(1H,d,J=6.9Hz),5.25-5.29(2H,m),7.40-7.65(6H,m),7.76(1H,d,J=8.4Hz),7.90-

8.02(4H,m).

15 IR(CHCl₃):3376,3276,3018,2946,2868,1726,1593,1435,1394,1322,1159/cm.

[α]_D = +7.0° (CHCl₃,c=1.07,24°C).

No.1a - 1 7

CDCl₃ 300MHz

20 1.02-2.07(14H,m),2.25(1H,m),2.34(2H,t,J=6.6Hz),3.14(1H,m),5.28-5.33(3H,

m),7.39-7.57(4H,m),7.62-7.65(2H,m),7.76(1H,d,J=8.1Hz),7.89-8.02(4H,m).

IR(CHCl₃):3260,2948,2868,1709,1593,1394,1324,1157/cm.

[α]_D = +90.2° (CHCl₃,c=1.02,24°C)

IR(CHCl₃):3372,3272,,3018,2946,2868,1727,1433,1331,1152/cm.

[α]_D=-5.7° (CHCl₃,c=1.01,23°C).

No.1a - 1 9

5 CDCl₃ 300MHz

1.05-2.05(14H,m),2.28-2.33(3H,m),3.13(1H,m),5.18(1H,d,J=6.3Hz),5.27-5.31(2H,m),7.24(1H,d,J=4.2Hz),7.39-7.42(3H,m),7.56(1H,d,J=4.2Hz),7.58-7.62(2H,m).

IR(CHCl₃):3372,3254,3018,2948,2868,1707,1431,1328,1151/cm.

10 [α]_D= +4.5° (CHCl₃,c=1.01,21.5°C).

No.1a - 2 0

CDCl₃ 300MHz

1.05-2.00(14H,m),2.26(2H,t,J=7.5Hz),2.33(1H,m),3.11(1H,m),3.68(3H,s),4.915 2(1H,d,J=6.0Hz),5.27(2H,m),7.05(1H,m),7.10(1H,d,J=3.6Hz),7.25(1H,m),7.32(1H,m),7.49(1H,d,J=3.6Hz).

IR(CHCl₃):3372,3272,3018,2946,2686,1727,1438,1417,1333,1151/cm.

[α]_D=-9.2° (CHCl₃,c=1.01,25°C).

20 No.1a - 2 1

CDCl₃ 300MHz

1.02-2.01(14H,m),2.28-2.34(3H,m),3.13(1H,m),5.12(1H,d,J=6.9Hz),5.28-5.32(2H,m),7.06(1H,m),7.10(1H,d,J=3.9Hz),7.25(1H,m),7.32(1H,m),7.50(1H,d,J=3.9Hz).

25 IR(CHCl₃):3350,3250,2948,1709,1440,1420,1380,1151.

[α]_D=+2.5° (CHCl₃,c=1.00,25°C).

No.1a - 2 2

CDCl₃ 300MHz



0.96-2.05(14H,m),2.25(1H,m),2.35(2H,t,J=7.0Hz),3.11(1H,m),5.20-5.34(2H,m),5.41(1H,d,J=6.6Hz),7.31-7.49(5H,m),7.62(1H,d,J=7.8Hz),8.11(1H,d,d,J=1.8and7.8Hz),8.35(1H,d,J=1.8Hz).

IR(CHCl₃):3384,3271,3025,2958,1708,1608,1559,1537,1357,1168/cm.

5 [α]_D=+18.3° (CHCl₃,c=0.31,22°C).

No.1a - 2 3

CDCl₃ 300MHz

0.97-2.07(14H,m),2.24(1H,m),2.35(2H,t,J=6.9Hz),3.09(1H,m),3.86(3H,s),5.2
10 4-5.35(2H,m),5.44(1H,d,J=6.3Hz),6.97-7.00(2H,m),7.26-7.28(2H,m),7.59(1H,
d,J=8.1Hz),8.06(1H,d,d,J=2.1and8.1Hz),8.29(1H,d,J=2.1Hz).

IR(CHCl₃):3384,3270,2959,1709,1609,1535,1519,1357,1302,1255,1226,1169/
cm.

[α]_D=+17.0° (CHCl₃,c=1.00,21°C).

15

No.1No.1a - 24

CDCl₃ 300MHz

0.95-2.00(14H,m),2.20-2.25(1H,m),2.26(2H,t,J=7.2Hz),3.02-3.10(1H,m),
3.66(3H,s),4.92(1H,d,J=6.6Hz),5.16-5.31(2H,m),7.52-7.60(3H,m),7.94.

m.

$[\alpha]_D = +29.8 \pm 0.7^\circ$ (CHCl₃, c = 1.05, 25°C)

mp. 158-160°C

5 No.1 a - 2 6

Anal. Calcd for C₂₆H₃₀N₈O₄SNa 0.8H₂O: C, 60.29; H, 6.15; N, 8.11; S, 6.19; Na, 4.44; Found: C, 60.15; H, 6.19; N, 8.15; S, 6.03; Na, 4.98.

$[\alpha]_D = -16.6^\circ$ (CHCl₃, c = 1.04, 25.0°C).

10 No.1 a - 2 7

CDCl₃ 300MHz

0.92-1.98(14H, m), 2.20(1H, m), 2.26(2H, t, J = 7.5Hz), 3.03(1H, m), 3.12(6H, s), 3.66(3H, s), 4.87(1H, d, J = 6.6Hz), 5.16-5.32(2H, m), 6.73-6.80(2H, m), 7.88-8.00(6H, m).

15 IR(CHCl₃): 3376, 3020, 2946, 1726, 1601, 1518, 1442, 1419, 1362, 1312, 1163, 1133, 1088 /cm.

$[\alpha]_D = +55.3^\circ$ (CHCl₃, c = 0.53, 24.0°C).

mp. 158-168°C

20 No.1 a - 2 8

CDCl₃+CD₃OD 300MHz

0.99-2.14(14H, m), 2.21(1H, m), 2.31(2H, t, J = 7.2Hz), 2.94(1H, m), 3.12(6H, s), 5.22-5.38(2H, m), 6.73-6.81(2H, m), 7.87-8.00(6H, m).

IR(KBr): 3434, 3309, 2946, 1708, 1604, 1520, 1442, 1416, 1366, 1312, 1252, 1164, 1134, 1091 /cm.

25 mp. 155, 1134, 1091 /cm.

$[\alpha]_D$ = not measurable (colored, insufficient energy)

mp. 193-196°C

No.1 a - 2 9



CD₃OD 300MHz

1.02-1.96(14H,m),2.10(2H,t,J=7.8Hz),2.16(1H,m),2.98(1H,m),3.11(6H,s),

5.07-5.27(2H,m),6.80-6.87(2H,m),7.84-8.00(6H,m).

IR(KBr):3433,3087,3004,2949,2871,1604,1565,1520,1444,1420,1364,1312,1

5 253,11638,1136,1090 /cm.

[α]_D= not measurable

No.1a - 3 0

CDCl₃ 300MHz

10 0.95-1.99(14H,m),2.22(1H,m),2.26(2H,t,J=7.2Hz),2.35(3H,s),3.06(1H,m),3.6
6(3H,s),4.95(1H,d,J=6.9Hz),5.15-5.30(2H,m),7.26-7.32(2H,m),7.97-8.06(6H,
m).

IR(CHCl₃):3374,2996,2946,2868,1763,1728,1591,1495,1435,1368,1299,1228,
1192,1163,1139 /cm.

15 [α]_D=+12.9° (CHCl₃,c=1.04,26.0°C).

No.1a - 3 1

CDCl₃ 300MHz

0.93-2.01(14H,m),2.19(1H,m),2.31(2H,t,J=7.2Hz),2.35(3H,s),3.06(1H,m),

20 5.17-5.32(2H,m),7.25-7.32(2H,m),7.96-8.07(6H,m).

IR(CHCl₃):3267,3028,2952,2874,1759,1708,1592,1495,1368,1328,1299,1163

IR(CHCl₃):3374,3276,3018,2946,2686,1725,1605,1589,1502,1433,1396,1330,1271,1164,1135,1089 /cm. [α]_D= +18.6° (CHCl₃,c=1.00,26.0°C).

No.1a - 3 3

5 CDCl₃+CD₃OD 300MHz

0.98-2.08(14H,m),2.20(1H,m),2.28(2H,t,J=7.2Hz),2.98(1H,m),5.18-5.32(2H,m),6.92-6.99(2H,m),7.85-8.02(6H,m).

IR(KBr):3385,3248,2948,2876,1717,1601,1505,1430,1399,1296,1280,1219,1165,1136,1092 /cm.

10 [α]_D= -16.0° (CH₃OH,c=1.08,26.0°C).

mp.208-210°C

No.1a - 3 4

mp.82-83°C [α]_D= +10.6° (CHCl₃,c=1.01,23.5°C).

15

No.1a - 3 5

mp.80-82°C [α]_D= -1.8° (CHCl₃,c=1.07,22.0°C).

No.1a - 3 6

20 TLC Rf=0.25 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a - 3 7

CDCl₃ 300MHz

0.92-1.96(14H,m),2.21(1H,m),2.27(2H,t,J=7.4Hz),3.01(1H,m),3.66(3H,s),4.7

25 1(1H,d,J=6.6Hz),5.14-5.29(2H,m),7.12(1H,d,J=16.2Hz),7.24(1H,d,J=16.2Hz),7.28-7.42(3H,m),7.52-7.56(2H,m),7.62(2H,d,J=8.7Hz),7.85(2H,d,J=8.7Hz).

IR(CHCl₃):3384,3283,3023,2954,2876,1730,1595,1494,1317,1163,1147 /cm.

[α]_D= +10.5° (CHCl₃,c=1.01,24°C).

mp 116-117 °C.



No.1a - 3 8

CDCl₃ 300MHz

0.92-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.02(1H,m),5.23-5.29(3H,
 5 m),7.11(1H,d,J=16.2Hz),7.23(1H,d,J=16.2Hz),7.28-7.41(3H,m),7.52-7.55(2H,
 m),7.61(2H,d,J=8.7Hz),7.86(2H,d,J=8.7Hz).

IR(CHCl₃):3515,3384,3270,3022,3015,2957,2876,2669,1708,1595,1496,1320,
 1157 /cm.

$[\alpha]_D = +27.1^\circ$ (CHCl₃,c=1.02,24°C).

10

No.1a - 3 9

CDCl₃ 300MHz

0.92-1.99(14H,m),2.15(1H,m),2.28(2H,t,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.9
 6(1H,d,J=6.6Hz),5.16-5.32(2H,m),6.60(1H,d,J=12.0Hz),6.74(1H,d,J=12.0Hz),
 15 7.16-7.23(5H,m),7.35(2H,d,J=8.4Hz),7.72(2H,d,J=8.4Hz).

IR(CHCl₃):3384,3283,3023,3015,2954,2876,1730,1595,1493,1324,1163,1147
 /cm.

$[\alpha]_D = +13.7^\circ$ (CHCl₃,c=1.00,24°C).

20 No.1a - 4 0

CDCl₃ 300MHz

0.90-2.16(14H,m),2.12(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.16(1H,d,J=6

CDCl₃ 300MHz

0.98-1.99(14H,m),2.17(1H,m),2.32(2H,t,J=7.2Hz),3.00(1H,m),3.84(3H,s),
5.20-5.26(3H,m),6.90-6.95(2H,m),6.98(1H,d,J=16.2Hz),7.17(1H,d,J=16.2Hz),
7.46-7.49(2H,m),7.58(2H,d,J=8.4Hz),7.83(2H,d,J=8.4Hz).

5 IR(CHCl₃):3258,3018,3002,2950,1709,1590,1509,1457,1404,1302,1250,1153 /cm.

[α]_D= +30.2° (CHCl₃,c=1.00,23°C).

mp.99-100 °C

10 No.1 a - 4 2

CDCl₃ 300MHz

1.01-1.99(14H,m),2.28(2H,t,J=7.2Hz),2.30(1H,m),3.10(1H,m),3.66(3H,s),5.07(1H,br),5.25-5.30(2H,m),6.98-7.04(2H,m),7.16(1H,d,J=16.2Hz),7.28-7.37(3H,m),7.47-7.50(3H,m).

15 IR(CHCl₃):3372,3276,3020,2946,2870,1727,1491,1433,1331,1152 /cm.

[α]_D= -11.5° (CHCl₃,c=1.07,21.5°C).

No.1 a - 4 3

CDCl₃ 300MHz

20 0.98-2.00(14H,m),2.11-2.36(3H,m),3.12(1H,m),5.10(1H,d,J=6.6Hz),5.29-5.32(2H,m),6.99-7.04(2H,m),7.23(1H,d,J=21.6Hz),7.32-7.49(6H,m).

IR(CHCl₃):3380,3248,3020,2948,2868,1709,1491,1430,1329,1151/cm.

[α]_D= +3.4° (CHCl₃,c=1.03,25°C).

25 No.1 a - 4 4

CDCl₃ 300MHz

1.00-2.00(14H,m),2.13(1H,m),2.29(2H,t,J=7.4Hz),2.90-3.13(5H,m),3.68(3H,s),4.74(1H,d,J=6.6Hz),5.15-5.30(2H,m),7.18-7.29(7H,m),7.76(2H,d,J=8.1Hz).

IR(CHCl₃):3384,3282,3063,3028,3023,3016,2953,2876,1730,1599,1496,1319,



1157/cm.

$[\alpha]_D = +2.3^\circ$ (CHCl₃, c=1.00, 25°C).

mp. 85.0-86.0°C

5 No.1a - 4 5

CDCl₃ 300MHz

0.90-2.05(14H, m), 2.09(1H, m), 2.35(2H, t, J=6.9Hz), 2.90-3.13(5H, m), 5.18(1H, d, J=6.6Hz), 5.24-5.34(2H, m), 7.10-7.27(7H, m), 7.76(2H, d, J=8.4Hz).

IR(CHCl₃): 3510, 3384, 3270, 3087, 3063, 3026, 3018, 3014, 2955, 2876, 2670, 1708,

10 1599, 1496, 1318, 1157/cm.

$[\alpha]_D = +8.5^\circ$ (CHCl₃, c=1.01, 25°C).

No.1a - 4 6

$[\alpha]_D = +6.8^\circ$ (CHCl₃, c=1.05, 25°C). mp. 99-100°C.

15

No.1a - 4 7

CDCl₃ 300MHz

0.97-2.01(14H, m), 2.14(1H, m), 2.36(2H, t, J=7.2Hz), 3.02(1H, m), 5.23(1H, d, J=5.4Hz), 5.26-5.30(2H, m), 7.37-7.39(3H, m), 7.54-7.58(2H, m), 7.63-7.66(2H, m), 7.8

CDCl₃ 300MHz

0.96-1.97(14H,m),2.24(1H,m),2.31(2H,t,J=6.9Hz),3.05(1H,m),3.69(3H,s),5.15(1H,d,J=6.6Hz),5.25-5.27(2H,m),7.40-7.43(3H,m),7.61-7.64(2H,m),7.85(1H,d,J=8.1Hz),8.07(1H,dd,J=8.1,1.8Hz),8.58(1H,d,J=1.8Hz).

5 IR(CHCl₃):3374,3020,2948,2870,2212,1726,1606,1530,1493,1437,1345,1167/cm.

[α]_D=+2.4° (CHCl₃,c=1.03,25°C). mp.77-79°C.

No.1a - 5 0

10 CDCl₃ 300MHz

1.00-2.02(14H,m),2.20(1H,m),2.34(2H,t,J=6.6Hz),3.08(1H,m),5.26-5.29(2H,m),5.41(1H,d,J=6.9Hz),7.40-7.43(3H,m),7.61-7.64(2H,m),7.84(1H,d,J=8.1Hz),8.07(1H,dd,J=8.4,1.8Hz),8.57(1H,dd,J=1.8Hz).

IR(CHCl₃):3380,3254,2952,2880,2212,1707,1606,1531,1493,1409,1344,1166.

15

[α]_D=+23.4° (CHCl₃,c=1.00,25°C).

No.1a - 5 1

CDCl₃ 300MHz

20 0.95-1.98(14H,m),2.23(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.66(3H,s),4.56(2H,br),4.70(1H,d,J=6.9Hz),5.20-5.29(2H,m),7.15(1H,dd,J=7.8,1.8Hz),7.23(1H,d,J=1.8Hz),7.36-7.39(3H,m),7.46(1H,d,J=7.8Hz),7.53-7.56(2H,m).

IR(CHCl₃):3494,3386,3028,2952,2874,1725,1611,1559,1497,1422,1317,1162/cm.

25

No.1a - 5 2

CDCl₃ 300MHz

0.96-2.04(16H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),2.99(1H,m),5.17(1H,d,J=6.3Hz),5.28-5.31(2H,m),7.18(1H,dd,J=9.6,1.8Hz),7.25(1H,m),7.36-7.39(3H,m),



7.46(1H,d,J=7.8Hz),7.52-7.56(2H,m).

IR(CHCl₃):3482,3378,3260,3022,2948,2868,1708,161

2,1495,1422,1317/cm.

[α]_D=+15.0° (CHCl₃,c=1.00,24°C).

5

No.1a - 5 3

CDCl₃ 300MHz

1.01-2.05(15H,m),2.31(2H,t,J=7.2Hz),3.10(1H,m),3.67(3H,s),5.02(1H,br),5.2

6-5.33(2H,m),7.18(1H,d,J=4.2Hz),7.36-7.39(3H,m),7.48(1H,d,J=4.2Hz),7.51-

10 7.55(2H,m).

IR(CHCl₃):3372,3270,3018,3004,2946,2868,2202,1726,1486,1433,1336,115

4/cm.

[α]_D=+0.6° (CHCl₃,c=1.11,25°C), [α]₄₃₈ +17.8° (CHCl₃,c=1.11,25°C).

15 No.1a - 5 4

CDCl₃ 300MHz

0.99-2.11(14H,m),2.27(1H,m),2.37(2H,t,J=7.5Hz),3.13(1H,m),5.16(1H,d,J=6.

6Hz),5.31-5.35(2H,m),7.18(1H,d,J=3.6Hz),7.37-7.39(3H,m),7.50(1H,d,J=3.6

Hz),7.52-7.55(2H,m).

IR(CHCl₃):3484,3370,3246,3049,2868,2202,1700,1486,1420,1025,1150/

No.1 a - 5 6

CDCl₃ 300MHz

0.95-1.95(14H,m),2.10(1H,m),2.27(2H,t,J=6.9Hz),3.00(1H,m),5.17-5.21(2H,m),5.38(1H,d,J=6.9Hz),7.39-7.60(7H,m),7.70(1H,dd,J=7.8,1.5Hz),8.07(1H,J=6.6,1.5Hz).

IR(CHCl₃):3364,3026,2952,2874,2212,1707,1597,1491,1458,1411,1341,1164/cm.

[α]_D=-43.1° (CHCl₃,c=1.00,25°C).

10 No.1 a - 5 7

CDCl₃ 300MHz

0.99-1.97(14H,m),2.23-2.30(3H,m),3.01(1H,m),3.67(3H,s),5.17-5.26(3H,m),7.36-7.38(3H,m),7.50-7.56(3H,m),7.60(1H,m),7.83(1H,m),8.05(1H,m).

IR(CHCl₃):3376,3020,2946,2870,1727,1598,1491,1437,1412,1330,1245,11615 3/cm.

[α]_D=-12.7° (CHCl₃,c=1.00,24°C).

No.1 a - 5 8

CDCl₃ 300MHz

20 0.97-1.98(14H,m),2.20(1H,m),2.33(2H,t,J=6.9Hz),3.02(1H,m),5.19-5.28(3H,m),7.36-7.38(3H,m),7.47-7.55(3H,m),7.69(1H,m),7.83(1H,m),8.04(1H,m).

IR(CHCl₃):3376,3260,3022,3002,2948,2868,2220,1708,1598,1490,1455,1412,1327,1162/cm.

[α]_D=-8.6° (CHCl₃,c=1.01,24°C).

25

No.1 a - 5 9

CDCl₃ 300MHz

0.95-1.99(24H,m),2.20(1H,m),2.28(2H,t,J=7.8Hz),2.53(1H,s),2.96(1H,m),3.69(3H,s),4.99(1H,d,J=6.6Hz),5.18-5.20(2H,m),7.53(2H,d,J=8.4Hz),7.82(2H,d,



$J=8.4\text{Hz}$).

IR(CHCl_3): 3583, 3376, 3002, 2936, 2852, 1725, 1591, 1490, 1437, 1393, 1325, 1160/cm.

$[\alpha]_D=-8.8^\circ$ ($\text{CHCl}_3, c=1.00, 24^\circ\text{C}$).

5

No.1a - 6 0

CDCl_3 300MHz

0.96-2.05(24H,m), 2.22(1H,m), 2.33(2H,m), 2.88(1H,m), 5.22-5.26(2H,m), 5.30(1H,d, $J=5.7\text{Hz}$), 7.50(2H,d, $J=8.7\text{Hz}$), 7.80(2H,d, $J=8.7\text{Hz}$).

10 IR(CHCl_3): 3376, 3260, 3022, 2936, 2852, 1710, 1592, 1491, 1452, 1395, 1325, 1159/cm.

$[\alpha]_D=-8.9^\circ$ ($\text{CHCl}_3, c=1.06, 24^\circ\text{C}$),

mp. 88-91°C

15 No.1a - 6 1

CDCl_3 300MHz

0.95-2.24(23H,m), 2.29(2H,m), 2.99(1H,m), 3.69(3H,s), 4.76(1H,d, $J=6.3\text{Hz}$), 5.21-5.24(2H,m), 6.28(1H,m), 7.50-7.53(2H,m), 7.77-7.80(2H,m).

IR(CHCl_3): 3374, 3270, 3018, 2942, 2868, 2196, 1726, 1589, 1490, 1435, 1324, 1158/

No.1a - 6 3

CDCl₃ 300MHz

0.93-1.95(25H,m),2.16(1H,m),2.29(2H,t,J=7.2Hz),2.43(2H,t,J=6.9Hz),2.94(1H,m),3.69(3H,s),4.95(1H,d,J=6.9Hz),5.21-5.24(2H,m),7.49(2H,d,J=8.7Hz),7.59(2H,J=8.7Hz).

IR(CHCl₃):3376,3018,2946,2866,2222,1727,1592,1456,1435,1325,1158/cm.[α]_D=+3.7° (CHCl₃,c=1.00,25°C).

No.1a - 6 4

10 CDCl₃ 300MHz

0.93-1.97(26H,m),2.35(2H,t,J=7.2Hz),2.43(2H,t,J=7.2Hz),3.00(1H,m),5.08(1H,d,J=6.6Hz),5.26-5.27(2H,m),7.49(2H,d,J=8.7Hz),7.78(2H,d,J=8.7Hz).

IR(CHCl₃):3260,3020,2948,2864,2222,1708,1592,1489,1456,1397,1324,1156/cm.15 [α]_D=+14.4° (CHCl₃,c=1.00,25°C) mp.70-71°C.

No.1a - 6 5

CDCl₃ 300MHz

0.95-1.98(14H,m),2.18(1H,m),2.30(2H,t,J=7.2Hz),3.00(1H,m),3.67(3H,s),4.83(1H,d,J=6.9Hz),5.22-5.25(2H,m),5.54(1H,br),6.82-6.85(2H,m),7.42-7.45(2H,m),7.59-7.62(2H,m),7.82-7.85(2H,m).

IR(CHCl₃):3576,3374,3018,2946,2868,2208,1725,1607,1587,1514,1435,1325,1270,1162,1133/cm.[α]_D=+9.1° (CHCl₃,c=1.03,24°C), mp.111-112°C

25

No.1a - 6 6

CDCl₃ 300MHz

0.97-2.03(14H,m),2.15(1H,m),2.35(2H,t,J=7.5Hz),3.00(1H,m),5.17(1H,d,J=6.6Hz),5.26-5.30(2H,m),6.82-6.85(2H,m),7.42-7.45(2H,m),7.59-7.62(2H,m),7.8



2-7.85(2H,m).

IR(CHCl₃):3260,2948,2870,2208,1709,1607,1587,1514,1396,1325,1270,1162,1133/cm.

[α]_D=-21.0° (CHCl₃,c=1.00,23°C), mp.161-162°C

5

No.1a - 6 7

CDCl₃ 300MHz

0.95-1.98(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.01(1H,m),3.67(3H,s),4.82(1H,d,J=6.6Hz),5.19-5.27(2H,m),7.05-7.10(2H,m),7.51-7.56(2H,m),7.61-7.610 4(2H,m),7.84-7.87(2H,m).

IR(CHCl₃):3374,3280,3020,2946,2868,2214,1727,1589,1509,1435,1327,1233,1161,1134/cm.

[α]_D=+6.7° (CHCl₃,c=1.01,24°C), mp.84-85°C

15 No.1a - 6 8

CDCl₃ 300MHz

0.96-2.01(14H,m),2.15(1H,m),2.34(2H,t,J=6.9Hz),3.02(1H,m),5.23-5.27(3H,m),7.04-7.10(2H,m),7.51-7.56(2H,m),7.61-7.64(2H,m),7.85-7.88(2H,m).

IR(CHCl₃):3374,3258,3020,2948,2868,2214,1708,1589,1509,1455,1398,1322,

$[\alpha]_D = +9.2^\circ$ (CHCl₃, c = 1.02, 24°C).

mp. 116-118°C

No. 1a - 7 0

5 CDCl₃ 300MHz

1.15-2.00(14H, m), 2.13(1H, m), 2.33-2.38(5H, m), 3.04(1H, m), 5.14(1H, d, J = 6.6 Hz), 5.25-5.30(2H, m), 7.17(2H, d, J = 7.8Hz), 7.44(2H, d, J = 7.8Hz), 7.62(2H, d, J = 8.4Hz), 7.85(2H, d, J = 8.4Hz).

IR(CHCl₃): 3380, 3260, 3020, 2948, 2868, 2210, 1708, 1590, 1511, 1396, 1324, 1160,

10 1133/cm.

$[\alpha]_D = +24.6^\circ$ (CHCl₃, c = 1.00, 24°C).

No. 1a - 7 1

CDCl₃ 300MHz

15 0.95-1.96(14H, m), 2.19(1H, m), 2.29(2H, t, J = 7.2Hz), 3.00(1H, m), 3.20(1H, s), 3.65(3H, s), 4.81(1H, d, J = 6.6Hz), 5.20-5.27(2H, m), 7.46-7.54(4H, m), 7.62-7.65(2H, m), 7.85-7.88(2H, m).

IR(CHCl₃): 3374, 3290, 3018, 3002, 2946, 2868, 2212, 2110, 1726, 1591, 1507, 1435, 1401, 1324, 1161/cm.

20 $[\alpha]_D = +9.6^\circ$ (CHCl₃, c = 1.01, 24°C), mp. 136-138°C,

No. 1a - 7 2

CDCl₃ 300MHz

0.96-2.01(14H, m), 2.14(1H, m), 2.35(2H, t, J = 7.2Hz), 3.05(1H, m), 3.20(1H, s), 5.1

25 6(1H, d, J = 7.2Hz), 5.26-5.29(2H, m), 7.45-7.53(4H, m), 7.63(2H, d, J = 8.4Hz), 7.87(2H, d, J = 8.4Hz).

IR(CHCl₃): 3462, 3374, 3290, 3024, 2948, 2868, 2212, 2110, 1708, 1591, 1508, 1455, 1401, 1321, 1274, 1160, 1132/cm.

$[\alpha]_D = +24.3^\circ$ (CHCl₃, c = 1.03, 24°C), mp. 96-99°C



No.1a - 7 3

CDCl₃ 300MHz

0.95-1.98(14H,m),2.19(1H,m),2.27-2.32(5H,m),3.01(1H,m),3.67(3H,s),4.80(1
 5 H,d,J=6.6Hz),5.20-5.27(2H,m),7.12(2H,m),7.56(2H,m),7.63(2H,m),7.84(2H,
 m).

IR(CHCl₃):3374,3276,3018,2946,2868,2214,1762,1730,1589,1506,1435,1368,
 1161/cm.

$[\alpha]_D = +7.8^\circ$ (CHCl₃,c=1.02,24°C), mp.102-104°C

10

No.1a - 7 4

CDCl₃ 300MHz

0.95-2.05(14H,m),2.15(1H,m),2.32-2.37(5H,m),3.02(1H,m),5.14(1H,d,J=6.6
 Hz),5.26-5.30(2H,m),7.10-7.13(2H,m),7.54-7.57(2H,m),7.62-7.64(2H,m),7.84
 15 -7.87(2H,m).

IR(CHCl₃):3482,3250,3022,2946,2868,2214,1716,1709,1589,1507,1454,1396,
 1368,1322,1195,1161/cm.

$[\alpha]_D = +15.0^\circ$ (CHCl₃,c=1.00,24°C), mp.129-131°C

1.04-2.05(14H,m),2.19(1H,m),2.32(2H,t,J=6.9Hz),2.93(1H,m),5.27-5.31(2H,m),7.60-7.63(2H,m),7.65-7.68(2H,m),7.86-7.89(2H,m),8.05-8.07(2H,m).

IR(CHCl₃):3402,3299,2955,2876,2665,2549,1455,1422,1313,1281,1164 /cm.

[α]_D=-21.1° (CH₃OH,c=1.03,23°C), mp.227-229(dec.)

5

No.1 a - 7 7

CDCl₃ 300MHz

0.96-1.99(14H,m),2.20(1H,m),2.30(2H,t,J=7.2Hz),3.02(1H,m),3.68(3H,s),4.88(1H,d,J=6.3Hz),5.19-5.29(2H,m),7.67-7.72(4H,m),7.89-7.91(2H,m),8.24-8.2

10 7(2H,m).

IR(CHCl₃):3376,3276,3020,2946,2870,2214,1726,1594,1519,1455,1435,1389,1344,1161/cm.

[α]_D=+7.7° (CHCl₃,c=1.02), mp.87-89°C

15 No.1 a - 7 8

CDCl₃ 300MHz

0.98-2.00(14H,m),2.18(1H,m),2.34(2H,t,J=7.2Hz),3.02(1H,m),5.24-5.28(2H,m),5.32(1H,d,J=5.7Hz),7.67-7.72(4H,m),7.89-7.92(2H,m),8.23-8.26(2H,m).

IR(CHCl₃):3374,3260,2948,2214,1708,1595,1344,1160/cm.

20 [α]_D=+23.3° (CHCl₃,c=1.00), mp.102-103°C.

No.1 a - 7 9

CDCl₃ 300MHz

0.93-2.02(14H,m),2.13(1H,m),2.36(2H,t,J=7.1Hz),3.05(1H,m),3.84(3H,s),5.1

25 8(1H,br),5.27-5.31(2H,m),6.88-6.91(2H,m),7.48-7.50(2H,m),7.60-7.63(2H,m),7.83-7.85(2H,m).

IR(CHCl₃):3380,3252,3020,2950,2868,2208,1708,1589,1511,1457,1396,1321,1286,1160/cm.

[α]_D=+26.7° (CHCl₃,c=1.00). mp.75-77°C



No.1a - 8 0

CDCl₃ 300MHz

0.96-1.99(14H,m),2.21(1H,m),2.30(2H,t,J=7.8Hz),3.02(1H,m),3.68(3H,s),4.8
 5 0(1H,d,J=6.6Hz),5.19-5.28(2H,m),7.51-7.77(5H,m),7.87-7.90(2H,m),8.13(1H,
 m).

IR(CHCl₃):3374,3270,3018,2946,2868,2216,1726,1607,1567,1527,1495,1456,
 1436,1344,1296,1161/cm.

[α]_D=+7.4° (CHCl₃,c=1.00,22°C), mp.68-70°C

10

No.1a - 8 1

CDCl₃ 300MHz

0.97-2.01(14H,m),2.16(1H,m),2.34(2H,t,J=7.2Hz),3.01(1H,m),5.22-5.28(3H,
 m),7.51(1H,m),7.65(1H,m)7.70-7.76(3H,m),7.88-7.91(2H,m),8.12(1H,dd,J=6.
 15 9Hz,1.5Hz).

IR(CHCl₃):3480,3382,3262,3026,2952,2872,2218,1708,1607,1567,1526,1396,
 1343,1225,1160/cm.

[α]_D=+22.0° (CHCl₃,c=1.00), mp.92-94°C

20 No.1a - 8 2

CDCl₃ 300MHz

CDCl₃ 300MHz

0.97-1.99(14H,m),2.17(1H,m),2.33(2H,t,J=6.9Hz),2.99(1H,m),5.20-5.28(2H,m),5.37(1H,d,J=6.9Hz),6.45(2H,br),6.71-6.76(2H,m),7.19(1H,dd,J=7.8,6.6Hz),7.37(1H,m),7.62(2H,d,J=8.4Hz),7.85(2H,d,J=8.4Hz).

5 IR(CHCl₃):3478,3378,3260,3022,2950,2868,2204,1708,1613,1589,1484,1454,1396,1316,1160/cm.
 [α]_D=+17.1° (CHCl₃,c=1.01).

No.1 a - 8 4

10 CDCl₃ 300MHz
 1.00-2.08(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.06(1H,m),3.86(3H,s),5.29-5.33(2H,m),5.45(1H,d,J=6.6Hz),6.91-6.94(2H,m),7.56-7.59(2H,m),7.81(1H,d,t,J=8.1Hz),8.04(1H,d,d,J=8.1&1.8Hz),8.57(1H,d,J=2.1Hz).
 IR(CHCl₃):3492,3254,3028,2954,2202,1708,1597,1512,1344,1291,1250/cm.
 15 [α]_D=+27.4° (CHCl₃,c=0.53,23°C).

No.1 a - 8 5

CDCl₃ 300MHz
 0.96-2.05(14H,m),2.20(1H,m),2.35(2H,t,J=6.9Hz),2.99(1H,m),3.84(3H,s),5.2-5.31(3H,m),6.89(2H,d,J=8.7Hz),7.19(1H,brs),7.29(1H,brs),7.45-7.50(3H,m).
 IR(CHCl₃):3478,3378,3020,2950,2868,2202,1708,1606,1511,1421,1311,1287,1248,1155/cm.
 [α]_D=+17.1° (CHCl₃,c=1.00,23°C).

25

No.1 a - 8 6

CDCl₃ 300MHz

1.03-2.05(14H,m),2.21(1H,m),2.37(2H,t,J=6.9Hz),3.04(1H,m),5.29-5.33(2H,m),5.57(1H,d,J=6.3Hz),6.84-6.87(2H,m),7.50-7.53(2H,m),7.79(1H,d,J=8.1Hz)



),8.03(1H,d,d,J=1.5and8.1Hz),8.57(1H,d,J=1.5Hz).

IR(CHCl₃):3250,3024,2950,2868,2200,1707,1515,1344,1271,1166,1143/cm.

[α]_D=+21.2° (CHCl₃,C=0.26,22°C).

5 No.1a - 8 7

CD₃OD 300MHz

1.04-2.00(14H,m),2.18(1H,m),2.26(2H,t,J=5.4Hz),2.93(1H,m),5.19-5.24(2H,m),6.77-6.80(2H,m),7.05(1H,d,d,J=2.1and8.1Hz),7.22(1H,d,J=2.1Hz),7.38-7.42(3H,m).

10 IR(CHCl₃):3377,2952,2873,2204,1705,1607,1515,1425,1312,1267,1222,1153/cm.

[α]_D=-15.6° (CH₃OH,C=1.02,22°C).

No.1a - 8 8

15 CDCl₃ 300MHz

0.90-1.96(14H,m),2.22-2.31(3H,m),2.95(1H,m),3.65(3H,s),4.87(1H,d,J=6.6Hz),5.13-5.28(2H,m),7.46-7.62(3H,m),7.82-7.89(4H,m),7.90-7.96(2H,m),8.42(1H,brs).

IR(CHCl₃):3376,3016,2946,2868,1720,1677,1592,1514,1498,1429,1376,1314,

No.1a - 9 0

CDCl₃ 300MHz

0.89-1.96(14H,m),2.23-2.33(3H,m),2.92(1H,m),3.67(3H,s),4.85(1H,d,J=6.3Hz),5.10-5.25(2H,m),7.81-7.90(4H,m),8.10-8.18(2H,m),8.31-8.40(2H,m),8.77(1H,s).

IR(CHCl₃):3372,3018,2946,2868,1718,1685,1592,1527,1436,1397,1346,1318,1256,1154,1099 /cm.

[α]_D = -16.1° (CHCl₃,c=1.00,23.0°C).

10

No.1a - 9 1

CDCl₃+CD₃OD 300MHz

0.94-2.02(14H,m),2.18-2.36(3H,m),2.87(1H,m),5.15-5.30(2H,m),7.82-7.92(4H,m),8.09-8.16(2H,m),8.30-8.37(2H,m).

15 IR(KBr):3284,3112,3006,2952,2874,1707,1593,1528,1498,1399,1348,1320,1259,1153,1093 /cm.

[α]_D = -26.3° (CH₃OH,c=1.01,22°C).

No.1a - 9 2

20 CDCl₃ 300MHz

0.93-1.95(14H,m),2.22-2.31(3H,m),2.98(1H,m),3.68(3H,s),5.07(1H,d,J=6.9Hz),5.10-5.24(2H,m),7.18(1H,m),7.35-7.43(2H,m),7.70(2H,d,J=7.8Hz),7.88-8.05(4H,m),8.50(1H,brs).

IR(CHCl₃):3382,3008,2952,1720,1675,1599,1525,1499,1438,1321,1253,1161,1087 /cm.

[α]_D = -16.6° (CHCl₃,c=1.03,24.0°C) mp.100-101°C

No.1a - 9 3

CDCl₃+CD₃OD 300MHz

0.96-2.00(14H,m),2.18-2.35(3H,m),2.90(1H,m),5.15-5.30(2H,m),7.18(1H,m),
7.33-7.42(2H,m),7.65-7.74(2H,m),7.90-8.08(4H,m).

IR(KBr):3347,3194,3011,2955,2875,1706,1650,1602,1544,1499,1443,1325,
1265,1165,1091 /cm.

5 [α]_D = -19.4° (CH₃OH,c=1.00,24.0°C) mp.158-159°C

No.1a - 9 4

CD₃OD 300MHz

1.05-2.00(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.98(1H,m),3.80(3H,s),5.1
10 3-5.27(2H,m),6.88-6.98(2H,m),7.54-7.64(2H,m),7.94-8.12(4H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1
302,1248,1162,1107,1090,1032/cm.

[α]_D = -19.1° (CH₃OH,c=1.01,24°C).

15 No.1a - 9 5

CD₃OD 300MHz

1.04-2.02(14H,m),2.14(1H,m),2.23(2H,t,J=7.2Hz),2.93-3.02(7H,m),5.13-5.27
(2H,m),6.82-6.92(2H,m),7.51-7.59(2H,m),7.95-8.02(2H,m),8.04-8.11(2H,m).

IR(KBr):3370,3006,2953,1708,1649,1604,1541,1512,1460,1441,1414,1328,1

20 302 1248 1162 1107 1090 1032/cm

No.1a - 9 7

 d_6 -DMSO 300MHz

1.05-2.08(15H,m),2.15(2H,t,J=7.5Hz),2.89(1H,m),5.18-5.28(2H,m),6.78-7.12
 5 (3H,m),7.73(1H,d,d,J=1.4 and 7.8Hz),7.91-7.95(3H,m),8.14(2H,d,J=8.4Hz),9.
 71(1H,s).

IR(KBr):3407,3191,2953,1711,1646,1614,1603,1537,1457,1326,1162,1151/c
 m.

$[\alpha]_D = -20.7^\circ$ (CH₃OH, c=1.01, 21°C).

10

No.1a - 9 8

CDCl₃ 300MHz

0.93-2.00(14H,m),2.21(1H,m),2.31(2H,t,J=7.2Hz),2.93(1H,m),3.84(3H,s),3.8
 5(6H,s),5.15-5.30(2H,m),5.45(1H,d,J=6.3Hz),7.04(2H,s),7.78-7.86(2H,m),7.9
 15 0-7.98(2H,m),8.58(1H,s).

IR(CHCl₃):3264,3008,2954,2874,1707,1670,1607,1537,1506,1451,1421,1308,
 1158,1129,1088/cm.

$[\alpha]_D = -7.2^\circ$ (CHCl₃, c=1.01, 23.5°C). mp. 147-149°C.

20 No.1a - 9 9

CD₃OD 300MHz

1.04-1.98(14H,m),2.21(1H,m),2.10(2H,t,J=7.2Hz),2.95(1H,m),3.76(3H,s),3.8
 6(6H,s),5.07-5.24(2H,m),7.19(2H,s),7.99(2H,d,J=8.7Hz),8.13(1H,d,J=8.7Hz).

25 IR(KBr):3354,3002,2950,2874,1656,1607,1570,1508,1452,1413,1314,1233,1
 185,1157,1127,1092/cm.

$[\alpha]_D = -20.3^\circ$ (CH₃OH, c=1.00, 23.5°C).

No.1a - 1 0 0



CDCl₃ 300MHz

1.14-1.97(14H,m),2.19(1H,m),2.28(2H,t,J=7.4Hz),3.04(1H,m),3.69(3H,s),5.03(1H,d,J=6.9Hz),5.15-5.29(2H,m),7.65(2H,d,J=8.4Hz),7.87(1H,s),7.98(2H,d,J=8.4Hz).

5 IR(CHCl₃):3386,3271,3025,3015,2955,2877,1755,1712,1608,1331,1162/cm.
 $[\alpha]_D = -29.4^\circ$ (CH₃OH,c=1.01,25°C).

No.1a-101

d₆-DMSO

10 1.00-2.20(17H,m),2.84(1H,m),5.00-5.20(2H,m),7.78(2H,d,J=8.2Hz),7.84(1H,s),7.89-7.95(3H,m).
IR(KBr):3269,3065,3008,2952,2874,2763,1746,1707,1607,1322,1157 /cm.
 $[\alpha]_D = -26.2^\circ$ (CH₃OH,c=1.01,25°C).

15 No.1a-102

CD₃OD

1.00-2.25(17H,m),2.92(1H,s),3.64(3H,s),5.07-5.21(2H,m),7.53(1H,s),7.77(2H,d,J=8.6Hz),7.90(2H,d,J=8.6).

IR(KBr):3430,3277,3006,2952,2873,1720,1687,1620,1571,1438,1312,1156 /cm

No.1a - 1 0 4

CDCl₃ 300MHz

0.94-1.96(14H,m),2.21(1H,m),2.31(2H,t,J=6.8Hz),2.99(1H,m),5.18-5.28(2H,
 5 m),5.45(1H,d,J=6.6Hz),7.61(2H,d,J=8.7Hz),7.67(1H,s),7.99(2H,d,J=8.7Hz).
 IR(CHCl₃):3382,3222,3028,3019,2957,2876,1736,1709,1604,1412,1322,1301,
 1286,1179,1162 /cm.
 [α]_D = +10.4° (CHCl₃,c=1.00,23°C).

10 No.1a - 1 0 5

CDCl₃ 300MHz

0.92-1.98(14H,m),2.17(1H,m),2.26(2H,d,J=7.5Hz),3.01(1H,m),3.69(3H,s),4.0
 1(3H,s),4.84(1H,d,J=6.3Hz),5.14-5.30(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d,
 J=8.7Hz),8.09(1H,s).
 15 IR(CHCl₃):3385,3284,3025,3015,2954,2877,2821,1730,1598,1459,1438,1403,
 1341,1160,1052 /cm.
 [α]_D = +3.6° (CHCl₃,c=1.00,26°C).

No.1a - 1 0 6

20 CDCl₃ 300MHz

0.92-2.08(14H,m),2.14(1H,m),2.34(2H,d,J=7.2Hz),3.02(1H,m),4.01(3H,s),5.1
 9(1H,d,J=6.9Hz),5.23-5.32(2H,m),7.71(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),8.
 09(1H,s).
 IR(CHCl₃):3510,3384,3268,3028,3021,3014,2957,2877,2821,2667,2821,2666,
 25 1707,1598,1459,1404,1341,1324,1160,1052 /cm.
 [α]_D = +11.8° (CHCl₃,c=1.01,25°C). mp 95-96°C

No.1a - 1 0 7

CDCl₃ 300MHz

0.92-1.97(14H,m),1.34(3H,t,J=7.2Hz),2.18(1H,m),2.28(2H,d,J=7.4Hz),3.01(1H,m),3.68(3H,s),4.26(2H,q,J=7.2Hz),4.86(1H,d,J=6.6Hz),5.15-5.29(2H,m),7.71(2H,d,J=8.7Hz),7.87(2H,d,J=8.7Hz),8.09(1H,s).

IR(CHCl₃):3385,3282,3025,3026,3015,2954,2877,1729,1599,1480,1458,1438,

5 1403,1338,1161 /cm.

[α]_D=+4.4° (CHCl₃,c=1.00,25°C).

No.1a - 108

CDCl₃ 300MHz

10 0.90-2.04(14H,m),1.34(3H,t,J=7.2Hz),2.14(1H,m),2.34(2H,d,J=7.1Hz),3.01(1H,m),4.27(2H,q,J=7.2Hz),5.20(1H,d,J=6.6Hz),5.21-5.35(2H,m),7.71(2H,d,J=8.4Hz),7.88(2H,d,J=8.4Hz),8.10(1H,s).

IR(CHCl₃):3514,3384,3270,3025,3015,3015,2957,2877,1708,1599,1458,1403,1324,1324,1160,1050 /cm.

15 [α]_D= +12.7° (CHCl₃,c=1.00,25°C).

No.1a - 109

[α]_D=+8.5° (CHCl₃,c=1.00,25°C).mp109.0-111.0°C

No.1a - 1 1 2

CDCl₃ 300MHz

5 0.96-2.04(14H,m),2.19(1H,m),2.33(2H,d,J=7.1Hz),3.07(1H,m),5.28-5.31(2H,m),
5.33(1H,d,J=6.6Hz),7.54-7.63(3H,m),8.05(2H,d,J=8.4Hz),8.18-8.23(2H,m),
8.41(2H,d,J=8.4Hz).

IR(CHCl₃):3384,3269,3025,3015,2957,2877,1708,1598,1496,1457,1417,1326,
1164 /cm.

[α]_D= +12.2° (CHCl₃,c=1.00,24°C). mp.163-164°C

10

No.1a - 1 1 3

[α]_D= +22.1° (CHCl₃,c=1.05,25°C). mp.90-92°C

15 No.1a - 1 1 4

[α]_D= +2.2° (CHCl₃,c=1.02,25°C).

No.1a - 1 1 5

CDCl₃ 300MHz

20 0.90-1.98(14H,m),2.15-2.22(1H,m),2.27(2H,t,J=7.2Hz),2.95-3.04(1H,m),
3.68(3H,s),4.04(2H,s),4.85(1H,d,J=6.6Hz),5.10-5.27(2H,m),7.12-
7.34(7H,m),7.76-7.82(2H,m).

IR(CHCl₃):3384,3026,2952,1727,1595,1493,1436,1318,1155,1091,890/cm.

[α]_D=0 °

25 [α]₄₃₆=+4.9±0.4 °(CHCl₃,c=1.05,23°C)

No.1a - 1 1 6

CDCl₃ 300MHz

0.90-2.10(14H,m),2.10-2.18(1H,m),2.32(2H,t,J=7.2Hz),2.96-3.04(1H,m),



4.04(2H,s), 5.14(1H,d,J=6.6Hz), 5.16-5.28(2H,m), 7.12-7.34(7H,m), 7.76-7.82(2H,m).

IR(CHCl₃): 3260, 3020, 2950, 1709, 1407, 1318, 1154, 1091, 892/cm.

[α]_D = +9.1 ± 0.5 ° (CHCl₃, c = 1.04, 23°C)

5

No.1a - 117

CD₃OD 300MHz

0.96-2.18(17H,m), 2.89-2.92(1H,m), 4.05(2H,s), 4.95-5.22(2H,m), 7.15-7.42(7H,m), 7.75-7.81(2H,m).

10 IR(KBr): 3429, 3279, 2951, 2872, 1563, 1494, 1453, 1408, 1313, 1155, 1093, 1057/cm

m.

[α]_D = -16.3 ± 0.5 ° (CH₃OH, c = 1.06, 25°C)

No.1a - 118

15 CDCl₃ 300MHz

0.98-1.70(15H,m), 1.80-2.00(5H,m), 2.20-2.40(3H,m), 2.98(1H,m), 4.06(2H,s), 4.72(1H,d,J=6.3Hz), 5.00-5.23(3H,m), 7.16(2H,d,J=8.4Hz), 7.26-7.33(5H,m), 7.79(2H,d,J=8.1Hz).

IR(CHCl₃): 3376, 3020, 2948, 2868, 1716, 1596, 1492, 1453, 1407, 1318, 1155, 1105/cm

No.1a - 1 2 0

CD₃OD 300MHz

1.00-2.00(14H,m),2.13(2H,t,J=7.5Hz),2.16(1H,m),2.91(1H,m),5.05-5.33(2H,
5 m),7.04-7.11(4H,m),7.18-7.25(1H,m),7.38-7.48(2H,m),7.80-7.87(2H,m).

IR(KBr):3430,3278,3006,2952,2873,1583,1487,1410,1322,1298,1245,1152,1
095 /cm.

$[\alpha]_D = -8.8^\circ$ (CH₃OH,c=1.05,25.0°C).

10 No.1a - 1 2 1

CDCl₃ 300MHz

0.90-2.10(14H,m),2.15(1H,m),2.35(2H,t,J=7.2Hz),3.01(1H,m),5.20(1H,d,J=6.
9Hz),5.22-5.35(2H,m),7.00-7.09(4H,m),7.18-7.25(1H,m),7.37-7.45(2H,m),7.7
9-7.86(2H,m).

15 IR(CHCl₃):3260,3020,2948,2868,1708,1582,1486,1409,1321,1296,1243,1151,
1093 /cm.

$[\alpha]_D = +13.1^\circ$ (CHCl₃,c=1.04,24.0°C).

No.1a - 1 2 2

20 CDCl₃ 300MHz

0.90-2.00(14H,m),2.23(1H,m),2.28(2H,t,J=7.5Hz),2.96(1H,m),3.67(3H,s),4.6
9(1H,d,J=6.6Hz),5.15-5.32(2H,m),6.22(1H,s),6.98-7.40(5H,m),7.30-7.38(2H,
m),7.68-7.74(2H,m).

IR(CHCl₃):3416,3370,3018,2946,2868,1725,1587,1508,1437,1400,1320,1149,

25 1094 /cm.

$[\alpha]_D = +6.2^\circ$ (CHCl₃,c=1.04,25.0°C).

No.1a - 1 2 3

CDCl₃ 300MHz



0.90-2.04(14H,m),2.18(1H,m),2.33(2H,t,J=7.2Hz),2.96(1H,m),5.04-5.35(3H,m),6.98-7.12(3H,m),7.12-7.20(2H,m),7.28-7.38(2H,m),7.66-7.74(2H,m).

IR(CHCl₃):3424,3270,3028,2952,2872,1708,1587,1508,1445,1399,1320,1148,1092 /cm.

5 [α]_D= +20.9° (CHCl₃,c=1.06,23.0°C).

No.1a - 1 2 4

CDCl₃ 300MHz

0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),3.14(3H,s),3.68(3H,s),4.56(2H,s),4.84(1H,d,J=6.3Hz),5.10-5.29(2H,m),7.16-7.26(4H,m),7.26-7.34(2H,m),7.78-7.84(2H,m).

IR(CHCl₃):3384,3028,2952,2874,1727,1598,1501,1435,1410,1370,1329,1172,1148,1091 /cm.

[α]_D= +2.7° (CHCl₃,c=1.09,23.0°C).

15

No.1a - 1 2 5

CDCl₃ 300MHz

0.90-2.00(14H,m),2.18(1H,m),2.28(2H,t,J=7.2Hz),2.29(3H,s),3.00(1H,m),3.68(3H,s),4.04(2H,s),4.80(1H,d,J=6.6Hz),5.11-5.29(2H,m),6.99-7.06(2H,m),7.1

IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1749, 1708, 1596, 1504, 1407, 1369, 1317, 1195, 1155, 1091 /cm.
 $[\alpha]_D = +10.0^\circ$ (CHCl₃, c=1.09, 23.0°C).

5 No.1a - 1 2 7

CDCl₃ 300MHz

0.87-1.95(14H,m), 2.18-2.32(3H,m), 2.95(1H,m), 3.69(3H,s), 3.96(2H,s), 4.79(1H,d,J=6.6Hz), 4.97-5.17(2H,m), 5.54(1H,s), 6.75-6.82(2H,m), 6.97-7.05(2H,m), 7.25-7.33(2H,m), 7.75-7.81(2H,m).

10 IR(CHCl₃): 3382, 3026, 2950, 2874, 1722, 1595, 1511, 1436, 1407, 1317, 1257, 1154, 1090 /cm.

$[\alpha]_D = -2.1^\circ$ (CHCl₃, c=1.00, 21.5°C).

No.1a - 1 2 8

15 CDCl₃ 300MHz

0.85-2.02(14H,m), 2.18(1H,m), 2.31(2H,t,J=7.2Hz), 2.96(1H,m), 3.95(2H,s), 5.05-5.27(3H,m), 6.73-6.82(2H,m), 6.96-7.04(2H,m), 7.25-7.32(2H,m), 7.74-7.81(2H,m).

IR(CHCl₃): 3262, 3020, 2948, 2868, 1708, 1596, 1511, 1407, 1315, 1242, 1154, 1091
20 /cm.

$[\alpha]_D = +4.8^\circ$ (CHCl₃, c=1.04, 22°C).

No.1a - 1 2 9

CDCl₃ 300MHz

25 0.89-1.98(14H,m), 2.18(1H,m), 2.27(2H,t,J=7.2Hz), 2.99(1H,m), 3.68(3H,s), 3.79(3H,s), 3.98(2H,s), 4.81(1H,d,J=6.6Hz), 5.10-5.27(2H,m), 6.81-6.87(2H,m), 7.03-7.10(2H,m), 7.25-7.32(2H,m), 7.75-7.82(2H,m).

IR(CHCl₃): 3382, 3276, 3006, 2950, 2874, 1726, 1609, 1509, 1457, 1436, 1407, 1315, 1244, 1154, 1091, 1033/cm.



$[\alpha]_D = +19.3^\circ$ (CHCl₃, C=1.05, 23°C).

No.1a - 1 3 0

CDCl₃ 300MHz

5 0.90-2.00(14H,m), 2.20(1H,m), 2.30(2H,t,J=7.2Hz), 2.98(1H,m), 3.69(3H,s), 4.8
1(1H,d,J=6.6Hz), 5.12-5.32(2H,m), 5.46(1H,brs), 6.84-7.01(6H,m), 7.76-7.83(2
H,m)

IR(CHCl₃): 3380, 3284, 3024, 2952, 2874, 1724, 1588, 1504, 1488, 1436, 1321, 1296,
1149, 1091/cm.

10 $[\alpha]_D = +28.9^\circ$ (CHCl₃, C=1.01, 23°C).

No.1a - 1 3 1

CDCl₃ 300MHz

0.92-2.10(14H,m), 2.18(1H,m), 2.34(2H,t,J=6.9Hz), 2.96(1H,m), 5.18-5.35(3H,
15 m), 6.84-7.01(6H,m), 7.75-7.83(2H,m).

IR(CHCl₃): 3270, 3028, 2952, 2874, 1708, 1589, 1505, 1489, 1456, 1322, 1297, 1238,
1148, 1091/cm.

$[\alpha]_D = +7.7^\circ$ (CHCl₃, C=1.09, 24°C).

20 No.1a - 1 3 2

CDCl₃ 300MHz

0.91-2.02(14H,m), 2.19(1H,m), 2.29(2H,t,J=7.2Hz), 2.99(1H,m), 3.68(3H,s), 3.8
3(3H,s), 4.82(1H,d,J=6.6Hz), 5.14-5.33(2H,m), 6.90-7.04(6H,m), 7.76-7.83(2H,
m).

25 IR(CHCl₃): 3384, 3006, 2952, 2874, 1727, 1589, 1502, 1488, 1459, 1438, 1321, 1295,
1231, 1150, 1092, 1033/cm.

$[\alpha]_D = +3.1^\circ$ (CHCl₃, C=1.01, 23°C).

No.1a - 1 3 3



TLC R_f=0.21 (ethyl acetate/n-hexane = 1:1 (0.3% acetic acid))

No.1a - 1 3 4

CDCl₃ 300MHz

5 0.97-2.10(14H,m),2.20(1H,m),2.36(2H,t,J=6.9Hz),3.04(1H,m),5.22-5.33(2H,m),5.41(1H,d,J=6.6Hz),7.02(1H,d,J=9.0Hz),7.09-7.13(2H,m),7.26-7.32(1H,m),7.43-7.49(2H,m),7.93(1H,d,d,J=2.4 and 9.0Hz),8.46(1H,d,J=2.4Hz).
 IR(CHCl₃):3384,3270,3020,2958,1709,1610,1587,1537,1479,1352,1271,1252,1167/cm.

10 [α]_D=+20.9° (CHCl₃,c=0.51,22°C).

No.1a - 1 3 5

CDCl₃ 300MHz

0.96-2.02(14H,m),2.21(1H,m),2.29(2H,t,J=7.2Hz),3.07(1H,m),3.68(3H,s),5.0
 15 4(1H,d,J=6.9Hz),5.16-5.33(2H,m),7.48-7.55(2H,m),7.64(1H,m),7.76-7.82(2H,m),7.88-7.94(2H,m),7.98-8.04(2H,m).
 IR(CHCl₃):3384,3282,3026,2952,2874,1727,1663,1596,1446,1396,1316,1274,1163,1090 /cm.
 [α]_D= +3.1° (CHCl₃,c=1.03,22.0°C).

20

No.1a - 1 3 6

CDCl₃ 300MHz

0.95-2.05(14H,m),2.19(1H,m),2.34(2H,t,J=7.2Hz),3.08(1H,m),5.10-5.40(2H,m),5.35(1H,d,J=6.8Hz),7.45-7.58(2H,m),7.64(1H,m),7.74-7.84(2H,m),7.84-7.95(2H,m),7.95-8.06(2H,m).
 IR(CHCl₃):3260,3018,2950,2870,1708,1662,1595,1446,1395,1316,1274,1162,1090 /cm.
 [α]_D= +12.9° (CHCl₃,c=1.05,21.5°C).



No.1a - 1 3 7

CDCl₃ 300MHz

0.97-2.04(14H,m),2.27(1H,m),2.31(2H,t,J=7.2Hz),3.07(1H,m),3.70(3H,s),5.15-5.30(3H,m),7.48-7.68(5H,m),7.96-8.02(2H,m).

5 IR(CHCl₃):3382,3030,2952,2878,1725,1446,1329,1154,1098 /cm.

$[\alpha]_D = -12.1^\circ$ (CHCl₃,c=1.03,22.0°C).

No.1a - 1 3 8

CDCl₃ 300MHz

10 0.95-2.04(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.08(1H,m),5.15-5.34(2H,m),5.41(1H,d,J=6.6Hz),7.48-7.68(5H,m),7.98-8.03(2H,m).

IR(CHCl₃):3370,3242,3022,2950,2870,1707,1445,1408,1329,1154,1099 /cm.

$[\alpha]_D = -0.6^\circ$ (CHCl₃,c=1.06,21.5°C) $[\alpha]_{365} +30.7^\circ$ (CHCl₃,c=1.06,21.5°C).

15 No.1a - 1 3 9

CDCl₃ 300MHz

0.92-2.19(14H,m),2.27-2.34(3H,m),3.26(1H,m),3.65(3H,s),4.28(2H,s),4.37(1H,d,J=7.4Hz),5.34-5.50(2H,m),7.37-7.62(9H,m).

IR(CHCl₃):3389,3294,3028,3015,2954,2877,1730,1600,1488,1325,1151,1129

No.1a - 1 4 1

CDCl₃ 300MHz

0.92-2.19(15H,m),2.32(2H,t,J=7.2Hz),3.26(1H,m),3.65(3H,s),4.31(2H,s),4.48
5 (1H,d,J=7.4Hz),5.33-5.49(2H,m),7.42-7.80(8H,m).

IR(CHCl₃):3388,3285,3018,2955,2877,2225,1730,1597,1479,1320,1152,1129
/cm.

[α]_D = -20.1° (CHCl₃,c=0.96,25°C).

10 No.1a - 1 4 2

CDCl₃ 300MHz

0.92-2.22(15H,m),2.35(2H,t,J=6.8Hz),3.25(1H,m),4.32(2H,s),4.86(1H,d,J=7.
4Hz),5.33-5.53(2H,m),7.43-7.80(8H,m).

IR(CHCl₃):3512,3388,3258,3031,3023,3014,2956 2877,2225,1708,1597,147
15 9,1319,1151,1128 /cm.

[α]_D = -19.3° (CHCl₃,c=1.09,23°C).

No.1a - 1 4 3

CDCl₃ 300MHz

20 1.00-1.93(14H,m),2.17(1H,m),2.27(2H,t,J=7.2Hz),3.07(1H,m),5.17-5.22(2H,
m),5.36(1H,d,J=6.9Hz),7.77(1H,d,J=9.0Hz),8.11-8.17(2H,m),8.36(1H,d,d,J=
2.1 and 9.0Hz),8.51(1H,d,J=1.8Hz),8.65(1H,d,J=2.1Hz).

IR(CHCl₃):3382,3266,3026,2954,2874,1708,1632,1585,1528,1458,1419,1345,
1153/cm.

25 [α]_D=+7.6° (CHCl₃,c=1.04,22°C).

No.1a - 1 4 4

CDCl₃ 300MHz

0.95-1.90(14H,m),2.17(1H,m),2.25(2H,t,J=7.5Hz),3.02(1H,m),5.09(1H,d,J=6.



6Hz), 5.15-5.21(2H,m), 6.72(1H,d,J=8.4Hz), 6.85(1H,s), 7.54(1H,d,J=8.4Hz), 7.72(1H,d,J=9.0Hz), 7.83(1H,d,d,J=1.8and9.0Hz), 8.32(1H,d,J=1.8Hz).
 IR(CHCl₃): 3380, 3260, 3022, 2948, 2868, 2352, 1709, 1636, 1460, 1425, 1313, 1291, 1265, 1148, 1130/cm.

5 [α]_D=+12.9° (CHCl₃, C=1.02, 22.5°C).

No.1a - 1 4 5

CDCl₃ 300MHz

0.97-1.90(14H,m), 2.15(1H,m), 2.27(2H,t,J=6.9Hz), 3.02(1H,m), 3.08(6H,s), 5.1
 10 2(1H,d,J=6.3Hz), 5.19-5.25(2H,m), 6.78-6.84(2H,m), 7.53(1H,d,J=8.7Hz), 7.76-
 7.83(2H,m), 8.30(1H,d,J=1.8Hz).

IR(CHCl₃): 3272, 3030, 2950, 2874, 1708, 1635, 1601, 1511, 1457, 1425, 1357, 1328, 1151, 1124/cm.

[α]_D=+6.3° (CHCl₃, C=1.04, 23°C).

15

No.1a - 1 4 6

CDCl₃ 300MHz

0.95-2.00(14H,m), 2.16(1H,m), 2.29(2H,t,J=7.2Hz), 3.05(1H,m), 4.10(3H,s), 5.1
 3-5.28(2H,m), 5.38(1H,d,J=6.9Hz), 7.67-7.74(2H,m), 8.08(1H,d,d,J=1.8and9.0
 20 Hz), 8.11(1H,s), 8.61(1H,d,J=1.8Hz).

IR(CHCl₃): 3260, 3020, 2948, 2868, 1708, 1639, 1606, 1528, 1470, 1455, 1424, 1349,

1311, 1238, 1174, 1149, 1120, 1079, 1060, 1022/cm

IR(CHCl₃):3380,3264,3002,2950,2868,1708,1634,1476,1452,1426,1317,1264,1218,1169,1147,1115,1068,1031/cm.
 $[\alpha]_D=+5.6^\circ$ (CHCl₃,C=1.02,23°C).

5 No.1a - 1 4 8

CDCl₃ 300MHz

0.90-1.98(14H,m),2.15(1H,m),2.28(2H,t,J=6.9Hz),2.91(6Hs),3.03(1H,m),4.01(3H,s),5.15-5.26(3H,m),7.18(1H,s),7.38(1H,s),7.59(1H,d,J=8.7Hz),7.87(1H,d,d,J=2.1and8.7Hz),8.40(1H,d,J=2.1Hz).

10 IR(CHCl₃):3384,3266,2956,1709,1632,1602,1495,1473,1458,1430,1317,1231,1148,1121/cm.
 $[\alpha]_D=+11.2^\circ$ (CHCl₃,C=1.01,23°C).

No.1a - 1 4 9

15 CDCl₃ 300MHz

0.99-1.90(14H,m),2.17(1H,m),2.28(2H,t,J=7.2Hz),3.00(1H,m),5.13-5.19(2H,m),5.43(1H,d,J=6.0Hz),7.02(1H,d,d,J=2.4and9.0Hz),7.38-7.41(2H,m),7.58(1H,d,J=8.7Hz),7.96(1H,d,d,J=1.8and8.7Hz),8.45(1H,d,J=1.8Hz).

IR(CHCl₃):3270,3020,2948,2868,1709,1601,1478,1448,1419,1315,1147,1120/cm.

20

cm.

$[\alpha]_D=-11.4^\circ$ (CHCl₃,C=1.01,23°C).

No.1a - 1 5 0

CDCl₃ 300MHz

25 0.97-1.88(14H,m),2.12-2.31(3H,m),2.38(3H,s),3.01(1H,m),5.14-5.19(2H,m),5.36(1H,d,J=6.6Hz),7.24(1H,d,d,J=2.4and9.0Hz),7.59(1H,d,J=6.3Hz),7.66(1H,d,J=8.7Hz),7.72(1H,d,J=2.4Hz),8.01(1H,d,d,J=1.8and8.7Hz),8.49(1H,d,J=1.8Hz).

IR(CHCl₃):3470,3374,3260,3018,2950,2868,1709,1474,1444,1412,1370,1319,



1266, 1162, 1145, 1118/cm.

$[\alpha]_D = +4.9^\circ$ (CHCl₃, C=1.00, 24°C).

No.1a - 1 5 1

5 CDCl₃ 300MHz

0.97-1.89(14H,m), 2.17(1H,m), 2.25(2H,t,J=7.2Hz), 3.03(1H,m), 3.92(3H,s), 5.15-5.20(2H,m), 5.32(1H,d,J=6.6Hz), 7.11(1H,d,d,J=2.4 and 9.3Hz), 7.45(1H,d,J=2.4Hz), 7.50(1H,d,J=9.3Hz), 7.62(1H,d,J=8.7H), 7.97(1H,d,d,J=2.1 and 8.7Hz), 8.50(1H,d,J=2.1Hz).

10 IR(CHCl₃): 3260, 3018, 2948, 1708, 1483, 1454, 1432, 1314, 1287, 1268, 1188, 1169, 1147/cm.

$[\alpha]_D = +4.9^\circ$ (CHCl₃, C=1.01, 23.5°C).

No.1a - 1 5 2

15 CDCl₃ 300MHz

0.98-2.04(14H,m), 2.15(1H,m), 2.30(2H,t,J=6.6Hz), 3.04(1H,m), 5.17-5.29(3H,m), 7.41(1H,d,d,J=1.5 and 8.1Hz), 7.64-7.68(2H,m), 7.92(1H,d,J=8.4Hz), 8.00(1H,d,d,J=1.8 and 8.4Hz), 8.49(1H,d,J=1.8Hz).

IR(CHCl₃): 3266, 3028, 2952, 2872, 1707, 1629, 1591, 1456, 1416, 1318, 1275, 1150/20 cm.

$[\alpha]_D = +3.2^\circ$ (CHCl₃, C=1.04, 23°C).

No.1a - 1 5 3

CDCl₃ 300MHz

25 0.97-1.88(14H,m), 2.16(1H,m), 2.26(2H,t,J=7.2Hz), 3.03(1H,m), 4.64-4.65(2H,m), 5.16-5.50(5H,m), 6.13(1H,m), 7.14(1H,d,d,J=2.7 and 9.0Hz), 7.46-7.52(2H,m), 7.63(1H,d,J=8.7Hz), 7.97(1H,d,d,J=1.8 and 8.7Hz), 8.49(1H,d,J=1.8Hz).

IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1708, 1599, 1478, 1446, 1414, 1314, 1284,

1268, 1184, 1148, 1120/cm.

$[\alpha]_D = +5.3^\circ$ (CHCl₃, C=1.00, 23°C).

No.1a - 154

CDCl₃ 300MHz

5 0.99-2.00(15H,m), 2.26(2H,t,J=7.2Hz), 3.03(1H,m), 4.07(3H,s), 5.23-5.27(2H,m), 5.36(1H,d,J=7.2Hz), 7.20(1H,s), 7.36-7.48(2H,m), 7.55-7.58(1H,m), 7.91-7.93(1H,m), 8.52(1H,s).

IR(CHCl₃): 3362, 3257, 3020, 2948, 2868, 1708, 1637, 1602, 1579, 1488, 1457, 1437, 1413, 1345, 1318, 1301, 1276, 1182, 1104/cm.

10 $[\alpha]_D = +19.4^\circ$ (CHCl₃, C=1.01, 25°C).

mp. 88-90°C

No.1a - 155

CDCl₃ 300MHz

15 0.92-2.02(14H,m), 2.15(1H,m), 2.31(2H,t,J=7.2Hz), 3.01(1H,m), 4.10(2H,s), 5.10(1H,d,J=6.6Hz), 5.18-5.35(2H,m), 7.04-7.26(5H,m), 7.67-7.76(2H,m).

IR(CHCl₃): 3266, 3028, 2952, 2952, 2872, 1708, 1599, 1574, 1478, 1457, 1418, 1301, 1258, 1147, 1124, 1101, 1080/cm.

$[\alpha]_{365} = +33.4^\circ$ (CHCl₃, C=1.00, 23°C).

20

No.1a - 156

CDCl₃ 300MHz

0.91-2.21(15H,m), 2.33(2H,t,J=6.9Hz), 3.01(1H,m), 5.11(1H,d,J=6.6Hz), 5.27-5.35(2H,m), 6.85-6.96(5H,m), 7.35(1H,d,J=2.1Hz), 7.42(1H,d,d,J=2.1 and 8.7Hz).

25 IR(CHCl₃): 3384, 3263, 2957, 1708, 1587, 1489, 1462, 1416, 1290, 1222, 1151, 1123/cm.

$[\alpha]_D = +6.4^\circ$ (CHCl₃, C=1.00, 23°C).

No.1a - 157



CDCl₃ 300MHz

0.97-1.91(14H,m),2.18(1H,m),2.26(2H,t,J=6.9Hz),3.04(1H,m),5.18-5.26(3H,m),7.52-7.56(2H,m),7.88-8.00(3H,m),8.25(1H,m),8.69(1H,m).

IR(CHCl₃):3382,3268,2952,2874,1707,1457,1425,1409,1318,1152/cm.

5 [α]_D=+4.4° (CHCl₃,C=1.02,22°C).

No.1a - 1 5 8

CDCl₃ 300MHz

1.02-1.97(14H,m),2.20(1H,m),2.29(2H,t,J=7.2Hz),3.06(1H,m),5.19-5.24(2H,m),5.58(1H,d,J=6.6Hz),7.62(1H,m),7.72(1H,m),7.86-7.91(2H,m),7.96(1H,d,J=7.8Hz),8.04(1H,d,d,J=1.5and8.1Hz),8.34(1H,d,J=1.2Hz).

IR(CHCl₃):3490,3260,3020,2950,2870,1707,1456,1399,1312,1165/cm.

[α]_D=-8.3° (CHCl₃,C=1.00,23°C).

15 No.1a - 1 5 9

CDCl₃ 300MHz

0.92-1.88(14H,m),2.13(1H,m),2.24(2H,m),3.02(1H,m),3.90(3H,s),5.12-5.26(3H,m),7.29-7.58(4H,m),7.97(1H,d,d,J=1.8and7.5Hz),8.13(1H,d,J=7.5Hz),8.64(1H,d,J=1.8Hz)

1328, 1240, 1222, 1156, 1149/cm.

$[\alpha]_D = +8.2^\circ$ (CHCl₃, C=1.01, 22°C).

No.1a - 1 6 1

5 CDCl₃ 300MHz

0.98-1.88(14H,m), 2.17(1H,m), 2.24(2H,t,J=7.2Hz), 3.05(1H,m), 5.16-5.20(2H,m), 5.35(1H,d,J=6.6Hz), 7.40(1H,m), 7.55(1H,m), 7.63(1H,d,J=8.1Hz), 7.89(1H,d,d,J=1.5 and 8.1Hz), 8.01(1H,m), 8.06(1H,d,J=8.1Hz), 8.12(1H,d,J=1.5Hz).

IR(CHCl₃): 3478, 3266, 3028, 2952, 2874, 1708, 1454, 1417, 1323, 1196, 1148/cm.

10 $[\alpha]_D = +21.9^\circ$ (CHCl₃, C=1.01, 23°C).

No.1a - 1 6 2

CDCl₃ 300MHz

0.96-1.98(14H,m), 2.02(1H,m), 2.25(2H,t,J=7.2Hz), 3.05(1H,m), 4.10(3H,s), 5.14-5.25(2H,m), 5.41(1H,d,J=7.2Hz), 7.35-7.42(1H,m), 7.51-7.64(3H,m), 7.94-8.00(1H,m), 8.16(1H,s).

IR(CHCl₃): 3368, 3274, 3028, 2952, 2874, 1708, 1633, 1583, 1465, 1452, 1438, 1413, 1315, 1151, 1103, 1053, 1024/cm.

$[\alpha]_D = +15.1^\circ$ (CHCl₃, C=1.01, 23°C). mp. 108-110°C

20

No.1a - 1 6 3

d₆-DMSO 300MHz

0.97-1.84(14H,m), 1.92(1H,m), 2.04(2H,t,J=7.5Hz), 2.90(1H,m), 5.08-5.23(2H,m), 7.32(1H,s), 7.38-7.61(2H,m), 7.62(1H,s), 7.68-7.71(1H,m), 7.92(1H,s), 8.14-8.17(1H,m), 10.7(1H,s), 11.9(1H,s).

IR(KBr): 3350, 3295, 2952, 2874, 1707, 1636, 1601, 1466, 1431, 1389, 1315, 1251, 1174, 1146, 1106/cm.

$[\alpha]_D = -25.3^\circ$ (CH₃OH, C=1.01, 25°C). mp. 159-162°C



No.1a - 1 6 4

CDCl₃ 300MHz

0.98-1.96(17H,m), 2.05(1H,m), 2.25(2H,t,J=7.2Hz), 3.07(1H,m), 4.32(2H,q,J=7.2Hz), 5.19-5.23(2H,m), 5.31(1H,d,J=7.8Hz), 7.38(1H,m), 7.41-7.62(3H,m), 7.95(2Hz),

5 1H,m), 8.15(1H,s).

IR(CHCl₃): 3360, 3018, 2946, 2870, 1709, 1633, 1457, 1445, 1425, 1394, 1314, 1176, 1152, 1105/cm.

[α]_D = +12.7° (CHCl₃, C=1.02, 25°C). mp. 108-109°C

10 No.1a - 1 6 5

CDCl₃ 300MHz

0.95-1.98(15H,m), 2.26(2H,t,J=7.5Hz), 3.04(1H,m), 4.15(3H,s), 5.20-5.26(2H,m), 5.34(1H,d,J=6.9Hz), 7.41-7.47(1H,m), 7.65-7.68(2H,m), 7.89-7.92(1H,m), 8.3

2(1H,s).

15 IR(CHCl₃): 3366, 3087, 3022, 2957, 1708, 1632, 1538, 1463, 1408, 1364, 1346, 1308, 1227, 1212, 1205, 1167/cm.

[α]_D = +19.6° (CHCl₃, C=1.01, 25°C).

No.1a - 1 6 6

20 CDCl₃ 300MHz

0.97-2.02(15H,m), 2.27(2H,t,J=6.9Hz), 3.07(1H,m), 4.14(3H,s), 5.21-5.27(2H,m), 5.47(1H,d,J=6.9Hz), 7.64(1H,s), 7.72(1H,d,d,J=0.6 and 9.0Hz), 8.25(1H,s), 8.47(1H,d,d,J=2.4 and 9.0Hz), 8.94(1H,d,d,J=0.6 and 2.4Hz).

IR(CHCl₃): 3373, 3057, 1708, 1639, 1587, 1528, 1467, 1428, 1415, 1345, 1221, 1184,

0.92-2.00(14H,m),2.15(1H,m),2.27(2H,t,J=7.2Hz),3.04(1H,m),3.97(2H,s),5.1
5-5.30(3H,m),7.35-7.47(2H,m),7.55-7.63(1H,m),7.80-7.96(3H,m),8.05(1H,d,J
=0.3Hz).

IR(CHCl₃):3260,3020,2948,2868,1707,1451,1413,1319,1172,1144,1101,1071/

5 cm.

[α]_D=+18.2° (CHCl₃,C=1.04,22°C).

No.1a - 1 6 8

CDCl₃ 300MHz

10 0.90-1.88(14H,m),2.16(1H,m),2.25(2H,t,J=6.9Hz),3.00(1H,m),5.00-5.19(2H,
m),5.35(1H,d,J=6.6Hz),7.25-7.30(1H,m),7.48-7.50(2H,m),7.73(1H,d,d,J=1.5
and8.1Hz),8.08-8.14(3H,m),8.93(1H,s).

IR(CHCl₃):3466,3380,3276,3016,2957,1708,1630,1495,1458,1324,1241,1150/
cm.

15 [α]_D=+18.0° (CHCl₃,C=1.00,22°C).

No.1a - 1 6 9

CDCl₃ 300MHz

0.87-1.86(14H,m),2.15(1H,m),2.25(2H,t,J=6.9Hz),2.98(1H,m),3.89(3H,s),5.0
20 0-5.22(2H,m),5.27(1H,d,J=6.9Hz),6.88(1H,d,d,J=2.1and8.4Hz),6.94(1H,d,J=
2.1Hz),7.69(1H,d,d,J=1.5and7.8Hz),7.92-8.01(3H,m),8.83(1H,s).

IR(CHCl₃):3465,3378,3276,3022,2957,1708,1630,1609,1569,1459,1433,1314,
1281,1229,1151/cm.

[α]_D=+19.3° (CHCl₃,C=1.01,21°C).

25

No.1a - 1 7 0

CDCl₃ 300MHz

0.88-2.25(17H,m),3.04(1H,m),3.84(3H,s),3.95(3H,s),5.06-5.26(3H,m),6.87-6.
93(2H,m),7.69(1H,d,d,J=1.6and8.2Hz),7.93-9.05(3H,m).



IR(CHCl₃):3026,2957,1708,1630,1601,1460,1331,1243,1224,1152/cm.

[α]_D=+17.2° (CHCl₃,c=1.00,22°C).

No.1a - 1 7 1

5 CDCl₃ 300MHz

0.95-2.00(14H,m),2.16-2.32(3H,m),2.66(3H,s),3.14(1H,m),3.68(3H,s),5.09(1H,d,J=6.8Hz),5.10-5.28(2H,m),7.45(1H,d.d.,J=1.8&8.6Hz),7.75-7.84(2H,m).

IR(CHCl₃):3374,3018,2946,2868,1725,1585,1513,1436,1340,1278,1153,1112/cm.

10 [α]_D= -14.7° (CHCl₃,c=1.07,25.0°C).

No.1a - 1 7 2

CDCl₃ 300MHz

0.97-2.02(14H,m),2.23(1H,m),2.28(2H,t,J=7.2Hz),2.66(3H,s),3.14(1H,m),5.1

15 2-5.22(2H,m),5.41(1H,d,J=7.2Hz),7.45(1H,d.d.,J=2.1&8.7Hz),7.76(1H,d,J=8.7Hz),7.78(1H,d,J=2.1Hz).

IR(CHCl₃):3372,3250,3022,2950,2868,1707,1514,1419,1336,1279,1154,1112

/cm.

[α]_D= -4.1° (CHCl₃,c=1.08,26.0°C) m.p.141-143°C

20

No.1a - 1 7 3

CDCl₃ 300MHz

1.15-2.42(17H,m),2.91(1H,m),5.15(1H,d,J=4.2Hz),5.25-5.40(2H,m),7.85(1H,

1.5-2.0H),2.00(1H,d,J=2.1Hz),2.15-2.20(2H,m),8.67(1H,d,J=8.1Hz),8.73(1H,

No.1a - 1 7 4

CDCl₃+d₆-DMSO 300MHz

1.00-1.92(14H,m),2.20(2H,t,J=6.6Hz),2.35(1H,m),2.92(1H,m),5.05-5.22(2H,m),6.63(1H,d,J=5.4Hz),7.77-7.92(3H,m),8.31(1H,d,d,J=1.8 and 8.7Hz),8.59(1H,d,J=8.7Hz),8.73(1H,d,J=8.7Hz),9.01(1H,s),9.55(1H,d,J=1.8Hz).

IR(KBr):3433,3252,2952,2871,1696,1578,1423,1335,1308,1219,1185,1160,106/cm.

[α]_D=-19.3° (DMSO,c=0.50,23°C).

10 No.1a - 1 7 5

CDCl₃ 300MHz

0.96-1.87(14H,m),2.20-2.25(3H,m),2.95(1H,m),3.66(3H,s),4.74(1H,d,J=6.6Hz),5.10-5.12(2H,m),6.88(1H,d,J=1.2Hz),7.37-7.50(3H,m),7.56(1H,dd,J=8.7,1.5Hz),7.68-7.77(3H,m),8.06(1H,s),9.44(1H,dd,J=1.2Hz).

15 IR(CHCl₃):3462,3374,3026,3006,2952,2872,1724,1610,1580,1484,1452,1358,1309,1147.

[α]_D=+16.4° (CHCl₃,c=1.05,26°C). mp.130-132°C.

No.1a - 1 7 6

20 CDCl₃+CD₃OD 300MHz

1.00-2.02(14H,m),2.22(1H,m),2.29(2H,t,J=6.9Hz),2.88(1H,m),5.16-5.26(2H,m),6.87(1H,s),7.28-7.57(4H,m),7.69(1H,d,J=8.4Hz),7.75-7.78(2H,m),7.99(1H,s).

IR(KBr):3254,2944,1704,1484,1453,1358,1305,1147.

25 [α]_D=+13.0° (CH₃OH,c=1.02,24°C), mp.160-161°C

No.1a - 1 7 7

CDCl₃ 300MHz

0.96-1.88(14H,m),1.88-2.26(3H,m),2.94(1H,m),3.67(3H,s),3.87(3H,s),4.67(1



H,brs),5.08-5.14(2H,m),6.77(1H,d,J=1.5Hz),6.99-7.02(2H,m),7.53-7.57(1H,
m),7.65-7.70(3H,m),8.00(1H,s),9.27(1H,brs).

IR(CHCl₃):3426,3376,3006,2952,1724,1610,1495,1438,1357,1308,1282,1249,
1177,1147/cm.

5 [α]_D=+18.1° (CHCl₃,C=1.02,22°C).

No.1a - 1 7 8

CDCl₃+CD₃OD 300MHz

0.96-1.91(14H,m),2.19(1H,m),2.27(2H,t,J=6.0Hz),2.85(1H,m),3.87(3H,s),5.1
10 6-5.23(2H,m),6.99-7.02(2H,m),7.41(1H,m),7.64-7.73(3H,m),7.92(1H,m).

IR(CHCl₃):3366,3261,3004,2954,2873,1705,1611,1496,1458,1438,1304,1286,
1253,1180,1149,1128/cm.

[α]_D=+14.6° (CHCl₃,C=1.02,22°C).

15 No.1a - 1 7 9

CDCl₃+CD₃OD 300MHz

0.96-1.87(14H,m),2.15-2.23(3H,m),2.93(1H,m),3.85(3H,s),5.10-5.16(2H,m),6.
90-6.93(2H,m),7.50(1H,m),7.60-7.65(3H,m),7.91(1H,d,J=0.9Hz).

IR(CHCl₃):3369,3270,2950,2873,1719,1612,1498,1456,1440,1359,1306,1269,

No.1a - 1 8 1

CDCl₃ 300MHz

0.97-1.96(14H,m),2.15(1H,m),2.29(2H,t,J=6.9Hz),3.05(1H,m),3.81(3H,s),5.0

5 8(1H,d,J=6.9Hz),5.23-5.25(2H,m),6.62(1H,s),7.47-7.54(5H,m),7.59(1H,m),7.70(1H,m),7.97(1H,m).

IR(CHCl₃):3380,3260,3020,2946,2868,1708,1466,1388,1328,1149/cm.[α]_D=+32.9° (CHCl₃,c=1.07,22°C).

10 No.1a - 1 8 2

CDCl₃ 300MHz0.94-1.90(14H,m),2.25(2H,t,J=7.5Hz),2.30(1H,m),2.98(1H,m),3.70(3H,s),4.8
3(1H,d,J=6.6Hz),5.13-5.16(2H,m),6.95(1H,d,J=1.5Hz),7.11-7.23(2H,m),7.43(1H,d,J=8.1Hz),7.65(1H,d,J=8.1Hz),7.79-7.93(4H,m),9.08(1H,br).15 IR(CHCl₃):3458,3372,3020,3002,2946,2868,1719,1598,1452,1422,1321,1300,
1157/cm.[α]_D=-6.6° (CHCl₃,c=1.00), mp 150-151°C

No.1a - 1 8 3

20 CDCl₃ 300MHz

0.95-1.94(14H,m),2.26(1H,m),2.28(2H,t,J=7.5Hz),3.00(1H,m),5.16-5.19(2H,m),5.32(1H,d,J=7.2Hz),6.93(1H,d,J=1.2Hz),7.13(1H,m),7.22(1H,dd,J=7.8,6.6Hz),7.42(1H,d,J=7.8Hz),7.63(1H,d,J=7.8Hz),7.76(2H,d,J=8.4Hz),7.90(2H,d,J=8.4Hz),8.95(1H,br).

25 IR(CHCl₃):3458,3374,3260,3020,3002,2948,2868,1708,1598,1452,1422,130
1,1156/cm.[α]_D=+17.9° (CHCl₃,c=1.01,22°C).

No.1a - 1 8 4

CDCl₃ 200MHz

0.92-2.00(14H,m),2.20(1H,m),2.34(2H,t,J=6.8Hz),3.05(1H,m),5.20-5.36(3H,m),7.39-7.44(2H,m),7.61-7.66(1H,m),7.80-7.84(1H,m),8.05(2H,d,J=8.6Hz),8.40(2H,d,J=8.6Hz).

5 IR(CHCl₃):3384,3271,3019,2958,1709,1615,1599,1551,1453,1405,1344,1326,1243,1163/cm.
 $[\alpha]_D = +18.5^\circ$ (CHCl₃,C=1.00,21°C).

No.1a - 185

10 CDCl₃ 300MHz
 0.89-2.20(15H,m),2.26(2H,d,t,J=2.1 and 7.2Hz),2.99(1H,m),5.08(1H,d,J=6.3Hz),5.09-5.24(2H,m),6.90(1H,d,J=1.2Hz),7.32-7.48(4H,m),7.64-7.72(3H,m),8.20(1H,d,J=1.2Hz),9.00(1H,s).
 IR(CHCl₃):3464,3375,3275,3022,2956,1707,1605,1490,1449,1356,1322,1219,
 15 1147,1131/cm.
 $[\alpha]_D = +21.6^\circ$ (CHCl₃,C=1.01,23°C).

No.1a - 186

CDCl₃ 200MHz

$[\alpha]_D = +32^\circ$ (CHCl₃, c=1.69).

No.1a - 1 8 8

CDCl₃ 200MHz

5 0.86-1.92(14H,m),2.22(3H,m),2.36(3H,s),2.95(1H,m),3.67(3H,s),3.93(3H,s),4.81(1H,d,J=6.2Hz),5.04-5.20(2H,m),7.02-7.05(2H,m),7.31(1H,d,J=8.6Hz),7.39(1H,d,J=7.8Hz),7.79-7.89(3H,m).
 IR(CHCl₃):3385,3286,3029,3019,3015,2954,2877,1718,1617,1598,1567,1507,1311,1269,1153 /cm.

10 $[\alpha]_D = -29.4^\circ$ (CHCl₃, c=1.01, 25°C).

No.1a - 1 8 9

$[\alpha]_D = -7.7^\circ$ (CHCl₃, c=1.00, 24°C).

15 No.1a - 1 9 0

$[\alpha]_D = -17.3^\circ$ (CHCl₃, c=1.00, 24°C).

No.1a - 1 9 1

CDCl₃ 300MHz

20 0.95-2.20(14H,m),2.30(1H,m),2.36(2H,d,J=6.9Hz),3.21(1H,m),4.25(2H,s),5.07(1H,d,J=7.8Hz),5.35-5.48(2H,m),7.25(1H,dd,J=1.8 and 8.1Hz),7.32-7.35(2H,m),7.59(1H,d,J=8.1Hz),7.94(1H,s),8.14(1H,d,J=2.7Hz),8.23(1H,d,d,J=2.7 and 8.7Hz).

IR(CHCl₃):3386,3026,3015,2957,2877,2633,1702,1617,1573,1530,1348,1123

25 /cm.

$[\alpha]_D = -6.1^\circ$ (CHCl₃, c=1.01, 25°C).

No.1a - 1 9 2

CDCl₃ 300MHz



0.92-2.20(14H,m),2.13(3H,m),3.23(1H,m),3.64(3H,s),3.94(3H,s),4.22(2H,s),4.36(1H,d,J=7.8Hz),5.37-5.42(2H,m),7.16-7.42(6H,m),7.53(1H,d,J=8.4Hz),7.94(1H,s).

IR(CHCl₃):3389,3022,3013,2953,2877,1716,1616,1560,1485,1340,1326,1124

5 /cm.

[α]_D = -15.2° (CHCl₃,c=1.01,25°C).

No.1a - 1 9 3

CDCl₃ 300MHz

10 0.92-2.20(14H,m),2.25(1H,m),2.35(2H,t,J=7.2Hz),3.17(1H,m),4.22(2H,s),4.91(1H,d,J=7.5Hz),5.37-5.42(2H,m),7.13-7.43(6H,m),7.60(1H,d,J=8.1Hz),8.05(1H,s).

IR(CHCl₃):3511,3387,3029,3020,3011,2957,2877,2651,1698,1614,1560,1505,1320,1280,1252,1126 /cm.

15 [α]_D = -0.9° (CHCl₃,c=1.00,25°C).

No.1b - 1

CDCl₃ 300MHz

m), 7.78(2H, d, J=8.4Hz).

IR(CHCl₃): 3384, 3026, 2952, 2874, 1719, 1595, 1453, 1407, 1320, 1180/cm.

[\alpha]_D=+2.5° (CHCl₃, c=1.02, 24°C).

5 No.1b-3

CDCl₃ 300MHz

0.96-2.05(20H, m), 2.07(1H, m), 3.07(1H, m), 4.04(2H, s), 5.21-5.35(2H, m), 5.55(1H, d, J=6.9Hz), 7.14(2H, d, J=6.6Hz), 7.20-7.32(5H, m), 7.78(2H, d, J=8.1H).

IR(CHCl₃): 3250, 3022, 2950, 1699, 1596, 1495, 1453, 1405, 1318, 1153/cm.

10 [\alpha]_D= +17.1° (CHCl₃, c=1.01, 25°C).

mp. 129-131°C.

No.1b-4

CDCl₃ 200MHz

15 0.90-2.10(15H, m), 1.19(3H, s), 1.20(3H, s), 3.11(1H, m), 5.24-5.32(2H, m), 5.70(1H, d, J=6.6Hz), 7.38-7.68(4H, m), 7.96-8.04(2H, m), 8.53(1H, d, J=1.4Hz).

IR(CHCl₃): 3384, 3246, 2958, 1701, 1632, 1595, 1468, 1445, 1322, 1216, 1202, 1190, 1155, 1122/cm.

[\alpha]_D=+10.8° (CHCl₃, c=0.51, 23°C).

20

No.1b-5

1.02-2.10(15H, m), 1.16(6H, s), 3.02(1H, m), 4.09(3H, s), 5.23-5.28(2H, m), 5.76(1H, d, J=7.2Hz), 7.36-7.63(4H, m), 7.97(1H, d, J=7.8Hz), 8.16(1H, s).

IR(CHCl₃): 3369, 2959, 1702, 1635, 1585, 1468, 1454, 1441, 1415, 1318, 1222, 1189,

25 1170, 1154/cm.

[\alpha]_D=+9.9° (CHCl₃, c=1.00, 23°C).

No.1c-1

CDCl₃ 300MHz



1.10-2.02(14H,m),2.27(2H,t,J=7.5Hz),2.50(1H,m),2.89(3H,s),3.31(1H,m),3.64(3H,s),5.16-5.30(2H,m),7.34-7.42(3H,m),7.50-7.59(2H,m),7.62-7.68(2H,m),7.76-7.82(2H,m).

IR(CHCl₃):3020,2946,2868,2212,1727,1596,1495,1437,1339,1156,1135,1084

5 /cm.

$[\alpha]_D = -16.1^\circ$ (CHCl₃,c=1.05,25.0°C).

m.p.100-102°C

No.1c-2

10 CDCl₃ 300MHz

1.10-2.05(14H,m),2.23(2H,t,J=7.5Hz),2.53(1H,m),2.91(3H,s),3.35(1H,m),3.62(3H,s),5.02-5.30(2H,m),7.50-7.60(3H,m),7.90-8.08(6H,m).

IR(CHCl₃):3016,2946,2868,1728,1437,1398,1340,1160,1086 /cm.

$[\alpha]_D = -32.5^\circ$ (CHCl₃,c=1.00,25.0°C).

15

No.1c-3

CD₃OD 300MHz

1.15-2.05(14H,m),2.13(2H,t,J=7.2Hz),2.47(1H,m),2.91(3H,s),3.27(1H,m),4.9

$[\alpha]_D = +40.0^\circ$ (CHCl₃, c=0.53, 22°C).

No.1d- 2

CDCl₃ 300MHz

5 1.03-2.30(17H,m),3.03(1H,m),4.03(2H,s),5.26(2H,m),5.84(1H,br),5.25-5.29(1H,d,J=6.6Hz),6.03(1H,br),7.14(2H,d,J=8.1Hz),7.26-7.31(5H,m),7.80(2H,d,J=8.1Hz).

IR(CHCl₃):3376,3002,2946,1669,1595,1492,1454,1406,1318,1154/cm.

$[\alpha]_D = +4.3^\circ$ (CHCl₃, c=1.00, 23°C).

10

No.1d- 3

CDCl₃ 300MHz

0.96-2.17(17H,m),2.33(2H,t,J=6.9Hz),3.01(1H,m),4.04(2H,s),5.10(1H,d,J=6.6Hz),5.21-5.26(2H,m),7.14(2H,d,J=8.7Hz),7.16-7.32(5H,m),7.78(2H,d,J=8.4

15 Hz).

IR(CHCl₃):3260,3020,2946,1711,1596,1492,1457,1407,1318,1154/cm.

$[\alpha]_D = +9.3^\circ$ (CHCl₃, c=1.09, 25°C).

No.1d- 4

20 CDCl₃ 300MHz

0.95-2.14(15H,m),2.34(2H,t,J=7.2Hz),3.09(1H,m),3.30(3H,s),4.04(2H,s),5.19(1H,d,J=7.2Hz),5.22-5.39(2H,m),7.10-7.35(7H,m),7.81(2H,d,J=8.1Hz),9.10(1H,brs).

IR(CHCl₃):3382,3260,3028,2952,2874,2670,1713,1595,1492,1450,1405,1338,

25 1160,1120,1092/cm.

$[\alpha]_D = +22.2^\circ$ (CHCl₃, c=1.07, 22°C).

No.1d- 5

CDCl₃ 300MHz



1.00-2.10(14H,m),2.30-2.39(3H,m),3.15(1H,m),3.35(3H,s),5.18-5.40(3H,m),7.41(1H,d.t.,J=0.9 and 7.8Hz),7.50-7.69(3H,m),7.88-8.15(2H,m),8.60(1H,d,J=1.5Hz),9.06(1H,s).

IR(CHCl₃):3382,3268,3028,2954,2874,1714,1442,1402,1338,1188,1155,1

5 121,1072/cm.

$[\alpha]_D = +15.3^\circ$ (CHCl₃,C=1.00,22°C).

No.1e-1

CDCl₃ 300MHz

10 1.19-2.45(19H,m),2.58(1H,m),5.63(1H,d,J=3.0Hz),7.42-7.65(4H,m),7.94-8.03(2H,m),8.49-8.50(1H,m).

IR(CHCl₃):3293,3024,1710,1595,1584,1467,1445,1410,1324,1222,1213,1206,1190,1160/cm.

$[\alpha]_D = -41.1^\circ$ (CHCl₃,C=1.01,23°C).

15

No.1e-2

CDCl₃ 300MHz

1.10-2.25(19H,m),2.94(1H,m),4.12(3H,s),5.53(1H,d,J=7.2Hz),7.39(1H,m),7.50-7.62(3H,m),7.96(1H,d,J=7.5Hz),8.13(1H,s).

$[\alpha]_D = -54.1^\circ$ (CHCl₃, C=1.01, 23°C).

No.1f- 2

CDCl₃ 300MHz

5 1.08-2.24(19H,m), 2.94(1H,m), 3.53(2H,t,J=6.3Hz), 4.13(3H,s), 5.47(1H,d,J=6.6Hz), 7.36-7.63(4H,m), 7.96(1H,d,J=6.3Hz), 8.14(1H,s).

IR(CHCl₃): 3625, 3368, 3025, 3013, 2949, 2877, 1710, 1634, 1600, 1584, 1468, 1454, 1440, 1415, 1342, 1317, 1232, 1220, 1189, 1157/cm.

$[\alpha]_D = -5.6^\circ$ (CHCl₃, C=1.00, 25°C).

10

No.1g- 1

CDCl₃ 200MHz

1.17-2.34(15H,m), 3.22(1H,m), 5.10-5.16(2H,m), 5.45(1H,d,J=7.0Hz), 7.35-7.66(4H,m), 7.95-8.01(2H,m), 8.51(1H,d,J=2.0Hz).

15 IR(CHCl₃): 3383, 3275, 2959, 1707, 1595, 1584, 1468, 1445, 1425, 1319, 1269, 1248, 1190, 1149, 1123/cm.

$[\alpha]_D = +64.3^\circ$ (CHCl₃, C=1.01, 23°C).

No.1g- 2

20 CDCl₃ 300MHz

1.10-2.15(13H,m), 2.36(2H,t,J=7.2Hz), 3.21(1H,m), 4.09(3H,s), 5.10-5.22(2H,m), 5.43(1H,d,J=7.8Hz), 7.36-7.62(4H,m), 7.96(1H,d,J=7.8Hz), 8.12(1H,s).

IR(CHCl₃): 3366, 2959, 1708, 1635, 1600, 1585, 1467, 1454, 1440, 1415, 1345, 1318, 1233, 1189, 1152/cm.

25 $[\alpha]_D = +103.1^\circ$ (CHCl₃, C=1.01, 23°C).

No.1h- 1

CDCl₃ 300MHz

0.90-1.60(17H,m), 1.83(1H,m), 2.11(1H,m), 2.22(2H,t,J=7.2Hz), 3.07(1H,m), 5.



11(1H,d,J=7.2Hz),7.38-7.47(1H,m),7.50-7.60(1H,m),7.60-7.72(2H,m),7.88-8.12(2H,m),8.54(1H,d,J=0.9Hz).

IR(CHCl₃):3382,3274,2926,1707,1464,1442,1318,1266,1188,1153,1121,1105,1071,1019/cm.

5 [α]_D=-2.8° (CHCl₃,c=1.01,23°C).

No.1i-1

[α]₃₆₅ +50.9° (CHCl₃,c=1.01,24°C).

10 No.1i-2

CDCl₃ 300MHz

0.98-1.70(11H,m),1.80-2.00(5H,m),2.19(1H,m),3.03(1H,m),3.64(2H,t,J=6.6Hz),4.05(2H,s),4.69(1H,d,J=6.6Hz),5.15(1H,m),5.25(1H,m),7.16(2H,d,J=7.2Hz),7.27-7.32(5H,m),7.77(2H,d,J=8.4Hz).

15 IR(CHCl₃):3376,3004,2946,2316,1596,1492,1453,1407,1318,1154/cm.

[α]_D= +3.5° (CHCl₃,c=1.00,22°C).

mp.80.5-82.0°C

$[\alpha]_D = -28.0 \pm 0.6^\circ$ (CHCl₃, c = 1.06, 24°C).

mp. 159-161°C

1j-5

5 $[\alpha]_D = -12.5 \pm 0.5^\circ$ (CHCl₃, c = 1.04, 23°C).

mp. 99-101°C

No. 1j-6

CDCl₃ 300MHz

10 0.90-2.03(14H, m), 2.20(1H, m), 2.30(2H, t, J = 7.3Hz), 3.00(1H, m), 3.68(3H, s), 4.76(1H, d, J = 6.8Hz), 5.13-5.35(2H, m), 7.01-7.08(4H, m), 7.19-7.26(1H, m), 7.37-7.46(2H, m), 7.80-7.84(2H, m).

IR(CHCl₃): 3382, 3280, 3080, 3016, 2952, 2900, 1727, 1582, 1486, 1432, 1322, 1150/cm.

15 $[\alpha]_D = -31.0^\circ$ (CHCl₃, c = 1.05, 26°C).

No. 1j-7

CDCl₃ 300MHz

18 0.91-2.09(14H, m), 2.15(1H, m), 2.35(2H, t, J = 7.5Hz), 3.01(1H, m), 5.17(1H, d, J = 6.8Hz), 5.21-5.34(2H, m), 7.01-7.08(4H, m), 7.15-7.27(1H, m), 7.37-7.43(2H, m), 7.80-7.85(2H, m).

IR(CHCl₃): 3474, 3386, 3270, 3024, 2958, 2900, 2675, 1711, 1584, 1488, 1420, 1323, 1298, 1150/cm.

$[\alpha]_D = -13.4^\circ$ (CHCl₃, c = 1.01, 26°C).

25

No. 1j-8

CDCl₃ 300MHz

19 0.95-2.14(13H, m), 2.30(2H, t, J = 7.5Hz), 2.36(1H, m), 2.84(1H, m), 2.91(1J = 4.8Hz), 3.66(3H, s), 5.33-5.52(2H, m), 6.82-6.87(1H, m), 6.93-7.00(2H, m), 7.09-7.15(4H,



m), 7.28-7.36(2H,m), 7.54-7.59(1H,m).

IR(CHCl₃): 3350, 3010, 2950, 2880, 1728, 1603, 1582, 1489, 1461, 1438, 1360, 1160 /cm.

$[\alpha]_D = +75.1^\circ$ (CHCl₃, c=1.13, 26°C).

5

No.1j-9

CDCl₃ 300MHz

0.95-2.03(14H,m), 2.20(1H,m), 2.29(2H,t,J=7.5Hz), 3.06(1H,m), 3.68(3H,s), 4.9
8(1H,d,J=7.4Hz), 5.14-5.34(2H,m), 7.46-7.54(2H,m), 7.60-7.68(1H,m), 7.75-7.8
10 0(2H,m), 7.88-7.92(2H,m), 7.99-8.03(2H,m).

IR(CHCl₃): 3384, 3280, 3020, 2960, 2888, 1727, 1662, 1600, 1316, 1273, 1163/cm.

$[\alpha]_D = -41.0^\circ$ (CHCl₃, c=1.17, 26°C).

No.1j-10

15 CDCl₃+CD₃OD 300MHz

0.94-2.08(14H,m), 2.21(1H,m), 2.34(2H,t,J=6.2Hz), 3.04(1H,m), 5.21-5.35(2H,
m), 5.40(1H,m), 7.49-7.58(2H,m), 7.64-7.68(1H,m), 7.79-8.06(6H,m).

IR(CHCl₃): 3475, 3370, 3250, 3018, 2956, 2976, 2650, 1709, 1662, 1595, 1445, 1420,

No.1j-12

CDCl₃ 300MHz

1.08-1.98(14H,m),2.23(1H,m),2.33(2H,t,J=7.5Hz),3.16(1H,m),5.18-5.26(2H,
 5 m),5.39-5.45(1H,m),7.39-7.49(3H,m),7.60-7.64(3H,m),7.80-7.83(2H,m),8.09-
 8.12(1H,m).

IR(CHCl₃):3325,3022,2956,2872,2680,1708,1662,1603,1598,1425,1340,1316,
 1288,1271,1165/cm.

[α]_D= +9.7° (CHCl₃,c=0.52,25°C).

10

No.1j-13

CDCl₃ 300MHz

0.95-2.00(14H,m),2.20(1H,m),2.27(2H,t,J=6.8Hz),3.03(1H,m),3.67(3H,s),4.9
 9(1H,d,J=6.6Hz),5.12-5.31(2H,m),7.47-7.55(2H,m),7.60-7.69(2H,m),7.76-7.8
 15 1(2H,m),7.96-8.05(1H,m),8.08-8.14(1H,m),8.27-8.28(1H,m)..

IR(CHCl₃):3674,3538,3376,3276,3012,2948,2860,1726,1662,1595,1440,1335,
 1317,1297,1274,1166,1150/cm.

[α]_D=+10.2° (CHCl₃,c=1.00,25°C).

20 No.1j-14

CDCl₃ 300MHz

0.93-2.08(14H,m),2.21(1H,m),2.32(2H,t,J=6.3Hz),3.00(1H,m),5.20-5.36(2H,
 m),5.38(1H,d,J=6.2Hz),7.50-7.55(2H,m),7.63-7.71(2H,m),7.77-7.81(2H,m),7.
 99-8.04(1H,m),8.10-8.18(1H,m),8.32-8.36(1H,m).

25 IR(CHCl₃):3674,3480,3374,3258,3012,2950,2875,2650,1709,1662,1598,1418,
 1335,1317,1274,1143/cm.

[α]_D=+61.0° (CHCl₃,c=1.19,25°C).

No.1j-15



CDCl₃ 300MHz

0.90-2.00(14H,m),2.19(1H,m),2.30(2H,t,J=7.3Hz),3.01(1H,m),3.67(3H,s),4.82(1H,d,J=6.6Hz),5.14-5.34(2H,m),7.36-7.39(3H,m),7.53-7.57(2H,m),7.62-7.66(2H,m),7.83-7.88(2H,m).

5 IR(CHCl₃):3376,3276,3010,2948,2868,2212,1727,1597,1500,1437,1325,1161/cm.

[α]_D=-7.2° (CHCl₃,c=1.00,26°C).

No.1j-16

10 CDCl₃ 300MHz

0.93-2.03(14H,m),2.15(1H,m),2.36(2H,t,J=7.5Hz),3.05(1H,m),5.20-5.40(3H,m),7.36-7.39(3H,m),7.55-7.66(4H,m),7.84-7.88(2H,m).

IR(CHCl₃):3470,3376,3260,3012,2950,2868,2675,2212,1708,1596,1503,1416,1396,1322,1160.

15 [α]_D=-22.4° (CHCl₃,c=1.00,26°C).

No.1j-17

CDCl₃ 300MHz

1.00-1.60(9H,m),1.79-1.89(5H,m),2.17(1H,brs),2.23(2H,t,J=7.2Hz),3.03(1H,m),5.10-5.23(2H,m),5.49(1H,d,J=6.6Hz),7.40(1H,t,J=7.4Hz),7.53(1H,t,J=7.2Hz),7.60-7.68(2H,m),7.98-8.03(2H,m),8.55(1H,d,J=1.5Hz).

IR(CHCl₃):3516,3384,3270,2666,1708,1632,1595,1584,1467,1445,1425,1374,1345,1321,1269,1248,1218/cm.

[α]_D= -7.8°(CHCl₃,c=1.01,22°C).

25

No.1j-18

CDCl₃ 300MHz

0.90-2.03(14H,m),2.19(1H,m),2.30(2H,t,J=7.5Hz),3.00(1H,m),3.67(3H,s),4.80(1H,d,J=6.4Hz),5.14-5.35(2H,m),6.99-7.04(2H,m),7.16-7.22(2H,m),7.24-7.4

9(4H,m),7.57-7.61(1H,m).

IR(CHCl₃):3376,3276,3012,2948,2875,1727,1583,1488,1471,1432,1330,1311,1150/cm.

[α]_D=+54.0° (CHCl₃,c=0.99,25°C).

5

No.1j- 19

CDCl₃ 300MHz

0.91-2.09(14H,m),2.15(1H,m),2.34(2H,t,J=7.5Hz),3.01(1H,m),5.16(1H,d,J=6.6Hz),5.24-5.40(2H,m),7.01-7.08(2H,m),7.15-7.25(2H,m),7.35-7.53(4H,m),7.5

10 9-7.65(1H,m).

IR(CHCl₃):3470,3376,3260,3012,2950,2875,2640,1708,1583,1488,1471,1430,1335,1305,1149/cm.

[α]_D= -21.0° (CHCl₃,c=1.30,25°C).

15 No.1j- 20

CDCl₃ 300MHz

1.17(1H,m),1.26-1.34(2H,m),1.54-2.24(11H,m),2.31(2H,t,J=7.4Hz),2.48(1H,brs),3.37(1H,m),3.67(3H,s),5.35-5.50(2H,m),7.39-7.68(9H,m).

IR(CHCl₃):3377,1727,1601,1435,1362,1168/cm.

20

No.1j- 21

CDCl₃ 300MHz

1,10-2.25(14H,m),2.36(2H,t,J=7.2Hz),2.47(1H,m),2.89(1H,m),5.35-5.53(2H,m),5.63(1H,d,J=7.2Hz),7.40-7.71(9H,m).

25 IR(CHCl₃):3674,3496,3374,3234,3010,2952,2870,2640,1730(sh),1710,1605,1485,1425,1360,1167/cm.

[α]_D=-43.0° (CHCl₃,c=1.01,25°C).

No.1j- 22



CDCl₃ 300MHz

0.98-1.95(14H,m),2.25-2.31(3H,m),2.95(1H,m),5.19-5.30(2H,m),5.33(1H,d,J=3.9Hz),6.58(1H,d,J=7.5Hz),6.80(1H,t,J=7.5Hz),6.99-7.05(1H,m),7.44-7.53(6H,m),7.60-7.73(9H,m),7.94-7.73(3H,m),8.23-8.26(2H,m),10.66(1H,s).

5 IR(CHCl₃):3475,3372,3260,3008,2952,2868,2722,1725,1710(sh),1663,1590,1571,1525,1448,1437,1345,1314,1161,1112/cm.
 $[\alpha]_D = +12.9^\circ$ (CHCl₃,c=0.12,23°C).

No.1j- 2 3

10 CDCl₃ 300MHz

0.94~1.94(14H,m),2.23-2.30(3H,m),2.98(1H,m),3.68(3H,s),5.09(1H,d,J=6.2Hz),5.15-5.28(2H,m),7.14-7.22(1H,m),7.34-7.42(2H,m),7.68-7.73(2H,m),7.89-8.03(4H,m),8.51(1H,s).

IR(CHCl₃):3372,3275,1724,1673,1599,1438,1320,1161/cm.

15 $[\alpha]_D = +17.0^\circ$ (CHCl₃,c=1.38,25°C).

No.1j- 2 4

CDCl₃+CD₃OD 300MHz

IR(CHCl₃):3384,3278,1726,1605,1484,1448,1331,1161/cm.

No.1j- 2 6

CDCl₃+CD₃OD 300MHz

5 1.03-2.10(14H,m),2.22(1H,m),2.31(2H,t,J=7.5Hz),2.98(1H,m),5.23-5.38(2H,m),7.55-7.66(3H,m),8.05-8.08(2H,m),8.14-8.18(2H,m),8.28-8.31(2H,m).
 IR(Nujol):3260,2720,2660,1711,1545,1460,1317,1163/cm.
 [α]_D=+15.8° (CH₃OH,c=1.01,22°C).

10 No.1j- 2 7

[α]_D= +16.7° (CHCl₃,c=1.00,23°C).

No.1j- 2 8

CDCl₃ 300MHz

15 1.01(1H,m),1.14-1.29(2H,m),1.46-2.19(11H,m),2.33(2H,t,J=7.2Hz),2.41(1H,brs),3.18-3.21(5H,m),3.68(3H,s),3.73-3.76(4H,m),4.37(1H,d,J=7.2Hz),5.35-5.45(2H,m).
 IR(CHCl₃):3392,1727,1435,1335,1148/cm.
 [α]_D= +10.7°(CHCl₃,c=1.39,26°C).

20

No.1j- 2 9

CDCl₃ 300MHz

1.00(1H,m),1.20-1.29(2H,m),1.48-2.25(12H,m),2.37(2H,t,J=7.2Hz),,3.17-3.22(5H,m),3.74-3.79(4H,m),4.79(1H,d,J=7.8Hz),5.34-5.54(2H,m).
 25 IR(CHCl₃):3470,3390,3270,2675,1709,1455,1420,1315,1147/cm.
 [α]_D= +16.8°(CHCl₃,c=1.42,26°C).

No.1k- 1

[α]_D= -25.4° (CHCl₃,c=1.08,23°C).



No.1k-2

CDCl₃ 200MHz

1.07-2.28(14H,m),2.32(2H,t,J=7.4Hz),2.63(1H,m),3.63(3H,s),3.93(1H,m),5.3

5 0-5.52(2H,m),6.35(1H,d,J=7.0Hz),7.48-7.60(3H,m),7.88-8.02(6H,m).

IR(CHCl₃):3438,3002,2946,2868,1727,1652,1514,1485,1363,1310,1245,1154

/cm.

[α]_D=-80.4° (CHCl₃,c=1.01,24.0°C).

10 No.1k-3

CDCl₃ 200MHz

1.10-2.26(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.93(1H,m),5.30-5.50(2H,

m),6.33(1H,d,J=7.5Hz),7.48-7.58(3H,m),7.88-7.99(6H,m).

IR(CHCl₃):3446,3004,2952,2874,1709,1652,1515,1485,1305,1153 /cm.15 [α]_D=-96.4° (CHCl₃,c=1.05,23.0°C).

No.1k-4

CDCl₃ 300MHz

1.05-2.17(14H, m), 2.00-2.11(1H, t, J=5.0Hz), 2.50(1H, t, J=5.0Hz), 2.61(1H, t, J=5.0Hz), 3.63(3H, s), 3.93(1H, m), 5.30-5.50(2H, m), 6.33(1H, d, J=7.5Hz), 7.48-7.58(3H, m), 7.88-7.99(6H, m).

502,1441,1410,1307,1276/cm.

$[\alpha]_D = -63.6 \pm 1.9^\circ$ (CHCl₃, c=0.56, 22°C).

No.1k-6

5 CDCl₃ 300MHz

1.04-2.24(14H,m),2.36(2H,t,J=7.5Hz),2.58(1H,m),3.88(1H,m),5.30-5.43(2H,m),6.21(1H,d,J=7.2Hz),7.41-7.49(3H,m),7.73-7.77(2H,m).

IR(CHCl₃):3447,3011,2955,1708,1653,1603,1578,1515,1486,1457,1312,1211,1164/cm.

10 $[\alpha]_D = -60.3^\circ$ (CHCl₃, c=1.00, 23°C).

No.1k-7

CDCl₃ 300MHz

1.04-2.22(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.87(1H,m),5.30-5.44(2H,m),6.17(1H,d,J=8.7Hz),6.99-7.40(7H,m),7.73(2H,d,J=7.5Hz).

15 IR(CHCl₃):3449,3013,2955,1739,1708,1651,1609,1588,1522,1487,1243,1227,1169/cm.

$[\alpha]_D = -60.2^\circ$ (CHCl₃, c=0.92, 23°C).

20 No.1k-8

CDCl₃ 300MHz

1.04-2.25(14H,m),2.34(2H,t,J=7.5Hz),2.56(1H,m),3.87(1H,m),5.30-5.44(2H,m),6.19(1H,d,J=7.5Hz),6.83-6.94(6H,m),7.69(2H,d,J=8.7Hz).

IR(CHCl₃):3599,3455,3012,2955,1711,1644,1604,1577,1524,1507,1492,1290,

25 1236,1197,1170/cm.

$[\alpha]_D = -47.7^\circ$ (CHCl₃, c=1.01, 22°C).

No.1k-9

CDCl₃ 300MHz



1.04-2.20(14H,m),2.31(3H,s),2.36(2H,t,J=7.2Hz),2.56(1H,m),3.86(1H,m),5.3
0-5.43(2H,m),6.16(1H,d,J=7.2Hz),7.00-7.11(6H,m),7.74(2H,d,J=8.7Hz).

IR(CHCl₃):3450,3010,2955,1750,1709,1651,1609,1596,1523,1489,1370,1247,
1227,1183/cm.

5 [α]_D=-54.7° (CHCl₃,C=1.01,22°C).

No.1k-10

CDCl₃ 300MHz

1.04-2.22(14H,m),2.35(2H,t,J=7.2Hz),2.56(1H,m),3.82(3H,s),3.86(1H,m),5.3
10 0-5.43(2H,m),6.17(1H,d,J=6.9Hz),6.89-7.01(6H,m),7.70(2H,d,J=8.7Hz).
IR(CHCl₃):3023,2955,1742,1708,1649,1613,1602,1577,1522,1507,1490,1227,
1210,1170/cm.

[α]_D=-58.1° (CHCl₃,C=1.01,22°C).

15 No.1m-1

CDCl₃ 300MHz

1.06-2.25(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.91(1H,m),5.3
3-5.47(2H,m),6.24(1H,d,J=6.9Hz),7.35-7.38(3H,m),7.53-7.60(4H,m),7.75-7.7

No.1m-3

CDCl₃ 300MHz

1.06-2.23(14H,m),2.32(2H,t,J=7.0Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.3
 5 0-5.50(2H,m),6.28(1H,d,J=7.0Hz),7.38-7.51(3H,m),7.58-7.67(4H,m),7.83-7.8
 8(2H,m).

IR(CHCl₃):3438,3008,2948,2875,1783(w),1727,1650,1608,1580(w),1523,150
 1,1482/cm.

[α]_D = +59° (CHCl₃,c=1.49,25°C)

10

No.1m-4

CDCl₃ 300MHz

1.08-2.25(14H,m),2.36(2H,t,J=7.4Hz),2.59(1H,m),3.91(1H,m),5.28-5.48(3H,
 m),6.29(1H,d,J=7.4Hz),7.38-7.50(3H,m),7.61-7.67(4H,m),7.81-7.86(2H,m).
 15 IR(CHCl₃):3436,3010,2948,2868,1727,1715(sh),1649,1615(w),1524,1502,14
 82,1372/cm.

[α]_D = +72° (CHCl₃,c=0.98,25°C)

No.1m-5

20 CDCl₃ 300MHz

1.09-2.20(14H,m),2.32(2H,t,J=7.2Hz),2.63(1H,m),3.63(3H,s),3.92(1H,m),5.3
 1-5.51(2H,m),6.35(1H,d,J=7.0Hz),7.51-7.60(3H,m),7.92-7.97(6H,m).

IR(CHCl₃):3436,3008,2946,2875,1727,1652,1608(w),1515,1484/cm.

[α]_D = +82° (CHCl₃,c=0.99,25°C)

25

No.1m-6

CDCl₃ 300MHz

1.09-2.23(14H,m),2.37(2H,t,J=7.2Hz),2.60(1H,m),3.92(1H,m),5.30-5.49(2H,
 m),6.32(1H,d,J=7.4Hz),7.51-7.55(3H,m),7.85-7.98(6H,m).



IR(CHCl₃):3436,3010,2950,2875,2670,1727,1715(sh),1650,1605(w),1515,1484/cm.

[α]_D = +84° (CHCl₃, c=1.54, 25°C)

5 No.1m-7

CDCl₃ 300MHz

1.03-2.18(14H,m), 2.32(2H,t,J=7.4Hz), 2.59(1H,m), 3.64(3H,s), 3.89(1H,m), 5.29-5.49(2H,m), 6.16(1H,d,J=7.8Hz), 6.98-7.06(4H,m), 7.14-7.20(1H,m), 7.34-7.41(2H,m), 7.73-7.78(2H,m).

10 IR(CHCl₃):3438,3008,2946,2868,1727,1648,1610,1586,1519,1485/cm.

[α]_D = +54° (CHCl₃, c=1.29, 25°C).

No.1m-8

CDCl₃ 300MHz

15 1.06-2.21(14H,m), 2.36(2H,t,J=7.5Hz), 2.58(1H,m), 3.88(1H,m), 5.31-5.46(2H,m), 6.17(1H,d,J=6.9Hz), 6.99-7.05(4H,m), 7.15-7.21(1H,m), 7.36-7.41(2H,m), 7.72-7.75(2H,m).

IR(CHCl₃):3436,3010,2948,2868,2675,1730(sh),1709,1647,1608,1586,1520,1

CDCl₃ 300MHz

1.04-2.20(14H,m), 2.31-2.39(5H,m), 2.57(1H,m), 3.87(1H,m), 5.28-5.47(2H,m),
6.17(1H,d,J=7.0Hz), 6.99-7.12(6H,m), 7.72-7.76(2H,m).

IR(CHCl₃): 3674, 3572, 3438, 3010, 2948, 2868, 2626, 1748, 1710, 1648, 1615, 1595,

5 1520, 1489/cm.

[\alpha]_D = +51° (CHCl₃, c=0.91, 25°C)

No.1m-11

CDCl₃ 300MHz

10 1.04-2.16(14H,m), 2.31(2H,t,J=7.2Hz), 2.59(1H,m), 3.63(3H,s), 3.89(1H,m), 5.2
9-5.49(2H,m), 6.24(1H,d,J=7.4Hz), 6.54(1H,s), 6.83-6.93(6H,m), 7.69-7.73(2H,
m).

IR(CHCl₃): 3674, 3588, 3438, 3296, 3010, 2946, 2868, 1725, 1646, 1603, 1520, 1504,
1489/cm.

15 [\alpha]_D = +51° (CHCl₃, c=0.91, 25°C)

No.1m-12

CDCl₃ 300MHz

1.04-2.21(14H,m), 2.33(2H,t,J=8.0Hz), 2.56(1H,m), 3.87(1H,m), 5.28-5.48(2H,
20 m), 6.23(1H,d,J=8.0Hz), 6.75(1H,m), 6.87-6.94(6H,m), 7.66-7.71(2H,m), 9.63(1
H,brs).

IR(CHCl₃): 3674, 3582, 3436, 3275, 3010, 2950, 2868, 2675, 1727, 1710(sh), 1643, 1
603, 1522, 1504, 1490/cm.

[\alpha]_D = +30° (CHCl₃, c=0.97, 25°C)

25

No.1m-13

CDCl₃ 300MHz

1.01-2.18(14H,m), 2.31(2H,t,J=7.4Hz), 2.58(1H,m), 3.63(3H,s), 3.82(3H,s), 3.89
(1H,m), 5.29-5.48(2H,m), 6.14(1H,d,J=7.0Hz), 6.88-7.02(6H,m), 7.70-7.74(2H,



m).

IR(CHCl₃):3442,3402,3004,2946,2868,1727,1648,1600,1518,1499/cm.

[α]_D=+42° (CHCl₃,c=1.82,26°C)

5 No.1m-14

CDCl₃ 300MHz

1.05-2.21(14H,m),2.35(2H,t,J=7.2Hz),2.55(1H,m),3.82(3H,s),3.88(1H,m),5.2
7-5.46(2H,m),6.16(1H,d,J=7.2Hz),6.88-7.02(6H,m),7.68-7.73(2H,m).

IR(CHCl₃):3438,3012,2948,2870,2650,1730(sh),1709,1647,1615(sh),1601,15

10 19,1492/cm.

[α]_D=+64° (CHCl₃,c=0.70,25°C)

No.1m-15

CDCl₃ 300MHz

15 1.05-2.20(14H,m),2.29-2.36(5H,m),2.62(1H,m),3.63(3H,s),3.92(1H,m),5.30-5.
50(2H,m),6.25(1H,d,J=7.2Hz),7.16-7.21(2H,m),7.59-7.64(4H,m),7.83-7.87(2
H,m).

IR(CHCl₃):3446,3010,2946,2868,1745(sh),1728,1650,1615,1525,1507,1486/cm.

20 [α]_D=+65.0° (CHCl₃,c=1.02,23°C)

No.1m-16

CDCl₃ 300MHz

1.08-2.21(14H,m),2.34-2.40(5H,m),2.59(1H,m),3.90(1H,m),5.29-5.48(2H,m),
25 6.29(1H,d,J=7.0Hz),7.18(2H,d,J=8.6Hz),7.58-7.64(4H,m),7.83(2H,d,J=8.2Hz
).

IR(CHCl₃):3438,3012,2948,2870,2622,1749,1710,1649,1610,1526,1508,1487/cm.

[α]_D=+66° (CHCl₃,c=1.21,24°C)

No.1m-17

CDCl₃ 300MHz

1.06-2.19(14H,m),2.32(2H,t,J=7.2Hz),2.62(1H,m),3.63(3H,s),3.93(1H,m),5.3
 5 0-5.50(2H,m),6.32(1H,d,J=7.6Hz),6.41(1H,s),6.94(2H,d,J=9.0Hz),7.47(2H,d,
 J=9.0Hz),7.58(2H,d,J=8.6Hz),7.81(2H,d,J=8.6Hz).
 IR(CHCl₃):3580,3434,3284,3010,2946,2868,1726,1646,1606,1528,1490/cm. [
 α]_D=+62.4° (CHCl₃,c=1.01,23°C)

10 No.1m-18

CDCl₃+CD₃OD 300MHz

1.11-2.18(14H,m),2.32(2H,t,J=7.4Hz),2.59(1H,m),3.88(1H,m),5.30-5.49(2H,
 m),6.55(1H,d,J=7.0Hz),6.92(2H,d,J=8.6Hz),7.47(2H,d,J=8.6Hz),7.59(2H,d,J
 =8.6Hz),7.79(2H,d,J=8.2Hz).
 15 IR(Nujol):3398,3175,2725,1696,1635,1601,1531,1510/cm.
 [α]_D=+99.5° (CH₃OH,c=1.011,25°C)

No.1m-19

CDCl₃ 300MHz

20 1.05-2.20(14H,m),2.32(2H,t,J=7.4Hz),2.61(1H,m),3.63(3H,s),3.86(3H,s),3.94
 (1H,m),5.30-5.50(2H,m),6.24(1H,d,J=7.0Hz),6.99(2H,d,J=8.6Hz),7.53-7.63(4
 H,m),7.82(2H,d,J=8.6Hz).
 IR(CHCl₃):3440,3006,2946,2875,1726,1649,1606,1527,1510,1489/cm.
 [α]_D=+68° (CHCl₃,c=0.88,26°C)

25

No.1m-20

CDCl₃ 300MHz

1.09-2.20(14H,m),2.35(2H,t,J=7.3Hz),2.58(1H,m),3.85(3H,s),3.89(1H,m),5.2
 8-5.48(2H,m),6.35(1H,d,J=7.2Hz),6.98(2H,d,J=8.8Hz),7.51-7.61(4H,m),7.81(



2H, d, $J=8.4$ Hz), 8.34 (1H, brs).

IR(CHCl₃): 3446, 3012, 2952, 2881, 2640, 1730 (sh), 1707, 1647, 1606, 1527, 1510, 1489/cm.

$[\alpha]_D=+83^\circ$ (CHCl₃, c=1.00, 25°C).

5

No.1m-21

CDCl₃ 300MHz

1.05-2.14 (14H, m), 2.37 (2H, t, $J=7.2$ Hz), 2.51 (1H, m), 3.81 (1H, m), 5.34-5.46 (2H, m), 6.11 (1H, d, $J=7.5$ Hz), 7.33-7.48 (3H, m), 7.53-7.55 (2H, m).

10 IR(CHCl₃): 3420, 3250, 3008, 2948, 2870, 2660, 2210, 1735 (sh), 1705, 1645, 1503, 1441, 1409/cm.

$[\alpha]_D=+59.2 \pm 1.0^\circ$ (CHCl₃, c=1.023, 22°C).

No.1m-22

15 CDCl₃ 300MHz

1.05-2.17 (14H, m), 2.37 (2H, t, $J=7.2$ Hz), 2.52 (1H, m), 3.82 (1H, m), 5.32-5.47 (2H, m), 6.20 (1H, d, $J=7.6$ Hz), 7.38-7.53 (3H, m), 7.58-7.61 (6H, m), 9.11 (1H, brs).

IR(CHCl₃): 3420, 3250, 3010, 2984, 2870, 2675, 2208, 1730 (sh), 1705, 1640, 1500, 1

CDCl₃ 300MHz

1.05-2.21(14H,m),2.36(2H,t,J=7.2Hz),2.57(1H,m),3.89(1H,m),5.28-5.47(2H,m),6.22(1H,d,J=7.0Hz),7.39-7.55(3H,m),7.73-7.79(2H,m).

IR(CHCl₃):3676,3572,3436,3010,2948,2875,1730(sh),1709,1650,1600,1580,1

5 514,1484/cm.

[α]_D=+57° (CHCl₃,c=0.97,26°C).

No.1m - 2 5

CDCl₃ 300MHz

10 1.04-2.18(14H,m),2.28-2.35(5H,m),2.59(1H,m),3.62(3H,s),3.88(1H,m),5.29-5.49(2H,m),6.20(1H,d,J=7.2Hz),7.15(2H,d,J=9.0Hz),7.80(2H,d,J=8.8Hz).

IR(CHCl₃):3436,3010,2946,2868,1752,1727,1653,1602,1519,1491/cm.

[α]_D=+53° (CHCl₃,c=1.63,25°C).

15 No.1m - 2 6

CDCl₃ 300MHz

1.05-2.19(14H,m),2.32-2.38(5H,m),2.56(1H,m),3.88(1H,m),5.29-5.47(2H,m),6.25(1H,d,J=7.4Hz),7.15(2H,d,J=9.0Hz),7.78(2H,d,J=8.6Hz).

IR(CHCl₃):3434,3016,3006,2948,2880,2622,1752,1730(sh),1710,1651,1605,1

20 520,1492/cm.

[α]_D=+58° (CHCl₃,c=3.68,24°C)

No.1m - 2 7

CDCl₃ 300MHz

25 1.05-2.16(14H,m),2.30(2H,t,J=7.5Hz),2.57(1H,m),3.62(3H,s),3.87(1H,m),5.27-5.47(2H,m),6.32(1H,d,J=7.4Hz),6.85(2H,d,J=8.6Hz),7.62(2H,d,J=8.6Hz),8.35(1H,s).

IR(CHCl₃):3580,3450,3216,3010,2946,2868,1726,1640,1608,1584,1528,1496/cm.



$[\alpha]_D = +56.2^\circ$ (CHCl₃, c=0.713, 23°C)

No.1m-28

CDCl₃ 200MHz

5 1.10-2.25(14H,m), 2.32(2H,t,J=7.2Hz), 2.55(1H,brs), 3.82-3.93(1H,m), 5.27-5.47(2H,m), 6.25(1H,d,J=7.4Hz), 6.86(2H,d,J=8.6Hz), 7.62(2H,d,J=8.6Hz).
 IR(CHCl₃): 3438, 3242, 2675, 1730(sh), 1708, 1639, 1607, 1585/cm.

No.1m-29

10 CDCl₃ 300MHz

1.05-2.18(14H,m), 2.31(2H,t,J=7.4Hz), 2.58(1H,m), 3.64(3H,s), 3.85(3H,s), 3.89(1H,m), 5.29-5.48(2H,m), 6.14(1H,d,J=6.6Hz), 6.92(2H,d,J=9.0Hz), 7.74(2H,d,J=9.0Hz).

IR(CHCl₃): 3445, 3008, 2946, 2868, 1727, 1646, 1606, 1578, 1523, 1493/cm.

15 $[\alpha]_D = +53^\circ$ (CHCl₃, c=2.03, 24°C)

No.1m-30

CDCl₃ 300MHz

$[\alpha]_D = +67^\circ$ (CH₃OH, c=1.01, 24°C).

No.1m-32

CDCl₃ 200MHz

5 1.09-2.23(14H,m), 2.33(2H,t,J=7.1Hz), 2.57(1H,brs), 3.40-3.93(9H,m), 4.41(1H,brs), 5.29-5.48(2H,m), 6.44(1H,d,J=7.4Hz), 7.43(2H,d,J=8.2Hz), 7.80(2H,d,J=7.8Hz).

IR(CHCl₃): 3434, 3354, 1726, 1720(sh), 1660(sh), 1626/cm.

10 No.1m-33

CDCl₃ 200MHz

1.14-2.25(14H,m), 2.37(2H,t,J=7.3Hz), 2.64(1H,brs), 3.93-4.01(1H,m), 5.30-5.51(2H,m), 6.47(1H,d,J=7.4Hz), 7.63-7.74(2H,m), 7.79(2H,s), 7.89-7.93(1H,m), 8.00(1H,dd,J=2.3,1.0Hz), 8.30(1H,d,J=1.0Hz), 8.65-8.73(2H,m).

15 IR(CHCl₃): 3450, 2675, 1728, 1707, 1649, 1528, 1509/cm.

$[\alpha]_D = +82.8 \pm 1.2^\circ$ (CHCl₃, c=1.01, 23°C).

No.2a-1

$[\alpha]_D = +69.0^\circ$ (MeOH, c=1.01, 25°C)

20

No.2a-2

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz), 1.15 and 1.24(each 3H,each s), 1.50-2.50(14H,m), 4.30(1H,m), 5.35-5.52(2H,m), 6.32(1H,d,J=8.7Hz), 7.36-7.49(3H,m), 7.58-7.62(2H,m), 7.66 and 7.80(each 2H,each d,J=8.7Hz).

IR(CHCl₃): 3116, 3014, 2925, 2870, 2663, 1708, 1651, 1610, 1524, 1504, 1484, 1472/cm.

$[\alpha]_D = +64.1^\circ$ (MeOH, c=1.02, 25°C).



No.2a-3

$[\alpha]_D = +76.6^\circ$ (MeOH, $c=1.18$, 26°C).

No.2a-4

5 CDCl_3 300MHz

0.99(1H,d, $J=10.2\text{Hz}$), 1.15 and 1.25(each 3H, each s), 1.64-2.51(14H,m), 4.31(1H,m), 5.36-5.53(2H,m), 6.33(1H,d, $J=8.4\text{z}$), 7.50-7.56(3H,m), 7.85-7.98(6H,m).

IR(CHCl_3): 3515, 3452, 3014, 2925, 2870, 1740, 1708, 1654, 1517, 1486, 1470 /cm.

10 $[\alpha]_D = +79.5^\circ$ (MeOH, $c=1.18$, 22°C).

No.2a-5

CD_3OD 300MHz

0.98(1H,d, $J=9.9\text{Hz}$), 1.18 and 1.25(each 3H, each s), 1.56-1.71(3H,m), 1.98-2.40(11H,m), 4.17(1H,m), 5.41-5.52(2H,m), 7.52-7.61(3H,m), 7.91-8.01(6H,m).

IR(KBr): 3416, 3063, 2983, 2921, 2869, 1704, 1643, 1566, 1518, 1488, 1408 /cm.

$[\alpha]_D = +62.0^\circ$ (MeOH, $c=1.00$, 25°C).

No.2a-10

$[\alpha]_D = +74.7^\circ$ (MeOH, $c=1.00, 25^\circ\text{C}$).

5 No.2a-11

$[\alpha]_D = +72.1^\circ$ (MeOH, $c=1.00, 25^\circ\text{C}$).

No.2a-12

$[\alpha]_D = +53.1^\circ$ (CHCl₃, $c=1.01, 26^\circ\text{C}$).

10 m.p. 155.0-156.0°C

No.2a-13

CDCl₃ 300MHz

0.98(1H, d, $J=10.2\text{Hz}$), 1.18 and 1.25(each 3H, each s), 1.63-2.40(14H, m), 4.3
 15 0(1H, m), 5.46-5.58(2H, m), 6.44(1H, d, $J=8.4\text{Hz}$), 7.49 and 7.77(each 2H, each
 d, $J=8.7\text{Hz}$), 7.54(1H, s).

IR(CHCl₃): 3689, 3378, 3028, 3014, 2924, 1713, 1652, 1602, 1522, 1496 /cm.

$[\alpha]_D = +78.3^\circ$ (MeOH, $c=0.84, 25^\circ\text{C}$).

m.p. 205.0-206.0°C

20

No.2a-14

$[\alpha]_D = +72.5^\circ$ (MeOH, $c=1.07, 25^\circ\text{C}$).

No.2a-15

25 CDCl₃ 300MHz

0.99(1H, d, $J=9.9\text{Hz}$), 1.14 and 1.24(each 3H, each s), 1.55-2.44(14H, m), 4.27(1H, m), 5.30-5.50(2H, m), 6.29(1H, d, $J=9.0\text{Hz}$), 7.11 and 7.20(each 1H, each d, $J=16.2\text{Hz}$), 7.29-7.55(5H, m), 7.57 and 7.72(each 2H, each d, $J=8.7\text{Hz}$).

IR(CHCl₃): 3453, 3083, 3022, 3013, 2925, 2870, 1708, 1650, 1607, 1560, 1522, 1496



/cm.

$[\alpha]_D = +72.3^\circ$ (MeOH, $c=1.00, 27^\circ\text{C}$).

m.p. 115.0-117.0°C

5 No.2a-16

CDCl₃ 300MHz

0.92(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.50-2.48(14H,m), 3.62(3H,s), 4.29(1H,m), 5.30-5.50(2H,m), 6.20(1H,d,J=8.7Hz), 6.59 and 6.68 (each 1H,each,d,J=12.3Hz), 7.23(5H,s), 7.29 and 7.59(each 2H,each d,J=8.1Hz).

10 1Hz).

IR(CHCl₃): 3453, 3024, 3016, 2924, 2870, 1730, 1651, 1607, 1520, 1495 /cm.

$[\alpha]_D = +56.8^\circ$ (MeOH, $c=1.04, 24^\circ\text{C}$).

No.2a-17

15 CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.50-2.38(14H,m), 4.26(1H,m), 5.30-5.50(2H,m), 6.23(1H,d,J=8.4Hz), 6.59 and 6.70(each 1H,each d,J=12.3Hz), 7.23(5H,s), 7.30 and 7.57(each 2H,each d,J=8.7Hz).

IR(CHCl₃): 3452, 3081, 3019, 3014, 2925, 2870, 2665, 1708, 1650, 1607, 1521, 1495

20 /cm.

$[\alpha]_D = +61.6^\circ$ (MeOH, $c=1.00, 27^\circ\text{C}$).

No.2a-18

CDCl₃ 300MHz

25 0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each,s), 1.50-2.50(14H,m), 3.61(3H,s), 4.31(1H,m), 5.35-5.51(2H,m), 6.33(1H,d,J=8.4Hz), 7.48-7.64(4H,m), 7.79-7.83(2H,m), 7.91(1H,dt,J=1.5 and 7.8Hz), 8.01(1H,dt,J=1.5 and 7.8Hz), 8.13(1H,t,J=1.5Hz).

IR(CHCl₃): 3450, 3026, 3013, 2925, 2870, 1730, 1659, 1600, 1510, /

$[\alpha]_D = +56.0^\circ$ (MeOH, $c=1.01$, 25°C).

No.2a-19

CDCl₃ 300MHz

5 0.95(1H,d,J=9.9Hz), 1.14 and 1.21(each 3H,each s), 1.53-2.60(14H,m), 4.25(1H,m), 5.35-5.64(2H,m), 7.21(1H,d,J=7.8Hz), 7.49-7.68(4H,m), 7.76-7.84(3H,m), 8.25(1H,m), 8.43(1H,m).

IR(CHCl₃): 3382, 3196, 3025, 3015, 2925, 2870, 1725, 1652, 1599, 1577, 1521 /cm.

$[\alpha]_D = +55.9^\circ$ (MeOH, $c=1.00$, 25°C).

10

No.2a-20

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.13 and 1.24(each 3H,each s), 1.50-2.50(14H,m), 3.62(3H,s), 4.31(1H,m), 5.35-5.51(2H,m), 6.24(1H,d,J=8.4Hz), 7.40-7.52(3H,m), 7.

15 71-7.76(2H,m).

IR(CHCl₃): 3453, 3025, 3013, 2925, 2870, 1730, 1753, 1579, 1514, 1486 /cm.

$[\alpha]_D = +61.2^\circ$ (MeOH, $c=1.04$, 25°C).

No.2a-21

20 CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.13 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.28(1H,m), 5.34-5.51(2H,m), 6.27(1H,d,J=8.7Hz), 7.41-7.53(3H,m), 7.71-7.74(2H,m).

IR(CHCl₃): 3452, 3063, 3027, 3014, 2925, 2871, 1708, 1652, 1578, 1515, 1486 /cm.

25 $[\alpha]_D = +62.0^\circ$ (MeOH, $c=1.01$, 27°C).

No.2a-22

d₆-DMSO 300MHz

0.86(1H,d,J=9.9Hz), 1.10 and 1.16(each 3H,each s), 1.42-1.52(3H,m), 1.85-2.



46(1H,m),3.98(1H,m),5.32-5.43(2H,m),7.41(3H,m),7.88(2H,d,J=6.6Hz),8.19(1H,d,J=6.6Hz).

IR(KBr):3367,3060,2984,2922,2868,1634,1563,1529,1487/cm.

$[\alpha]_D=+47.7^\circ$ (MeOH,c=1.00,25°C).

5

No.2a-23

$[\alpha]_D=+62.7^\circ$ (MeOH,c=1.01,27°C).

No.2a-24

10 CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.25(each 3H,each s),1.52-2.50(14H,m),4.31(1H,m),5.36-5.52(2H,m),6.34(1H,d,J=8.4Hz),7.47-7.52(2H,m),7.59-7.64(1H,m),7.78-7.83(6H,m).

IR(CHCl₃):3449,3027,3013,2925,2869,1708,1656,1599,1518,1493 /cm.

15 $[\alpha]_D= +63.1^\circ$ (MeOH,c=1.00,25°C).

No.2a-25

$[\alpha]_D=+35.1^\circ$ (MeOH,c=1.00,25°C).

$[\alpha]_D = +56.4^\circ$ (MeOH, $c=1.01$, 25°C).

No.2a-28

CDCl₃ 300MHz

5 0.98(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.2
6(1H,m), 5.34-5.51(2H,m), 6.20(1H,d,J=9.0Hz), 7.01 and 7.70(each 2H,each
d,J=9.0Hz), 6.98-7.15(2H,m), 7.17(1H,t,J=7.5Hz), 7.34-7.40(2H,m).
IR(CHCl₃): 3454, 3031, 3018, 2925, 2870, 1708, 1650, 1588, 1523, 1487/cm.
[$\alpha]_D = +56.2^\circ$ (MeOH, $c=1.00$, 25°C).

10

No.2a-29

$[\alpha]_D = +53.0^\circ$ (MeOH, $c=1.03$, 25°C).

No.2a-30

15 CDCl₃ 300MHz
0.97(1H,d,J=10.2Hz), 1.10 and 1.23(each 3H,each s), 1.52-2.50(14H,m), 4.2
5(1H,m), 5.30-5.50(2H,m), 6.23(1H,d,J=8.7Hz), 6.36(1H,s), 7.26-7.39(10H,m), 7.
60 and 7.68(each 2H,each d,J=8.4Hz).
IR(CHCl₃): 3451, 3088, 3064, 3029, 3014, 2925, 2869, 1707, 1652, 1522, 1495 /cm.
20 [$\alpha]_D = +54.2^\circ$ (MeOH, $c=1.00$, 25°C).

No.2a-31

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.50-2.50(14H,m), 3.6
25 3(3H,s), 4.31(1H,m), 5.30-5.50(2H,m), 6.26(1H,d,J=8.4Hz), 6.90(1H,t,J=7.4Hz),
7.13(1H,d,J=8.7Hz), 7.29(2H,t,J=8.0Hz), 7.67-7.75(5H,m), 7.82(1H,s).
IR(Nujol): 3380, 3244, 1723, 1638, 1601, 1578, 1535, 1495 /cm.
[$\alpha]_D = +73.6^\circ$ (MeOH, $c=0.50$, 26°C).

m.p. 133.0-134.0°C



No.2a-32

$[\alpha]_D = +56.1^\circ$ (MeOH, $c = 1.02$, 26°C).

5 No.2a-33

CDCl₃ 300MHz

0.95(1H, d, $J = 10.2$ Hz), 1.10 and 1.21(each, 3H, each s), 1.50-2.50(14H, m), 4.25(1H, m), 5.13(2H, s), 5.30-5.70(3H, m), 6.41(1H, d, $J = 8.2$ Hz), 6.89(1H, s), 7.09(1H, s), 7.17 and 7.72(each 2H, each d, $J = 8.2$ Hz), 7.62(1H, s).

10 IR(CHCl₃): 3450, 3125, 3031, 3013, 2925, 2870, 2467, 1917, 1708, 1654, 1615, 1575, 1523, 1497 /cm.

$[\alpha]_D = +55.2^\circ$ (MeOH, $c = 1.01$, 26°C).

No.2a-34

15 $[\alpha]_D = +72.9^\circ$ (MeOH, $c = 1.03$, 25°C).

No.2a-35

CDCl₃ 300MHz

CDCl₃ 300MHz

0.83(1H,d,J=10.5Hz),0.95 and 1.18(each 3H,each s),1.44-2.46(14H,m),3.92(1H,m),5.34-5.52(3H,m),7.26-7.54(9H,m),7.62(1H,s).

IR(CHCl₃):3432,3310,3189,3023,3014,2924,2870,1704,1610,1594,1523,1487

5 /cm.

[α]_D=+25.3° (MeOH,c=1.00,26°C).

No.2a-38

[α]_D=+70.9° (MeOH,c=1.02,25°C).

10

No.2a-39

[α]_D=+70.6° (MeOH,c=1.01,25°C).

No.2a-40

15 [α]_D=+74.7° (MeOH,c=1.00,25°C).

No.2a-41

[α]_D=+72.1° (MeOH,c=1.01,24°C).

20 No.2a-42

[α]_D=+69.2° (MeOH,c=1.00,25°C).

No.2a-43

[α]_D=+70.8° (MeOH,c=1.00,25°C).

25

No.2a-44

[α]_D=+60.4° (MeOH,c=1.00,26°C).

No.2a-45



CDCl₃ 300MHz

0.97(1H,d,J=9.9Hz),1.13 and 1.23(each 3H,each s),1.55-2.52(14H,m),4.29(1H,m),5.34-5.54(2H,m),6.33(1H,d,J=9.0Hz),7.10(1H,t,J=7.4Hz),7.34(2H,t,J=7.4Hz),7.52(2H,m),7.68 and 7.75(each 2H,each d,J=8.4Hz),7.80(1H,s),8.

5 10(1H,s),10.09(1H,s).

IR(CHCl₃):3393,3195,3093,3033,3013,2925,2870,1698,1656,1598,1537,1498/cm.

[α]_D=+59.4° (MeOH,c=1.01,24°C).

10 No.2a-46

[α]_D=+63.5° (MeOH,c=1.00,25°C).

No.2a-47

CDCl₃ 300MHz

15 0.97(1H,d,J=9.9Hz),1.12 and 1.23(each 3H,each s),1.54-2.48(14H,m),4.29(1H,m),5.35-5.52(2H,m),6.32(1H,d,J=8.7Hz),7.26(1H,m),7.41(2H,t,J=7.8Hz),7.64(2H,d,J=7.5Hz),7.73 and 7.77(each 2H,each d,J=8.4Hz),7.95(1H,s),9.20(1H,s),10.38(1H,s).

IR(CHCl₃):3451,3029,3022,3016,2925,2870,1708,1655,1542,1508,1498,1471,
1459 /cm.

$[\alpha]_D=+63.5^\circ$ (MeOH, c=1.02, 25°C).

m.p.135.0-137.0°C

5

No.2a-50

$[\alpha]_D=+68.9^\circ$ (MeOH, c=1.01, 24°C).

No.2a-51

10 d_6 -DMSO 300MHz

0.87(1H,d,J=9.9Hz),1.10 and 1.17(each 3H,each s),1.40-1.60(3H,m),1.90-2.40(11H,m),3.98(1H,m),5.35-5.46(2H,m),7.64(1H,s),7.65 and 7.91(each 2H,each d,J=8.7Hz),8.06(1H,d,J=6.0Hz),9.32(1H,brs).

IR(KBr):3385,2962,1734,1707,1632,1529,1498 /cm.

15 $[\alpha]_D=+68.4^\circ$ (MeOH, c=1.01, 24°C).

No.2a-52

$[\alpha]_D=+76.2^\circ$ (MeOH, c=1.01, 24°C).

20 No.2a-53

$[\alpha]_D=+73.9^\circ$ (MeOH, c=1.02, 24°C).

No.2a-54

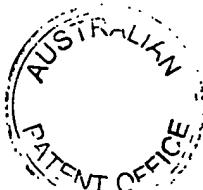
$[\alpha]_D=+68.1^\circ$ (MeOH, c=1.00, 24°C).

25

No.2a-55

$[\alpha]_D=+67.8^\circ$ (MeOH, c=1.00, 24°C).

No.2a-56



$[\alpha]_D = +65.4^\circ$ (MeOH, $c = 1.03$, 25°C).

No.2a-57

$[\alpha]_D = +63.4^\circ$ (MeOH, $c = 1.01$, 24°C).

5

No.2a-58

$[\alpha]_D = +66.6^\circ$ (MeOH, $c = 1.01$, 24°C).

No.2a-59

10 $[\alpha]_D = +65.5^\circ$ (MeOH, $c = 1.00$, 24°C).

No.2a-60

$[\alpha]_D = +60.9^\circ$ (MeOH, $c = 1.02$, 25°C).

15 No.2a-61

CDCl_3 300MHz

0.97(1H, d, $J = 10.0$ Hz), 1.10 and 1.22(each 3H, each s), 1.50-2.50(14H, m), 4.2

6(1H, m), 5.30-5.54(2H, m), 6.28(1H, d, $J = 8.6$ Hz), 6.60 and 6.82(each 1H, each

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.50-2.50(14H,m),4.2
 9(1H,m),5.36-5.55(2H,m),6.35(1H,d,J=9.1Hz),7.04 and 7.27(each 1H,each
 d,J=16.5Hz),7.37(2H,d,J=6.6Hz),7.56 and 7.76(each 2H,each d,J=8.4Hz),
 8.57(2H,d,J=6.6Hz).

5 IR(CHCl₃):3452,3024,3018,3014,2925,2870,2470,1933,1708,1652,1605,1521,
 1496 /cm.
 [α]_D=+69.2° (MeOH,c=1.01,25°C).

No.2a-64

10 [α]_D=+56.9° (MeOH,c=1.24,25°C).

No.2a-65

CDCl₃ 300MHz

0.98(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.54-2.46(14H,m),4.2
 15 7(1H,m),5.23(2H,s),5.34-5.52(2H,m),6.26(1H,d,J=8.4Hz),7.32-7.45(5H,m),7.
 64 and 7.71(each 2H,each d,J=8.4Hz),8.15(1H,s).

IR(CHCl₃):3452,3088,3065,3032,3013,2925,2870,1708,1653,1611,1559,1522,
 1496 /cm.
 [α]_D=+61.0° (MeOH,c=0.91,25°C).

20

No.2a-66

[α]_D=+76.0° (MeOH,c=1.01,25°C).

No.2a-67

25 CDCl₃ 300MHz

0.98(1H,d,J=10.4Hz),1.14 and 1.24(each 3H,each s),1.54-2.46(14H,m),4.2
 8(1H,m),5.32-5.53(2H,m),6.27(1H,d,J=8.6Hz),6.92-7.31(each 1H,each d,J=16.4Hz),7.02(1H,dd,J=5.8 and 3.6Hz),7.12(1H,d,J=3.6Hz),7.24(1H,d,J=5.8Hz),7.51 and 7.70(each 2H,each d,J=8.4Hz).



IR(CHCl₃):3453,3029,3013,2925,2870,1739,1650,1604,1524,1515,1494 /cm.

[α]_D=+76.2° (MeOH,c=1.00,24°C).

m.p.104.0-106.0°C

5 No.2a-68

[α]_D=+57.7° (MeOH,c=1.01,25°C).

No.2a-69

CDCl₃ 300MHz

10 0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.54-2.48(14H,m),4.2
8(1H,m),5.34-5.53(2H,m),6.29(1H,d,J=9.0Hz),6.54-6.74(each 1H,each d,J=12.0Hz),7.02(1H,dd,J=4.8 and 3.3Hz),6.97(1H,dd,J=3.3 and 1.2Hz),7.13(1H,dd,J=4.8 and 1.2Hz),7.44 and 7.70(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3453,3025,3010,2925,2870,1708,1650,1607,1559,1523,1493 /cm.

15 [α]_D=+58.4° (MeOH,c=1.00,25°C).

No.2a-70

[α]_D=+48.6° (MeOH,c=1.00,25°C).

$[\alpha]_D = +51.2^\circ$ (MeOH, $c = 1.02$, 25°C).

No.2a-73

CDCl₃ 300MHz

5 0.97(1H,d,J=9.9Hz), 1.11 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 4.27(1H,m), 5.32-5.52(2H,m), 6.24(1H,d,J=9.0Hz), 6.83-6.94(6H,m), 7.65(2H,d,J=9.0Hz).
 IR(CHCl₃): 3598, 3451, 3199, 3033, 3012, 2925, 2870, 1708, 1642, 1604, 1524, 1507, 1491 /cm.

10 $[\alpha]_D = +52.2^\circ$ (MeOH, $c = 1.01$, 25°C).

No.2a-74

$[\alpha]_D = +51.5^\circ$ (MeOH, $c = 0.92$, 25°C).

15 No.2a-75

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.55-2.46(14H,m), 3.82(3H,s), 4.25(1H,m), 5.32-5.52(2H,m), 6.19(1H,d,J=8.7Hz), 6.89-7.01(6H,m), 7.65-7.68(2H,m).
 20 IR(CHCl₃): 3450, 3025, 3008, 2925, 2870, 2837, 1741, 1649, 1612, 1521, 1505, 1490 /cm.
 $[\alpha]_D = +51.1^\circ$ (MeOH, $c = 1.00$, 25°C).

No.2a-76

25 $[\alpha]_D = +60.4^\circ$ (MeOH, $c = 0.98$, 25°C).

No.2a-77

CDCl₃ 300MHz

0.99(1H,d,J=10.5Hz), 1.15 and 1.24(each 3H,each s), 1.54-2.48(14H,m), 2.3



4(3H,s),4.29(1H,m),5.32-5.54(2H,m),6.32(1H,d,J=8.4Hz),7.19 and 7.60 (each 2H,each d,J=8.4Hz),7.63 and 7.79(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3452,3027,3012,2925,2870,1751,1709,1651,1611,1560,1527,1509,1489 /cm.

5 [α]_D=+61.2° (MeOH,c=1.00,25°C).

No.2a-78

[α]_D=+67.4° (MeOH,c=1.01,25°C).

10 No.2a-79

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.15 and 1.24(each 3H,each s),1.54-2.54(14H,m),4.31(1H,m),5.32-5.54(2H,m),6.36(1H,d,J=8.2Hz),6.93 and 7.48(each 2H,each d,J=8.6Hz),7.59 and 7.75(each 2H,each d,J=8.4Hz).

15 IR(CHCl₃):3593,3448,3192,3030,3010,2925,2870,1708,1644,1608,1591,1559,1530,1516,1491 /cm.

[α]_D=+65.8° (MeOH,c=1.01,25°C).

No.2a-80

20 [α]_D=+66.9° (MeOH,c=1.01,25°C).

No.2a-81

CDCl₃ 300MHz

0.99(1H,d,J=10.5Hz),1.15 and 1.24(each 3H,each s),1.54-2.48(14H,m),3.8

25 6(3H,s),4.29(1H,m),5.34-5.52(2H,m),6.20(1H,d,J=8.7Hz),6.99 and 7.55 (each 2H,each d,J=9.0Hz),7.61 and 7.77(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3450,3009,2925,2870,2838,1740,1708,1650,1608,1557,1528,1512,1491 /cm.

[α]_D=+66.8° (MeOH,c=1.01,25°C)

No.2a-82

$[\alpha]_D = +57.7^\circ$ (MeOH, $c = 1.02$, 24°C).

5 No.2a-83

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.54-2.48(14H,m), 2.33(3H,s), 4.26(1H,m), 5.32-5.52(2H,m), 6.25(1H,d,J=8.7Hz), 7.16 and 7.75 (each 2H,each d,J=8.7Hz).

10 IR(CHCl₃): 3452, 3030, 3022, 3012, 2925, 2870, 1754, 1709, 1654, 1604, 1585, 1522, 1493 /cm.

$[\alpha]_D = +57.4^\circ$ (MeOH, $c = 1.01$, 24°C).

No.2a-84

15 $[\alpha]_D = +57.8^\circ$ (MeOH, $c = 1.01$, 24°C).

No.2a-85

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz), 1.12 and 1.22(each 3H,each s), 1.54-2.48(14H,m), 4.25(1H,m), 5.32-5.52(2H,m), 6.28(1H,d,J=8.7Hz), 6.87 and 7.57(each 2H,each d,J=9.0Hz).

IR(CHCl₃): 3590, 3450, 3166, 3019, 3012, 2925, 2871, 1708, 1637, 1608, 1583, 1531, 1498 /cm.

$[\alpha]_D = +56.0^\circ$ (MeOH, $c = 1.01$, 24°C).

25

No.2a-86

$[\alpha]_D = +59.3^\circ$ (MeOH, $c = 1.01$, 22°C).

No.2a-87



IR(CHCl₃):3437,3033,3022,3014,2925,2870,1739,1708,1655,1595,1520,1472

/cm.

[α]_D=+55.0° (MeOH, c=1.00, 22°C).

5 No.2a-92

[α]_D=+50.3° (MeOH, c=1.00, 22°C).

No.2a-93

CDCl₃ 300MHz

10 0.95(1H,d,J=10.5Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.25(1H,m),5.34-5.52(2H,m),6.12(1H,d,J=8.7Hz),7.07(1H,dd,J=3.9 and 5.1Hz),7.45-7.48(2H,m).

IR(CHCl₃):3450,3023,3011,2925,2870,1739,1708,1645,1531,1501,1471 /cm.

[α]_D=+49.1° (MeOH, c=1.02, 24°C).

15

No.2a-94

[α]_D=+51.5° (MeOH, c=1.00, 24°C).

CDCl₃ 300MHz

0.98(1H,d,J=10.0Hz),1.13 and 1.23(each 3H,each s),1.54-2.48(14H,m),3.85(3H,s),4.25(1H,m),5.32-5.53(2H,m),6.19(1H,d,J=8.8Hz),6.93 and 7.69 (each 2H,each d,J=9.0Hz).

5 IR(CHCl₃):3450,3030,3017,3012,2925,2870,2840,1740,1708,1647,1606,1575,1525,1496 /cm.

[α]_D=+58.2° (MeOH,c=0.99,22°C).

No.2a-88

10 [α]_D=+50.9° (MeOH,c=1.02,25°C).

No.2a-89

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.56-2.48(14H,m),4.29(1H,m),5.36-5.54(2H,m),7.03(1H,d,J=8.7Hz),7.21(1H,s),7.43(2H,m),7.74(1H,ddd,J=1.8,6.9 and 8.7Hz),8.22(1H,dd,J=1.8 and 8.1Hz).

IR(CHCl₃):3443,3087,3023,3014,2925,2870,1708,1685,1658,1630,1517,1466 /cm.

[α]_D=+57.1° (MeOH,c=1.01,22°C).

20 m.p.117.0-118.0°C

No.2a-90

[α]_D=+54.1° (MeOH,c=1.01,22°C).

25 No.2a-91

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.46(14H,m),4.24(1H,m),5.34-5.52(2H,m),6.49-6.53(2H,m),7.11(1H,dd,J=0.9 and 3.6Hz),7.44(1H,dd,J=0.9 and 1.8Hz).



0.94(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.50-1.76(3H,m),1.94-2.39(11H,m),4.11(1H,m),5.39-5.49(2H,m),7.43-7.51(2H,m),8.05(1H,m).

IR(KBr):3369,3084,2985,2921,2868,1630,1566,1538,1503 /cm.

$[\alpha]_D=+38.8^\circ$ (MeOH,c=1.01,22°C).

5

No.2a-97

CD₃OD 300MHz

0.93(1H,d,J=9.9Hz),1.13 and 1.22(each 3H,each s),1.48-1.58(3H,m),1.96-2.36(11H,m),4.10(1H,m),5.35-5.50(2H,m),7.42-7.51(2H,m),8.06(1H,m).

10 IR(KBr):3447,3087,2987,2922,2868,1629,1545,1501 /cm.

$[\alpha]_D=+52.9^\circ$ (MeOH,c=1.01,24°C).

No.2a-98

$[\alpha]_D=+53.2^\circ$ (MeOH,c=1.02,23°C).

15

No.2a-99

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.12 and 1.22(each 3H,each s),1.26-2.45(24H,m),4.2

No.2a-102

$[\alpha]_D = +48.8^\circ$ (MeOH, $c=1.01$, $23^\circ C$).

No.2a-103

5 $CDCl_3$ 300MHz

0.94(1H,d, $J=10.2$ Hz), 1.12 and 1.22(each 3H,each s), 1.52-2.46(14H,m), 2.48(3H,d, $J=0.8$ Hz), 4.20(1H,m), 5.32-5.54(2H,m), 6.46(1H,brs), 7.12(1H,d, $J=9.0$ Hz).

IR($CHCl_3$): 3415, 3144, 3029, 3011, 2926, 2871, 1708, 1671, 1598, 1538, 14564 /cm

10

$[\alpha]_D = +49.6^\circ$ (MeOH, $c=1.01$, $23^\circ C$).

No.2a-104

$[\alpha]_D = +77.0^\circ$ (MeOH, $c=1.02$, $23^\circ C$).

15

No.2a-105

$CDCl_3$ 300MHz

93(1H,d, $J=9.9$ Hz), 1.09 and 1.21(each 3H,each s), 1.51-2.44(14H,m), 3.90(6H,s), 4.20(1H,m), 5.38-5.50(2H,m), 5.87(1H,d, $J=9.0$ Hz), 6.25 and 7.54

20 (each 1H,each d, $J=15.6$ Hz), 6.84(1H,d, $J=8.1$ Hz), 7.03(1H,d, $J=1.8$ Hz), 7.09(1H,dd, $J=1.8$ and 8.1 Hz).

IR($CHCl_3$): 3439, 3028, 3012, 2937, 2871, 2841, 1739, 1708, 1661, 1620, 1600, 1513 /cm.

$[\alpha]_D = +77.3^\circ$ (MeOH, $c=1.01$, $23^\circ C$).

25

No.2a-106

$[\alpha]_D = +67.0^\circ$ (MeOH, $c=1.00$, $25^\circ C$).



No.2a-107

$[\alpha]_D = +66.6^\circ$ (MeOH, $c = 1.01$, 24°C).

m.p. 168.0-170.0°C

No.2a-108

5 $[\alpha]_D = +61.8^\circ$ (MeOH, $c = 1.00$, 22°C).

No.2a-109

CDCl₃ 300MHz

10 0.96(1H,d,J=10.2Hz), 1.10 and 1.22(each 3H,each s), 1.51-2.45(14H,m), 4.2
5(1H,m), 5.38-5.49(2H,m), 6.21(1H,d,J=8.7Hz), 7.25 and 7.60(each 2H,each
d,J=8.7Hz), 7.33-7.41(5H,s).

IR(CHCl₃): 3453, 3062, 3028, 3014, 2925, 2870, 1739, 1708, 1651, 1594, 1557, 1515,
1481 /cm.

$[\alpha]_D = +61.0^\circ$ (MeOH, $c = 1.01$, 22°C).

15

No.2a-110

CD₃OD 300MHz

15 0.94(1H,d,J=9.9Hz), 1.13 and 1.22(each 3H,each s), 1.54-2.37(14H,m), 4.12(1H,m), 5.38-5.49(2H,m), 7.25 and 7.68(each 2H,each d,J=8.7Hz), 7.41(5H,s)

20

IR(KBr): 3435, 3058, 2986, 2920, 2866, 1635, 1595, 1562, 1521, 1482, 1439, 1411 /cm.

$[\alpha]_D = +47.3^\circ$ (MeOH, $c = 1.01$, 23°C).

25 No.2a-111

$[\alpha]_D = +65.6^\circ$ (MeOH, $c = 1.01$, 24°C).

0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.27(1H,m),5.35-5.50(2H,m),6.22(1H,d,J=8.4Hz),7.40 and 7.66(each 2H,each d,J=9.0Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513

5 /cm.

[α]_D=+65.6° (MeOH,c=1.01,22°C).

No.2a-113

[α]_D=+59.6° (MeOH,c=1.00,24°C).

10

No.2a-114

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz),1.12 and 1.24(each 3H,each s),1.52-2.46(14H,m),4.29(1H,m),5.35-5.51(2H,m),6.28(1H,d,J=8.4Hz),7.70 and 7.83(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513

/cm.

[α]_D=+60.6° (MeOH,c=1.01,22°C).

20 No.2a-115

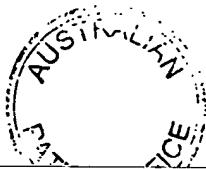
[α]_D=+59.7° (MeOH,c=0.99,24°C).

No.2a-116

CDCl₃ 300MHz

25 0.97(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.52-2.46(14H,m),2.39(3H,s),4.27(1H,m),5.33-5.51(2H,m),6.24(1H,d,J=9.0Hz),7.23 and 7.62(each 2H,each d,J=8.4Hz).

IR(CHCl₃):3439,3028,3012,2937,2871,2841,1739,1708,1661,1620,1600,1513/cm.



$[\alpha]_D = +59.7^\circ$ (MeOH, $c = 0.99$, 24°C).

No.2a-117

$[\alpha]_D = +56.7^\circ$ (MeOH, $c = 1.00$, 23°C).

5

No.2a-118

CDCl₃ 300MHz

0.96(1H, d, $J = 10.2$ Hz), 1.11 and 1.23(each 3H, each s), 1.53-2.44(14H, m), 4.2
3(1H, m), 5.34-5.51(2H, m), 6.02(2H, s), 6.13(1H, d, $J = 8.7$ Hz), 6.83(1H, dd, $J = 1.2$
10 and 7.8Hz), 7.22-7.25(2H, m).

IR(CHCl₃): 3453, 3031, 3020, 3012, 2924, 2870, 1740, 1708, 1650, 1619, 1605, 1519,
1504, 1480 /cm.

$[\alpha]_D = +57.2^\circ$ (MeOH, $c = 1.02$, 23°C).

15 No.2a-119

CDCl₃ 300MHz

0.96(1H, d, $J = 10.5$ Hz), 1.07 and 1.23(each 3H, each s), 1.51-2.44(14H, m), 2.3
2(3H, s), 4.26(1H, m), 5.37-5.52(2H, m), 6.40(1H, d, $J = 9.0$ Hz), 7.09(1H, m), 7.30(1

/cm.

$[\alpha]_D = +46.3^\circ$ (MeOH, c=1.01, 21°C).

No.2a-121

5 CDCl_3 300MHz

0.98(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.47-2.47(14H,m), 3.95(3H,s), 4.31(1H,m), 5.32-5.50(2H,m), 6.98(1H,dd,J=0.9 and 8.4Hz), 7.09(1H,ddd,J=0.9, 7.7 and 8.4Hz), 7.45(1H,m), 8.19(1H,dd,J=2.1 and 8.1Hz), 8.32(1H,d,J=9.0Hz).

10 IR(CHCl_3): 3400, 3078, 3028, 3020, 3007, 2924, 2870, 2842, 1736, 1708, 1640, 1600, 1536, 1483, 1470 /cm.

$[\alpha]_D = +38.1^\circ$ (MeOH, c=1.02, 23°C).

No.2a-122

15 $[\alpha]_D = +42.3^\circ$ (MeOH, c=0.99, 23°C).

No.2a-123

$[\alpha]_D = +38.7^\circ$ (MeOH, c=1.00, 21°C).

20 No.2a-124

$[\alpha]_D = +45.0^\circ$ (MeOH, c=1.01, 21°C).

m.p. 119.0-120.0°C

No.2a-125

25 $[\alpha]_D = +49.8^\circ$ (MeOH, c=1.01, 22°C).

No.2a-126

CDCl_3 300MHz

0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.52-2.47(14H,m), 4.2



6(1H,m),5.34-5.50(2H,m),6.22(1H,d,J=8.7Hz),7.55-7.61(4H,m).

IR(CHCl₃):3400,3078,3028,3020,3007,2924,2870,2842,1736,1708,1640,1600,1536,1483,1470 /cm.

[α]_D=+63.0° (MeOH,c=1.01,23°C).

5

No.2a-127

CDCl₃ 300MHz

0.91(1H,d,J=10.2Hz),1.10 and 1.20(each 3H,each s),1.50-2.42(14H,m),4.2

3(1H,m),5.31-5.51(2H,m),6.45(1H,d,J=8.4Hz),7.01(1H,t,J=7.4Hz),7.22-7.27(

10 2H,m),7.33-7.40(4H,m),7.53(2H,d,J=9.0Hz),8.30 and 8.48(each 1H,each s)

IR(CHCl₃):3452,3028,3022,3015,2925,2870,1708,1654,1590,1514,1478 /cm.

[α]_D=+59.5° (MeOH,c=1.01,23°C).

15 No.2a-128

d₆-DMSO 300MHz

0.84(1H,d,J=9.9Hz),1.06 and 1.19(each 3H,each s),1.37-2.37(14H,m),3.79(1H,m),5.35-5.51(2H,m),6.08(1H,d,J=8.7Hz),6.85-6.90(1H,m),7.18-7.23(2H,m),7.35-7.38(2H,m),8.42(1H,s),12.00(1H,s).

20 IR(Nujol):3395,3345,2925,2866,2623,2506,1697,1658,1638,1597,1557 /cm.

[α]_D=+26.0° (MeOH,c=1.01,23°C).

m.p.164.0-166.0°C

No.2a-129

25 CDCl₃ 300MHz

1.01(1H,d,J=10.0Hz),1.17 and 1.25(each 3H,each s),1.54-2.52(14H,m),4.3

4(1H,m),5.36-5.57(2H,m),6.42(1H,d,J=8.6Hz),7.51-7.60(2H,m),7.77(1H,dd,J=1.8 and 8.6Hz),7.85-7.96(3H,m),8.24(1H,brs).

IR(CHCl₃):3451,3060,3028,3010,2925,2870,1708,1652,1629,1600,1517,1502



/cm.

$[\alpha]_D = +68.6^\circ$ (MeOH, $c=1.00$, 22°C).

No.2a-130

5 CDCl_3 300MHz

1.02(1H,d, $J=10.2\text{Hz}$), 1.04 and 1.26(each 3H,each s), 1.54-2.52(14H,m), 4.41(1H,m), 5.41-5.58(2H,m), 6.14(1H,d, $J=9.0\text{Hz}$), 7.43-7.59(4H,m), 7.85-7.92(2H,m), 8.27(1H,dd, $J=1.8$ and 7.2Hz).

IR(CHCl_3): 3436, 3032, 3010, 2924, 2870, 2664, 1708, 1652, 1512, 1498 /cm.

10 $[\alpha]_D = +93.9^\circ$ (MeOH, $c=1.00$, 22°C)

m.p. 94.0-96.0°C

No.2a-131

$[\alpha]_D = +50.2^\circ$ (MeOH, $c=0.95$, 21°C).

15

No.2a-132

$[\alpha]_D = +10.9^\circ$ (MeOH, $c=0.92$, 21°C).

No.2a-133

20 $[\alpha]_D = +60.4^\circ$ (MeOH, $c=1.00$, 21°C).

No.2a-134

$[\alpha]_D = +38.5^\circ$ (MeOH, $c=1.01$, 23°C).

25 No.2a-135

$[\alpha]_D = +52.5^\circ$ (MeOH, $c=1.01$, 23°C).

m.p. 180.0-182.0°C

No.2a-136



$[\alpha]_D = +35.3^\circ$ (MeOH, $c = 1.02$, 23°C).

m.p. 79.0-80.0°C

No.2a-137

5 CDCl_3 300MHz

0.97(1H, d, $J = 10.2$ Hz), 1.11 and 1.22(each 3H, each s), 1.43(3H, t, $J = 6.9$ Hz), 1.52-2.44(14H, m), 4.03(2H, q, $J = 6.9$ Hz), 4.26(1H, m), 5.33-5.50(2H, m), 6.19(1H, d, $J = 8.7$ Hz), 6.88-7.00(6H, m), 7.65-7.68(2H, m).

IR(CHCl_3): 3455, 3031, 3024, 3014, 2988, 2925, 2870, 1741, 1708, 1649, 1602, 1521,

10 1504, 1490 /cm.

$[\alpha]_D = +52.0^\circ$ (MeOH, $c = 1.01$, 23°C).

No.2a-138

CDCl_3 300MHz

15 0.97(1H, d, $J = 10.2$ Hz), 1.11 and 1.22(each 3H, each s), 1.35(6H, d, $J = 6.0$ Hz), 1.53-2.46(14H, m), 4.25(1H, m), 4.51(1H, m), 5.33-5.50(2H, m), 6.12(1H, d, $J = 9.0$ Hz), 6.87-6.99(6H, m), 7.65-7.68(2H, m).

IR(CHCl_3): 3454, 3031, 3014, 2980, 2925, 2870, 1741, 1708, 1649, 1602, 1522, 1490

No.2a-140

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.18 and 1.23(each 3H,each s),1.57-2.50(14H,m),4.3
 5 5(1H,m),5.32-5.55(2H,m),6.42(1H,d,J=8.7Hz),6.70(1H,d,J=1.5Hz),7.21-7.24(2H m),7.46(1H,m),7.76(1H,m),7.86(1H,d,J=3.0Hz),10.20(1H,s).
 IR(CHCl₃):3465,3010,2924,1739,1604,1546,1504 /cm.
 [α]_D=+39.4° (MeOH,c=1.01,22°C).
 m.p.167.0-168.0°C

10

No.2a-141

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.14 and 1.24(each 3H,each s),1.55-2.44(14H,m),3.8
 4(3H,s),4.27(1H,m),5.34-5.52(2H,m),6.28(1H,d,J=9.0Hz),6.91 and 7.47
 15 (each 2H,each d,J=9.0Hz),6.98 and 7.14(each 1H,each d,J=16.5Hz),7.54
 and 7.70(each 2H,each d,J=8.7Hz).
 IR(CHCl₃):3453,3025,3015,2925,2870,2839,1740,1708,1649,1602,1510,1493,
 1470 /cm.
 [α]_D=+73.4° (MeOH,c=1.02,22°C).
 20 m.p.155.0-157.0°C

No.2a-142

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.52-2.45(14H,m),3.7
 25 9(3H,s),4.27(1H,m),5.34-5.50(2H,m),6.24(1H,d,J=9.0Hz),6.49 and 6.62
 (each 1H each d,J=12.3Hz),6.77 and 7.16(each 2H,each d,J=8.7Hz),7.32
 and 7.59(each 2H,each d,J=8.1Hz).
 IR(CHCl₃):3453,3025,3014,2925,2870,2839,1739,1708,1649,1606,1510,
 1494 /cm.



$[\alpha]_D = +60.7^\circ$ (MeOH, $c=0.99$, 22°C).

No.2a-143

$[\alpha]_D = +57.3^\circ$ (MeOH, $c=1.01$, 23°C).

5

No.2a-144

$[\alpha]_D = +12.2^\circ$ (MeOH, $c=1.00$, 23°C).

m.p. 114.0-116.0°C

10 No.2a-145

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz), 1.10 and 1.21(each 3H,each s), 1.52-2.44(14H,m), 4.25(1H,m), 5.33-5.49(2H,m), 6.37(1H,d,J=8.7Hz), 7.45-7.47(3H,m), 7.62-7.66(2H,m), 7.69 and 7.80(each 2H,each d,J=7.5Hz,).

15 IR(CHCl₃): 3449, 3058, 3027, 3012, 2925, 2870, 1708, 1655, 1513, 1481, 1043 /cm.

$[\alpha]_D = +61.0^\circ$ (MeOH, $c=1.01$, 23°C).

No.2a-146

CDCl₃ 300MHz

20 0.95(1H,d,J=10.5Hz), 1.09 and 1.21(each 3H,each s), 1.50-2.41(14H,m), 4.25(1H,m), 5.33-5.49(2H,m), 6.33(1H,d,J=8.4Hz), 7.49-7.61(3H,m), 7.91-7.92(2H,m), 7.82 and 7.97(each 2H,each d,J=8.7Hz,).

IR(CHCl₃): 3447, 3029, 3023, 3015, 2925, 2870, 1708, 1660, 1514, 1484, 1321, 1161 /cm.

25 $[\alpha]_D = +62.0^\circ$ (MeOH, $c=1.00$, 22°C).

No.2a-147

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.12 and 1.23(each 3H,each s), 1.52-2.46(14H,m), 2.5



1(3H,s),4.26(1H,m),5.34-5.51(2H,m),6.23(1H,d,J=8.4Hz),7.26 and 7.64 (each 2H,each d,J=8.4Hz).

IR(CHCl₃):3453,3027,3015,2925,2870,2665,1708,1648,1596,1516,1484 /cm.

[α]_D=+67.7° (MeOH,c=0.82,22°C).

5

No.2a-148

[α]_D=+72.5° (MeOH,c=1.01,25°C).

No.2a-149

10 [α]_D=+67.8° (MeOH,c=0.98,25°C).

No.2a-150

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.2
15 2(1H,m),5.36-5.55(2H,m),6.48(1H,d,J=8.4Hz),8.35(1H,s),8.90(1H,s).

IR(CHCl₃):3443,3374,3091,3024,3012,2925,2871,1709,1652,1525,1494 /cm.

[α]_D=+58.1° (MeOH,c=1.01,23°C).

m.p.120.0-122.0°C

20 No.2a-151

[α]_D=+40.6° (MeOH,c=1.01,23°C).

No.2a-152

CDCl₃ 300MHz

25 0.96(1H,d,J=10.5Hz),1.10 and 1.24(each 3H,each s),1.50-2.50(14H,m),2.7
1(3H,s),4.26(1H,m),5.37-5.51(2H,m),6.02(1H,d,J=9.0Hz),8.73(1H,s).

IR(CHCl₃):3463,3435,3087,3025,3014,2925,2870,1708,1649,1523,1503 /cm.

[α]_D=+54.1° (MeOH,c=1.02,22°C).



No.2a-153

CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.50(14H,m),2.50(3H,s),4.26(1H,m),5.36-5.51(2H,m),6.01(1H,d,J=8.4Hz),6.88(1H,d,J=5.1Hz),
 5 7.26(1H,d,J=5.1Hz).

IR(CHCl₃):3469,3431,3025,3013,2925,2871,2664,1708,1639,1544,1505 /cm.[α]_D=+35.8° (MeOH,c=1.03,22°C).

No.2a-154

10 CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz),1.10 and 1.22(each 3H,each s),1.52-2.46(14H,m),2.51(3H,d,J=1.2Hz),4.26(1H,m),5.34-5.50(2H,m),6.00(1H,d,J=8.4Hz),6.73(1H,dd,
 J=5.1 and 3.6Hz),7.29(1H,d,J=3.6Hz).

IR(CHCl₃):3450,3431,3026,3011,2925,2869,1739,1708,1639,1547,1508 /cm.15 [α]_D=+50.5° (MeOH,c=1.01,22°C).

No.2a-155

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.19 and 1.25(each 3H,each s),1.53-2.48(14H,m),4.3
 20 1(1H,m),5.36-5.51(2H,m),6.79(1H,d,J=9.3Hz),7.29(1H,m),7.41(1H,m),7.48(1
 H,s),7.51(1H,m),7.66(1H,d,J=8.1Hz).

IR(KBr):3422,3115,2985,2922,2869,2609,1708,1636,1578,1529,1470 /cm.

[α]_D=+62.8° (MeOH,c=1.01,22°C).

No.2a-157

5 [α]_D=+40.0° (MeOH,c=0.95,22°C).

No.2a-158

CDCl₃ 300MHz

1.00(1H,d,J=10.5Hz),1.17 and 1.24(each 3H,each s),1.54-2.50(14H,m),4.3
10 4(1H,m),5.36-5.52(2H,m),7.80(1H,d,J=9.0Hz),9.30(1H,s).

IR(CHCl₃):3410,3122,3030,3012,2925,2871,2668,1709,1667,1538,1466 /cm.
[α]_D=+44.9° (MeOH,c=0.99,22°C).

No.2a-159

15 CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.13 and 1.22(each 3H,each s),1.55-2.43(14H,m),3.0
3(6H,s),4.23(1H,m),5.32-5.51(2H,m),6.16(1H,d,J=8.7Hz),6.87 and 7.63
(each 2H,each d,J=8.7Hz).

IR(CHCl₃):3457,3028,3006,2924,2870,2654,1739,1709,1637,1608,1608,1534,
20 1501 /cm.

[α]_D=+64.8° (MeOH,c=1.01,22°C).

No.2a-160

d₆-DMSO 300MHz

25 0.83(1H,d,J=9.9Hz),1.02 and 1.19(each 3H,each s),1.38-1.61(3H,m),1.90-2.
32(11H,m),3.90(1H,m),5.41-5.44(2H,m),7.32(1H,dd,J=0.9 and 7.2Hz),7.45-
7.60(2H,m),7.77(1H,dd,J=0.9 and 7.8Hz),8.03(1H,d,J=6.9Hz),12.40(1H,s).

IR(Nujol):3315,2924,2856,2656,2535,1737,1703,1637,1598,1581,1541 /cm.
[α]_D=+78.5° (MeOH,c=1.01,24°C).



m.p.161.0-162.0°C

No.2a-161

$[\alpha]_D = +65.3^\circ$ (MeOH, $c=1.00$, 22°C).

5

No.2a-162

CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz), 1.13 and 1.25(each 3H,each s), 1.53-2.45(14H,m), 4.30(1H,m), 5.36-5.51(2H,m), 6.32(1H,d,J=8.4Hz), 7.88 and 8.28(each 2H,each 10 d,J=9.0Hz).

IR(CHCl₃): 3448, 3029, 3016, 2925, 2870, 1708, 1664, 1602, 1527, 1484, 1347 /cm.

$[\alpha]_D = +72.7^\circ$ (MeOH, $c=1.02$, 22°C).

No.2a-163

15 CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.55-2.51(14H,m), 4.26(1H,m), 5.36-5.57(2H,m), 6.68(1H,d,J=7.8Hz), 7.41(1H,dd,J=4.8 and 8.1Hz), 8.20(1H,d,J=8.1Hz), 8.66(1H,d,J=4.8Hz), 9.00(1H,s).

IR(CHCl₃):3447,3346,3028,3016,2925,2870,2538,1941,1708,1662,1556,1516 /cm.
 $[\alpha]_D=+75.4^\circ$ (MeOH,c=1.01,22°C).

5 No.2a-166

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.44(14H,m),2.95(6H,s),4.25(1H,m),5.33-5.50(2H,m),6.19(1H,d,J=8.7Hz),6.77 and 6.97(each 2H,each d,J=8.4Hz),6.94 and 7.65(each 2H,each d,J=9.0Hz).

10 IR(CHCl₃):3453,3024,3016,2924,2871,2806,1739,1708,1647,1612,1604,1515,1490 /cm.

$[\alpha]_D=+53.1^\circ$ (MeOH,c=1.02,23°C).

m.p.104.0-105.5°C

15 No.2a-167

CDCl₃ 300MHz

1.01(1H,d,J=9.9Hz),1.19 and 1.26(each 3H,each s),1.56-2.53(14H,m),4.37(1H,m),5.35-5.55(2H,m),6.47(1H,d,J=8.4Hz),7.61-7.71(2H,m),7.79(2H,s),7.89-7.97(2H,m),8.27(1H,d,J=2.1Hz),8.66-8.73(2H,m).

20 IR(CHCl₃):3450,3024,3014,2925,2870,2667,1707,1650,1531,1509 /cm.

$[\alpha]_D=+70.5^\circ$ (MeOH,c=1.00,22°C).

No.2a-168

CDCl₃ 300MHz

1.02(1H,d,J=10.2Hz),1.20 and 1.26(each 3H,each s),1.56-2.50(14H,m),4.38(1H,m),5.36-5.56(2H,m),6.51(1H,d,J=8.4Hz),7.61-7.93(7H,m),8.74(1H,d,J=8.4Hz),9.15(1H,s).

IR(CHCl₃):3517,3451,3060,3028,3011,2925,2870,2664,1709,1651,1519,1498/

cm.



$[\alpha]_D = +54.4^\circ$ (MeOH, c=1.00, 23°C).

No.2a-169

CDCl₃ 300MHz

5 0.96(1H,d,J=10.5Hz), 1.09 and 1.21(each 3H,each s), 1.50-2.44(14H,m), 3.8
 5(3H,s), 4.24(1H,m), 5.32-5.48(2H,m), 6.19(1H,d,J=8.4Hz), 6.94 and 7.45 (each 2H,each d,J=9.0Hz), 7.11 and 7.45(each 2H,each d,J=8.7Hz).
 IR(CHCl₃): 3516, 3453, 3029, 3009, 2925, 2870, 2840, 2665, 1708, 1650, 1593, 1515, 1493, 1482 /cm.

10 $[\alpha]_D = +57.8^\circ$ (MeOH, c=1.00, 23°C).

No.2a-170

CDCl₃ 300MHz

0.98(1H,d,J=10.2Hz), 1.15 and 1.24(each 3H,each s), 1.52-2.50(14H,m), 4.2
 8(1H,m), 5.33-5.54(2H,m), 6.25(1H,d,J=8.2Hz), 7.38-7.44(2H,m), 7.74(1H,s), 7.81-7.86(2H,m).
 IR(CHCl₃): 3517, 3448, 3427, 3024, 3013, 2925, 2870, 2669, 1708, 1650, 1562, 1535, 1500 /cm.
 $[\alpha]_D = +61.6^\circ$ (MeOH, c=1.00, 23°C).

20

No.2a-171

CDCl₃ 300MHz

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.28(each 3H,each s),1.50-2.40(14H,m),2.69(3H,s),4.24(1H,m),5.35-5.51(2H,m),5.96(1H,d,J=8.7Hz),7.03 and 7.07 (each 1H,each d,J=5.4Hz).

5 IR(CHCl₃):3451,3031,3013,2925,2870,2666,1708,1647,1542,1497 /cm.
[α]_D=+51.2° (MeOH,c=1.00,23°C).

No.2a-173

CDCl₃ 300MHz

10 0.95(1H,d,J=10.2Hz),1.10 and 1.23(each 3H,each s),1.50-2.45(14H,m),4.22(1H,m),5.35-5.49(2H,m),6.05(1H,d,J=8.4Hz),7.26 and 7.75(each 1H,each d,J=1.5Hz).

IR(CHCl₃):3451,3011,3029,3011,2925,2870,1708,1652,1538,1500 /cm.
[α]_D=+50.6° (MeOH,c=1.01,23°C).

15

No.2a-174

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.13 and 1.23(each 3H,each s),1.52-2.50(14H,m),4.29(1H,m),5.35-5.51(2H,m),7.02(1H,d,J=8.4Hz),7.32 and 8.16(each 1H,each d,J=3.9Hz).

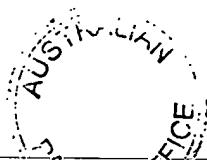
20 IR(CHCl₃):3417,3115,3023,3014,2925,2870,1708,1645,1530 /cm.
[α]_D=+48.8° (MeOH,c=1.02,23°C).

No.2a-175

25 CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.14 and 1.23(each 3H,each s),1.50-2.52(14H,m),2.52(3H,s),4.29(1H,m),5.34-5.51(2H,m),7.78(1H,d,J=9.0Hz),7.24 and 7.52 (each 1H,each d,J=5.4Hz).

IR(CHCl₃):3329,3093,3023,3015,2924,2871,1708,1640,1526 /cm.



$[\alpha]_D = +45.0^\circ$ (MeOH, $c=1.01, 23^\circ\text{C}$).

No.2a-176

CDCl₃ 300MHz

5 0.95(1H,d,J=10.5Hz), 1.09 and 1.23(each 3H,each s), 1.52-2.46(14H,m), 2.40(3H,d,J=0.9Hz), 4.24(1H,m), 5.35-5.51(2H,m), 6.05(1H,d,J=8.7Hz), 6.95(1H,m), 7.57(1H,d,J=3.3Hz).

IR(CHCl₃): 3517, 3444, 3103, 3024, 3013, 2926, 2870, 1739, 1708, 1649, 1636, 1507/cm.

10 $[\alpha]_D = +54.8^\circ$ (MeOH, $c=1.01, 23^\circ\text{C}$).

m.p. 97.0-99.0°C

No.2a-177

CDCl₃ 300MHz

15 0.97(1H,d,J=10.2Hz), 1.11 and 1.23(each 3H,each s), 1.52-2.45(14H,m), 3.93(3H,s), 4.27(1H,m), 5.34-5.50(2H,m), 6.35(1H,d,J=3.3Hz), 7.80(1H,d,J=8.7Hz), 8.10(1H,d,J=3.3Hz).

IR(CHCl₃): 3395, 3121, 3031, 3019, 3012, 2925, 2871, 1739, 1709, 1640, 1557, 1533/cm.

20 $[\alpha]_D = +22.8^\circ$ (MeOH, $c=1.01, 23^\circ\text{C}$).

m.p. 109.0-112.0°C

No.2a-178

CDCl₃ 300MHz

25 0.96(1H,d,J=10.5Hz), 1.10 and 1.23(each 3H,each s), 1.51-2.45(14H,m), 4.24(1H,m), 5.35-5.50(2H,m), 6.09(1H,d,J=8.4Hz), 7.17-7.31(6H,m), 7.95(1H,d,J=1.5Hz).

$[\alpha]_D = +47.9^\circ$ (MeOH, $c = 1.01$, 25°C).

No.2a-179

CDCl₃ 300MHz

5 0.96(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.52-2.48(14H,m), 4.30(1H,m), 5.36-5.52(2H,m), 6.73(1H,d,J=9.0Hz), 6.26 and 7.37(each 1H,each d,J=6.0Hz).

IR(CHCl₃): 3509, 3429, 3115, 3094, 3025, 3014, 2925, 2871, 2666, 1708, 1649, 1529, 1510 /cm.

10 $[\alpha]_D = +51.0^\circ$ (MeOH, $c = 1.02$, 25°C).

No.2a-180

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz), 1.14 and 1.24(each 3H,each s), 1.52-2.46(14H,m), 3.89(3H,s), 4.21(1H,m), 5.35-5.50(2H,m), 6.05(1H,d,J=8.4Hz), 6.46 and 7.04 (each 1H,each d,J=1.8Hz).

IR(CHCl₃): 3516, 3450, 3114, 3031, 3010, 2925, 2871, 1708, 1648, 1546, 1511, 1477 /cm.

$[\alpha]_D = +49.1^\circ$ (MeOH, $c = 1.01$, 25°C).

20

No.2a-181

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz), 1.14 and 1.23(each 3H,each s), 1.52-2.48(14H,m), 2.42(3H,s), 4.31(1H,m), 5.34-5.52(2H,m), 8.07(1H,d,J=9.3Hz), 7.27 and 8.17 (each 1H,each d,J=3.3Hz).

IR(CHCl₃): 3510, 3301, 3112, 3023, 3007, 2924, 2871, 2663, 1708, 1636, 1534 /cm.

$[\alpha]_D = +41.0^\circ$ (MeOH, $c = 0.96$, 25°C).

No.2a-182



CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.46(14H,m),2.51(3H,s),4.21(1H,m),5.35-5.51(2H,m),6.05(1H,d,J=8.1Hz),7.26 and 7.78 (each 1H,each d,J=1.8Hz).

5 IR(CHCl₃):3509,3450,3109,3024,3012,2925,2870,2666,1708,1650,1535,1498,1471 /cm.

[α]_D=+52.9° (MeOH,c=0.95,25°C).

No.2a-183

10 CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.12 and 1.22(each 3H,each s),1.52-2.46(14H,m),4.25(1H,m),5.33-5.51(2H,m),6.17(1H,d,J=8.7Hz),7.01-7.05(3H,m),7.14 and 7.62(each 2H,each d,J=8.7Hz),7.27-7.34(2H,m).

IR(CHCl₃):3428,3026,3015,2925,2870,2666,1739,1708,1643,1613,1594,1526,

15 1499 /cm.

[α]_D=+64.8° (MeOH,c=1.02,23°C).

No.2a-184

CDCl₃ 300MHz

20 1.01(1H,d,J=10.2Hz),1.18 and 1.26(each 3H,each s),1.55-2.50(14H,m),4.2

4(1H,m),5.35-5.54(2H,m),6.36(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.50(1H,m),7.57-7.59(2H,m),7.79(1H,dd,J=1.8 and 8.1Hz),7.99(1H,d,J=7.8Hz),8.39(1H,d,J=1.8Hz).

IR(CHCl₃):3451,3030,3020,2870,2665,1708,1652,1632,1603,1586,1514,1469,

5 1448 /cm.

[α]_D=+59.4° (MeOH,c=1.01,24°C).

No.2a-186

CDCl₃ 300MHz

10 1.00(1H,d,J=10.5Hz),1.17 and 1.25(each 3H,each s),1.54-2.50(14H,m),4.3
3(1H,m),5.35-5.54(2H,m),6.37(1H,d,J=8.7Hz),7.37(1H,t,J=7.4Hz),7.51(1H,t,
J=7.8Hz),7.56(1H,m),7.70(1H,dd,J=1.2 and 8.4Hz),7.97(3H,m).

IR(CHCl₃):3451,3030,3014,2924,2870,2671,1739,1708,1652,1577,1517,1488,
1471 /cm.

15 [α]_D=+72.2° (MeOH,c=1.00,24°C).

No.2a-187

CDCl₃ 300MHz

1.00(1H,d,J=9.8Hz),1.18 and 1.25(each 3H,each s),1.54-2.53(14H,m),4.07(20
3H,s),4.37(1H,m),5.30-5.54(2H,m),7.34(1H,m),7.47(1H,s),7.47-7.60(2H,m),7.
93(1H,d,J=7.8Hz),8.43(1H,s),8.49(1H,d,J=9.0Hz).

IR(CHCl₃):3397,3074,3027,3020,3009,2924,1738,1708,1647,1633,1534,1465,
1453 /cm.

[α]_D=+43.7° (MeOH,c=1.01,25°C).

25

No.2a-188

CDCl₃ 300MHz

0.97(1H,d,J=10.2Hz),1.11 and 1.23(each 3H,each s),1.53-2.50(14H,m),4.2
3(1H,m),5.37-5.50(2H,m),6.10(1H,d,J=9.0Hz),6.20(1H,m),6.51(1H,m),6.97(1



H,m),10.81(1H,brs).

IR(CHCl₃):3450,3236,3112,3029,3015,2925,2871,2645,1701,1616,1558,1516
/cm.

[α]_D=+50.6° (MeOH,c=1.01,24°C).

5

No.2a-189

CDCl₃ 300MHz

0.94(1H,d,J=9.9Hz),1.11 and 1.23(each 3H,each s),1.50-2.46(14H,m),3.93(3H,s),4.18(1H,m),5.35-5.52(2H,m),6.03(1H,d,J=9.3Hz),6.09(1H,m),6.48(1H,
10 m),6.73(1H,m).

IR(CHCl₃):3452,3102,3028,3007,2925,2871,2666,1739,1708,1650,1536,1499,
1471 /cm.

[α]_D=+49.8° (MeOH,c=1.01,23°C).

m.p.101.5-103.5°C

15

No.2a-190

CDCl₃ 300MHz

0.94(1H,d,J=10.2Hz),1.11 and 1.21(each 3H,each s),1.54-2.47(14H,m),4.2
3(1H,m),5.33-5.52(2H,m),6.06(1H,d,J=9.0Hz),6.34(1H,m),6.75(1H,m),6.36(1
20 H,m),9.71(1H,brs).

IR(CHCl₃):3470,3215,3030,3020,3010,2925,2871,2664,1709,1613,1564,1510/
cm.

[α]_D=+43.3° (MeOH,c=1.01,24°C).

IR(CHCl₃):3452,3031,3018,3006,2925,2871,2662,1736,1710,1634,1609,1556, 1498 /cm.

[α]_D=+43.1° (MeOH,c=1.01,23°C).

5 No.2a-192

CDCl₃ 300MHz

0.96(1H,d,J=10.5Hz),1.11 and 1.21(each 3H,each s),1.43(3H,t,J=7.5Hz),1. 54-2.44(14H,m),3.93(2H,q,J=7.5Hz),4.21(1H,m),5.33-5.51(2H,m),5.94(1H,d, J=8.4Hz),6.27(1H,dd,J=1.8 and 2.7Hz),6.62(1H,t,J=2.7Hz),7.26(1H,t,J=1.8 Hz).

10 Hz).

IR(CHCl₃):3630,3452,3032,3018,3006,2925,2871,2661,1735,1710,1633,1610, 1555,1497 /cm.

[α]_D=+40.1° (MeOH,c=1.00,23°C).

15 No.2a-193

CDCl₃ 300MHz

0.95(1H,d,J=10.2Hz),1.10 and 1.22(each 3H,each s),1.53-2.49(14H,m),2.5 8(3H,s),4.21(1H,m),5.35-5.54(2H,m),6.15(1H,d,J=8.1Hz),6.52(1H,dd,J=1.8 and 3.6Hz),7.29(1H,t,J=3.6Hz),7.94(1H,t,J=1.8Hz).

20 IR(CHCl₃):3516,3450,3410,3152,3027,3015,2925,2871,2670,1732,1648,1574, 1509 /cm.

[α]_D=+45.0° (MeOH,c=1.01,25°C).

No.2a-194

25 CDCl₃ 300MHz

0.99(1H,d,J=10.2Hz),1.11 and 1.24(each 3H,each s),1.52-2.53(14H,m),4.3 4(1H,m),5.33-5.57(2H,m),6.21(1H,d,J=8.6Hz),7.35-7.50(2H,m),7.83(1H,s),7. 86(1H,m),8.31(1H,m).

IR(CHCl₃):3443,3067,3013,2925,2870,2665,1708,1651,1515,1493 /cm.

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$[\alpha]_D = +55.7^\circ$ (MeOH, $c = 1.01$, 23°C).

No.2a-195

CDCl₃ 300MHz

5 1.01(1H,d,J=10.0Hz), 1.06 and 1.26(each 3H,each s), 1.50-2.64(14H,m), 2.68(3H,s), 4.40(1H,m), 5.36-5.61(2H,m), 6.02(1H,d,J=9.4Hz), 7.30-7.42(2H,m), 7.73-7.86(2H,m).

IR(CHCl₃): 3510, 3434, 3062, 3029, 3014, 2924, 2871, 2669, 1708, 1650, 1563, 1539, 1500 /cm.

10 $[\alpha]_D = +72.4^\circ$ (MeOH, $c = 1.00$, 23°C).

m.p. 111.0-112.0°C

No.2a-196

CDCl₃ 300MHz

15 0.42 and 1.04(each 3H,each s), 0.80(1H,d,J=10.0Hz), 1.11-2.48(14H,m), 2.24(3H,s), 4.02(1H,m), 5.23-5.44(2H,m), 5.53(1H,d,J=8.8Hz), 7.27-7.31(2H,m), 7.42-7.48(3H,m), 7.93(1H,s).

IR(CHCl₃): 3419, 3114, 3025, 3006, 2924, 2871, 2662, 1737, 1709, 1636, 1540, 1519 /cm.

No.2a-198

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.50-2.44(14H,m),4.2
 4(1H,m),4.42(2H,s),5.35-5.49(2H,m),6.25(1H,d,J=8.1Hz),7.33(1H,m),7.43(1
 5 H,dd,J=1.5 and 7.5Hz),7.49(1H,d,J=8.1Hz),7.60-7.63(1H,m),7.68(1H,dd,J=1.
 8 and 7.8Hz),8.02(1H,d,J=1.8Hz),8.19(1H,dd,J=1.5 and 8.1Hz).
 IR(CHCl₃):3448,3030,3012,2925,2870,1739,1708,1671,1588,1559,1514,1472
 /cm.
 [α]_D=+56.9° (MeOH,c=1.01,24°C).

10

No.2a-199

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.11 and 1.22(each 3H,each s),1.51-2.46(14H,m),3.4
 0(1H,m),3.76(1H,m),4.24(1H,m),5.33-5.51(3H,m),6.25(1H,m),7.16(1H,m),7.2
 15 4-7.33(2H,m),7.46(1H,d,J=7.5Hz),7.52-7.60(2H,m),7.85(1H,dd,J=1.8 and 4.
 5Hz).
 IR(CHCl₃):3583,3447,3062,3028,3013,2924,2871,2663,1708,1651,1600,1557,
 1514,1471 /cm.
 [α]_D=+54.8° (MeOH,c=1.00,23°C).

20

No.2a-200

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.12 and 1.23(each 3H,each s),1.51-2.46(14H,m),4.2
 5(1H,m),5.34-5.51(2H,m),6.25(1H,d,J=8.4Hz),7.02 and 7.10(each,1H,each
 25 d,J=12.3Hz),7.23-7.33(4H,m),7.50(1H,m),7.64(1H,dd,J=1.8 and 7.8Hz),7.8
 2(1H,d,J=1.8Hz).
 IR(CHCl₃):3450,3060,3025,3014,2925,2871,2662,1708,1653,1596,1542,1513,
 1473 /cm.
 [α]_D=+62.5° (MeOH,c=1.00,24°C).



No.2a-201

CDCl₃ 300MHz

0.95(1H,d,J=9.9Hz),1.15 and 1.22(each 3H,each s),1.55-2.60(14H,m),4.26(5 1H,m),5.35-5.63(2H,m),7.14(1H,d,J=9.9Hz),7.34 and 7.40(each,1H,each d,
J=12.9Hz),7.62-7.73(4H,m),8.25-8.30(2H,m),8.72(1H,d,J=1.5Hz).

IR(CHCl₃):3443,3389,3297,3061,3030,3016,2925 2870,1726,1708 1652,1603,1521,1483,1472,1309 /cm.

[α]_D=+61.1° (MeOH,c=1.01,23°C).

10

No.2a-202

CDCl₃ 300MHz

0.96(1H,d,J=10.2Hz),1.09 and 1.22(each 3H,each s),1.52-2.43(14H,m),2.63(3H,s),4.25(1H,m),5.33-5.49(2H,m),6.19(1H,d,J=8.4Hz),7.10 and 7.58 (15 each,2H,each d,J=9.0Hz),7.21(1H,m),7.30-7.32(2H,m),7.46(1H,d,J=7.5Hz)
IR(CHCl₃):3511,3453,3062,3032,3014,2925 2870,1739,1708,1650,1595,1556,1516,1482,1471 /cm.

[α]_D=+60.2° (MeOH,c=1.01,25°C).

[α]_D = +25.6° (MeOH, c = 1.01, 23°C).

No.2b-2

[α]_D = +38.9° (MeOH, c = 1.01, 24°C).

5

No2c-1

[α]_D = +60.5° (MeOH, c = 1.01, 22°C).

No.2c-2

10 [α]_D = +55.8° (MeOH, c = 0.92, 22°C).

No.2c-3

[α]_D = +54.7° (MeOH, c = 1.01, 22°C).

15 No.2d-1

[α]_D = -6.2° (MeOH, c = 1.00, 21°C).

No.2d-2

[α]_D = +15.8° (MeOH, c = 0.34, 22°C).

20

No.2d-3

[α]_D = +31.6° (MeOH, c = 1.01, 22°C).

No.2e-1

25 [α]_D = -9.4° (MeOH, c = 1.00, 22°C).

No.2e-2

[α]_D = -1.8° (MeOH, c = 1.02, 23°C).



No.2e-3

[α]_D = -6.7° (MeOH, c=1.01, 23°C).

No.2f-1

5 [α]_D = +6.8° (MeOH, c=1.01, 23°C).

No.2f-2

[α]_D = -2.6° (MeOH, c=1.00, 22°C).

10 No.2f-3

[α]_D = -3.5° (MeOH, c=1.01, 22°C).

No.2g-1

[α]_D = +54.6° (MeOH, c=1.01, 24°C).

15

No.3a-2

CDCl₃ 300MHz

0.98-2.15(14H, m), 2.31(2H, t, J=7.2Hz), 2.35-2.40(1H, m), 3.10-3.20(1H, m),

5.00(1H, d, J=6.9Hz), 5.30-5.48(2H, m), 6.75(1H, d, J=10.2Hz), 7.38-7.52(6H, m).

/cm.

$[\alpha]_D = +2.3 \pm 0.4^\circ$ (CHCl₃, c = 1.03, 22°C).

mp. 65-66.5°C

5 No.3a-4

CDCl₃ 300MHz

0.93-2.05(14H,m), 2.15-2.22(1H,m), 2.31(2H,t,J=7.2Hz), 3.01-3.10(1H,m),
5.18-5.31(3H,m), 7.38-7.52(3H,m), 7.58-7.66(2H,m), 7.69-7.76(2H,m), 7.92-
7.98(2H,m)

10 IR(CHCl₃): 3374, 3260, 3020, 2948, 2868, 1708, 1594, 1479, 1396, 1319, 1156, 1095,
1052, 891/cm.

$[\alpha]_D = +13.1 \pm 0.5^\circ$ (CHCl₃, c = 1.16, 24°C).

No.3a-6

15 CD₃OD 300MHz

1.04-1.95(14H,m), 2.07(2H,t,J=7.8Hz), 2.14-2.22(1H,m), 2.94-3.00(1H,m),
5.04-5.25(2H,m), 7.36-7.52(3H,m), 7.66-7.71(2H,m), 7.78-7.85(2H,m), 7.91-
7.97(2H,m).

IR(KBr): 3421, 3278, 2951, 2872, 1562, 1481, 1409, 1317, 1156, 1097, 1057, 895/cm

20

$[\alpha]_D = -15.3 \pm 0.5^\circ$ (CHCl₃, c = 1.06, 23°C).

mp. 105-112°C

No.3a-11

25 CDCl₃ 300MHz

0.90-2.04(14H,m), 2.08-2.19(1H,m), 2.35(2H,t,J=7.2Hz), 2.95-3.04(1H,m),
5.17-5.32(3H,m), 7.56-7.63(2H,m), 7.83-7.95(2H,m).

IR(CHCl₃): 3260, 3020, 2948, 2868, 1707, 1569, 1456, 1383, 1325, 1268, 1160, 1088,
1053, 1006, 892/cm.



$[\alpha]_D = +8.3 \pm 0.5^\circ$ (CHCl₃, c = 1.00, 22°C).

No.3a-16

CDCl₃ 300MHz

5 0.80-1.90(14H,m), 1.98-2.04(1H,m), 2.27(2H,t,J=7.2Hz), 2.88(6H,s), 2.90-2.98(1H,m), 4.88-5.00(2H,m), 5.13(1H,d,J=7.2Hz), 7.18(1H,d,J=7.5Hz), 7.48-7.60(2H,m), 8.25-8.33(2H,m), 8.53(1H,d,J=8.7Hz).

IR(CHCl₃): 3272, 3020, 2946, 2866, 2782, 1708, 1573, 1455, 1407, 1311, 1229, 1160, 1142, 1070, 942, 891/cm.

10 $[\alpha]_D = -19.7 \pm 0.6^\circ$ (CHCl₃, c = 1.08, 23.5°C).

No.3a-31

CDCl₃ 300MHz

0.80-1.85(14H,m), 2.02-2.08(1H,m), 2.20(2H,t,J=7.2Hz), 2.85-2.95(1H,m),

15 3.68(3H,s), 4.80-4.92(2H,m), 4.96(1H,d,J=6.9Hz), 7.50-7.70(3H,m), 7.92-7.98(1H,m), 8.07(1H,d,J=8.4Hz), 8.29(1H,dd,J=1.5&7.5Hz), 8.65(1H,d,J=8.7Hz).

IR(CHCl₃): 3374, 3016, 2946, 2868, 1727, 1506, 1435, 1318, 1160, 1133, 1105, 1051, 984, 890/cm.

No.3a-33

CD₃OD 300MHz

0.94-1.84(14H,m),1.96-2.08(3H,m),2.77-2.84(1H,m),4.67-4.84(2H,m),7.55-
 5 7.75(3H,m),8.02(1H,d,J=7.8Hz),8.12-8.26(2H,m),8.74(1H,d,J=8.7Hz).
 IR(KBr):3432,3298,2951,2872,1564,1412,1315,1159,1134,1107,1082,1058,
 986/cm.
 $[\alpha]_D = -79.9 \pm 1.2^\circ$ (CH₃OH, c=1.00, 23°C).

10 No.3a-34

CDCl₃ 300MHz

0.97-1.91(14H,m),2.13-2.20(1H,m),2.42(2H,t,J=7.2Hz),3.00-3.07(1H,m),
 5.06-5.24(2H,m),5.33(1H,d,J=6.9Hz),7.57-7.68(2H,m),7.82-8.00(4H,m),
 8.45(1H,d,J=1.2Hz)
 15 IR(CHCl₃):3260,3020,2948,1708,1408,1319,1154,1129,1073,953,893/cm.
 $[\alpha]_D = +20.7 \pm 0.6^\circ$ (CHCl₃, c=1.07, 22°C).

No.3a-35

CD₃OD 300MHz

20 1.03-2.20(m,17H),2.97(m,1H),5.02(m,2H),7.64(m,2H),8.00(m,4H),8.43
 (S,1H).
 IR(KBr):3360,3285,1562,1407,1316,1153,1130,1075/cm.
 $[\alpha]_D \doteq 0$
 $[\alpha]_{365} = +20.9 \pm 0.6^\circ$ (CH₃OH, c=1.04, 23°C).

25

No.3d-1

CDCl₃ 300MHz

0.93-2.55(m,17H),3.02(m,1H),5.24(m,2H),6.48(m,1H),7.35-7.60(m,3H),7.85-
 8.00(m,2H)



IR(Nujol): 3275, 1548, 1160, 1094, 758, 719, 689, 591, 557/cm.

$[\alpha]_D = +19.0 \pm 0.6^\circ$ (CH₃OH, c=1.010, 26.5°C).

Elemental analysis (C₂₀H₂₆NO₄S 1/2Ca 1.0 H₂O)

Calcd.: C, 57.94; H, 6.82; N, 3.38; Ca, 4.83; H₂O, 4.35

5 Found: C, 57.80; H, 6.68; N, 3.68; Ca, 5.06; H₂O, 4.50

No.3d-6

$[\alpha]_D = -20.7 \pm 0.6^\circ$ (CHCl₃, c=1.00, 24°C).

10 No.3d-7

$[\alpha]_D = -3.2 \pm 0.4^\circ$ (CHCl₃, c=1.03, 22°C).

mp. 65-67°C

No.3d-8

15 $[\alpha]_D = -14.5 \pm 0.5^\circ$ (CHCl₃, c=1.07, 24°C).

No.3d-9

$[\alpha]_D = +12.2 \pm 0.5^\circ$ (CH₃OH, c=1.00, 23°C).

mp. 119-125°C

20

No.3d-10

$[\alpha]_D = +39.7 \pm 0.8^\circ$ (CHCl₃, c=1.07, 22°C).

No.3d-11

25 $[\alpha]_D = +29.2 \pm 0.7^\circ$ (CHCl₃, c=1.06, 22°C).

No.3d-12

$[\alpha]_D = +76.4 \pm 1.1^\circ$ (CH₃OH, c=1.03, 24°C).



No.3d-14

$[\alpha]_D = -20.6 \pm 0.6^\circ$ (CHCl₃, c = 1.07, 22°C).

No.3d-15

5 $[\alpha]_{365} = -28.0 \pm 0.7^\circ$ (CH₃OH, c = 1.03, 24.5°C).

No.3d-16

$[\alpha]_D = -8.7 \pm 0.5^\circ$ (CHCl₃, c = 1.06, 22°C).

10 No.3d-17

CDCl₃ 300MHz

0.80-2.15(m, 24H), 2.32(t, J = 7Hz, 2H), 2.68(t, J = 7Hz, 2H), 3.02(m, 1H), 2.15
(m, 24H), 2.32(t, J = 7Hz, 2H), 2.68(t, J = 7Hz, 2H), 3.02(m, 1H), 5.22(m, 2H), 5.38(d,
J = 7Hz, 1H), 7.30(A2B2q-Apart, J = 8Hz, 2H), 7.81(A2B2qBpart, J = 8Hz, 2H),

15 9.86 (brs, 1H).

$[\alpha]_D \doteq 0$

$[\alpha]_{365} = -9.7 \pm 0.5^\circ$ (CHCl₃, c = 1.03, 22°C).

No.3d-24

20 $[\alpha]_D = +19.2 \pm 0.6^\circ$ (CHCl₃, c = 1.05, 23°C).

No.3d-26

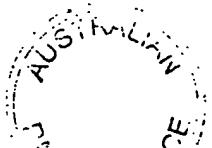
CD₃OD 300MHz

0.90-2.20(20H, m), 2.88(1H, m), 3.07(2H, q, J = 7.0Hz), 5.00-5.40(2H, m), 7.20-
25 7.60(4H, m), 7.95(1H, m).

IR(KBr): 3415, 3254, 1698, 1564, 1314, 1154/cm.

No.3d-28

CD₃OD 300MHz



0.90-2.20(20H,m),2.73(2H,q,J=7.0Hz),2.93(1H,m),5.00-5.30(2H,m),7.40-7.50(2H,m),7.60-7.77(2H,m).

IR(KBr):3435,3280,1562,1323,1304,1151/cm.

5 No.3d-30

Elemental analysis ($C_{20}H_{25}BrNO_4SNa$)

Calcd.: C50.21;H5.27;Br16.70;N2.93;S6.70;Na4.81

Found: C50.22;H5.40;Br15.57;N2.88;S6.41;Na5.10

IR(KBr):3425,3280,3085,1697,1570,1410,1321,1165,1155/cm.

10

No.3e-1

CD₃OD 300MHz

0.71(1H,d,J=10.2Hz),1.04(3H,s),1.12(3H,s),1.35-2.28(14H,m),
2.42(3H,s),3.17-3.25(1H,m),5.18-

15 5.39(2H,m),7.37(2H,d,J=8.4Hz),7.75(2H,d,J=8.4Hz).

IR(CHCl₃):3400,3289,2986,2924,2870,1559,1424,1322,1305,1160,1095,1075,
1030/cm.

$[\alpha]_D = +25.9 \pm 0.7^\circ$ (CH₃OH, c=1.00, 23°C).



Compounds prepared in Examples above were tested for the in vivo and in vitro activity according to the method shown in Experimental Examples below.

5 Experiment 1 Binding to PGD₂ Receptor

Material and Method

(1) Preparation of Human Platelet Membrane Fraction

Blood sample was obtained using a plastic syringe containing 3.8 % sodium citrate from a venous of healthy 10 volunteers (adult male and female), put into a plastic test tube and mixed gently by inversion. The sample was then centrifuged at 1800 rpm, 10 min at room temperature, and supernatant containing PRP (platelet rich plasma) was collected. The PRP was re-centrifuged at 2300 rpm, 22 min at 15 room temperature to obtain platelets. The platelets were homogenized using a homogenizer (Ultra-Turrax) followed by centrifugation 3 times at 20,000 rpm, 10 min at 4°C to obtain platelet membrane fraction. After protein determination, the membrane fraction was adjusted to 2 mg/ml and preserved in a 20 refrigerator at -80°C until use.

(2) Binding to PGD₂ Receptor

To a binding-reaction solution (50 mM Tris/HCl, pH 7.4, 5 mM MgCl₂) (0.2 ml) were added human platelet membrane fraction (0.1 mg) and 5 nM [³H]PGD₂ (115Ci/mmol), and reacted at 25 4°C for 90 min. After the reaction completed, the reaction mixture was filtered through the glass fiber filter paper, washed several times with cooled saline, and measured

radioactivity retained on the filter paper. The specific binding was calculated by subtracting the non-specific binding (the binding in the presence of 10 μ M PGD₂) from the total binding. The binding-inhibitory activity of each compound was 5 expressed as concentration required for 50 % inhibition (IC₅₀), which was determined by depicting a substitution curve by plotting the binding ratio (%) in the presence of each compound, where the binding ratio in the absence of a test compound is 100 %. The results are shown in Table below.

10	Compound number	Activity (μ M)	Compound number	Activity (μ M)
	3a-4	0.6	2a-4	0.54
	1a-115	8.6	2a-17	0.12
	1a-28	0.045	2a-21	5.2
	1a-47	0.0086	2a-28	0.046
15	1a-100	0.56	2a-95	1.6
	1a-176	0.047	2a-109	0.003
	1a-2	0.13	1a-162	0.027

10⁸/ml was warmed at 37°C, and then subjected to the pre-treatment with 3-isobutyl-1-m thylxanthine (0.5mM) for 5 min. To the suspension was added a test compound diluted at various concentration. Ten-minute later, the reaction was induced by 5 the addition of 0.1 -2.0 μ M PGD₂ and, 15-minute later, stopped by the addition of HCl. The platelet was destroyed with an ultrasonic homogenizer. After centrifugation, the cAMP in the supernatant was determined by radioassay. PGD₂ receptor antagonism of a drug was evaluated as follows. The inhibition 10 rate regarding cAMP increased by the addition of PGD₂ was determined at individual concentration, and then the concentration of the drug required for 50 % inhibition (IC₅₀) was calculated. The results are shown in Table below.

15	Compound number	Inhibition of Increase of Human Platelet cAMP (IC ₅₀) (μ M)
	3a-16	0.37
	1a-12	12.11
	1a-28	0.30
20	1a-47	2.09
	2a-2	0.77
	2a-4	0.94
	2a-35	1.52
25	2a-75	0.71

Experiment 3 Experiment Using Nasal Occlusion Model

The method used for measuring the nasal cavity 30 resistance and evaluating the anti-nasal occlusion using a guinea pig are described below.



A 1% ovalbumin (OVA) solution was treated with ultrasonic nebulizer to obtain an aerosol. Hartley male guinea pig was sensitized by inhaling twice the aerosol for 10 min at one-week interval. Seven-day after the sensitization, the 5 guinea pig was exposed to an antigen to initiate the reaction. Then the trachea was incised under the anesthesia with pentobarbital (30 mg/kg, i.p.) and cannulas were inserted into the trachea at the pulmonary and nasal cavity sides. The canal inserted at the pulmonary side was connected with an artificial 10 respirator that provides 4 ml air 60 times/min. After arresting the spontaneous respiration of a guinea pig with Garamin (2 mg/kg, i.v.), air was supplied to the snout side with an artificial respirator at the frequency of 70 times/min, and the flow rate of 4 ml air/time, and the atmospheric 15 pressure required for the aeration was measured by the use of a transducer fitted at the branch. The measurement was used as a parameter of the nasal cavity resistance. The exposure of an antigen was carried out by generating aerosol of 3 % OVA solution for 3 min between the respirator and nasal cavity

	Compound number	Inhibition Rate (%) 1 mg/kg (i.v.)	Remarks
5	1a-28	44	
	1a-98	69	
	1a-100	50	
	1a-115	66	
	1a-116	48	
	1a-120	58	3mg/kg (i.v.)
10	1a-2	82	
	1a-162	80	
	1a-176	60	
	1a-267	62	
	2a-4	60	
15	2a-21	52	
	2a-28	54	
	2a-95	77	
	2a-96	77	10mg/kg(p.o.)
	2a-109	73	
20	2a-110	66	10mg/kg(p.o.)
	<u>22a-194</u>	79	

Formulation 1 Preparation of Tablets

Tablets each containing 40 mg of active ingredient
 25 were prepared in a conventional manner. The ingredients for 40 mg tablet are as follows:

Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-	
benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-	
5-heptenoate dihydrate	40.0 mg
30 Hydroxypropyl cellulose	3.6 mg
Magnesium stearate	0.4mg
Cornstarch	18.0 mg
Lactose	<u>58.0 mg</u>
	Total 120.0 mg

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1977

Formulation 2 Preparation of Granules

Ingredients:

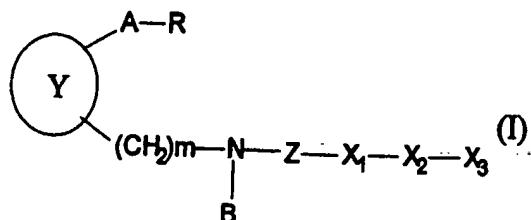
	Calcium (+)-(Z)-7-[(1R,2S,3S,4S)-3-	
5	benzenesulfonamidobicyclo[2.2.1]hept-2-yl]-	
	5-heptenoate dihydrate	100.0 mg
	Hydroxypropyl cellulose	30.0 mg
	Carmellose Calcium	30.0 mg
	Talc	10.0 mg
10	Poloxamer 188	20.0 mg
	Crystalline cellulose	70.0 mg
	Cornstarch	300.0 mg
	Lactose	<u>440.0 mg</u>
	Total	1000.0 mg

Throughout this specification and the claims which follow, unless the context requires otherwise, the word "comprise", and variations such as "comprises" and "comprising", will be understood to imply the inclusion of a stated integer or step or group of integers or steps but not the exclusion of any other integer or step or group of integers or steps.

THE CLAIMS DEFINING THE INVENTION ARE AS FOLLOWS:

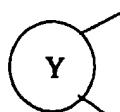
1. A compound of the general formula (I) below or its salt or a hydrate thereof as an active ingredient:

5



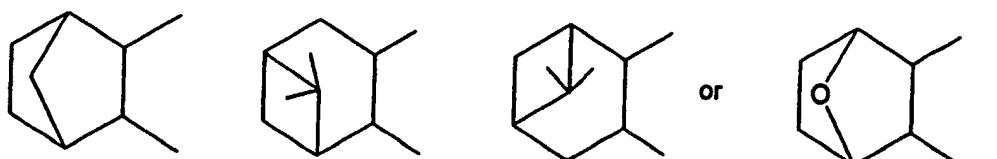
wherein

10



is

15



A is alkylene which optionally:

20

- (i) is intervened by hetero atom or phenylene,
- (ii) contains a carbonyl group, and/or
- (iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR₁, CH₂OR₂ or CON(R₃)R₄;

25

R₁ is hydrogen or alkyl;

R₂ is hydrogen or alkyl;

R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

30

X₁ is a single bond, phenylene, naphtyl ne, thiophenediyl,



indol diyl, or oxazolediyl;

X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-,
-C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -
(CH₂)n-, ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -
N(R₅₃)CON(R₅₄)-, -CON(R₅₅)- -SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-,

5 oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group,
cycloalkyl, cycloalkenyl, thiazolinylidenemethyl,
thiazolidinylidenemethyl, -CH=NR₆ or -N=C(R₇)R₈;

10 R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R₆ is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy,
thiocarbamoyloxy, ureido or thioureido;

R₇ and R₈ each are independently alkyl, alkoxy, or aryl;

n is 1 or 2;

15 z is -SO₂- or -CO-; and

m is 0 or 1;

wherein a cyclic substituent may has one to three substituents

selected from the group consisting of nitro, alkoxy, sulfamoyl,

20 substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy,

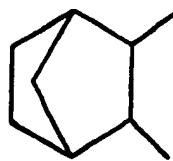
halogen, alkyl, alkynyl, carboxy, alkoxycarbonyl,

aralkoxycarbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy,

hydroxyalkyl, trifluorom thyl, alkylthio, -N=PPh₂, oxo, thioxo,

hydroxyimino, alkoxyimino, phenyl and alkylenedioxy, when used as a PGD, antagonist.

is



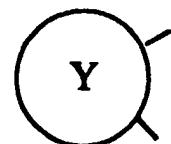
;

m is 0; z is SO_2 , both X_1 and X_2 are a single bond; X_3 is alkyl, phenyl, naphthyl, styryl, quinolyl or thienyl; and a 5 cyclic substituent among these substituents optionally has one to three substituents selected from a group consisting of nitro, alkoxy, substituted- or unsubstituted-amino, halogen, alkyl and hydroxyalkyl, or its salt or hydrate thereof.

10

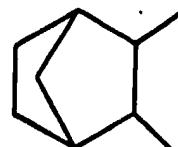
3. The compound of claim 1 wherein

15



20

is

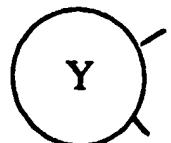


;

when m is 1, both X_1 and X_2 are a single bond; and X_3 is phenyl 15 optionally substituted with halogen, or its salt or hydrate thereof.

25

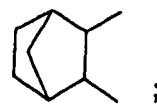
4. The compound of claim 1 wherein



20

is





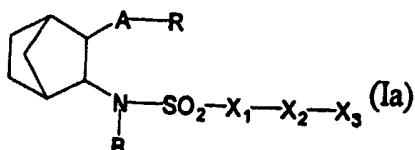
when m is 1, X_1 is phenylene, X_2 is $-\text{CH}_2-$ or $-\text{N}=\text{N}-$ and X_3 is phenyl, or its salt or hydrate thereof.

5

5. The compound of claim 1 which is the active ingredient in a drug for treating nasal occlusion.

6. A compound of the formula (Ia):

10



wherein A is alkylene which optionally:

- (i) is intervened by hetero atom or phenylene,
- 15 (ii) contains a carbonyl group, and/or
- (iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR_1 , CH_2OR_2 or $\text{CON}(\text{R}_3)\text{R}_4$;

20 R_1 is hydrogen or alkyl;

R_2 is hydrogen or alkyl;

R_3 and R_4 each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

25 X_1 is a single bond, phenylene, naphtylene, thiophenediyl, indolediyl, or oxazolediyl;

X_2 is a singl bond, $-\text{N}=\text{N}-$, $-\text{N}=\text{CH}-$, $-\text{CH}=\text{N}-$, $-\text{CH}=\text{N}-\text{N}-$, $-\text{CH}=\text{N}-\text{O}-$, $-\text{C}=\text{NNHCSNH}-$, $-\text{C}=\text{NNHCONH}-$, $-\text{CH}=\text{CH}-$, $-\text{CH}(\text{OH})-$, $-\text{C}(\text{Cl})=\text{C}(\text{Cl})-$, $-(\text{CH}_2)_n-$, ethynyl n, $-\text{N}(\text{R}_5)-$, $-\text{N}(\text{R}_{51})\text{CO}-$, $-\text{N}(\text{R}_{52})\text{SO}_2-$, $-\text{N}(\text{R}_{53})\text{CON}(\text{R}_{54})-$, $-\text{CON}(\text{R}_{55})-$, $-\text{SO}_2\text{N}(\text{R}_{56})-$, $-\text{O}-$, $-\text{S}-$, $-\text{SO}-$, $-\text{SO}_2-$, $-\text{CO}-$

30 , oxadiazol diyl, thiadiazol diyl or tetrazolediyl.

X_1 is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolynyldenemethyl, thiazolydinylden methyl, $-\text{CH}=\text{NR}_6$ or $-\text{N}=\text{C}(\text{R}_1)\text{R}_6$; R_5 , R_{51} , R_{52} , R_{53} , R_{54} , R_{55} and R_{56} each are hydrogen or alkyl;

5 R_6 is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido; R_7 and R_8 each are independently alkyl, alkoxy or aryl; and n is 1 or 2;

wherein a cyclic substituent may has one to three substituents

10 selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxy carbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl, trifluoromethyl, alkylthio, $-\text{N}=\text{PPh}_3$, oxo, thioxo, hydroxyimino,

15 alkoxyimino, phenyl and alkylene dioxy, or its salt or hydrate thereof, provided that those wherein (1) X_1 and X_2 are a single bond, and X_3 is substituted- or unsubstituted-phenyl, or naphthyl; (2) A is 5-heptenylene, R is COOR_1 (R_1 is hydrogen or methyl), X_1 is 1,4-phenylene, X_2 is a single bond, and X_3 is unsubstituted phenyl;

20 (3) X_1 and X_2 are a single bond, X_3 is methyl, n-hexyl, 2-cyclohexylethyl, benzyl, phenethyl, or substituted- or unsubstituted-alkenyl; and (4) X_1 and X_2 are a single bond, and X_3 is pyridyl are excluded.

7. The compound of claim 6, its salt or hydrate

25 thereof, wherein X_1 and X_2 are a single bond, X_3 is isoxazolyl, thiadiazolyl, isothiazolyl, morpholyl, indolyl, benzofuryl, dibenzofuryl, dibenzodioxynyl, benzothienyl, dibenzothienyl, carbazolyl, xanthenyl, phenanthridinyl, dibenzoxepinyl,



dibenzothiepanyl, cinnolyl, chromenyl, benzimidazolyl,
dihydrobenzothiepanyl, or dibenzopyranyl.

8, The compound of claim 6, its salt or hydrate
5 thereof,

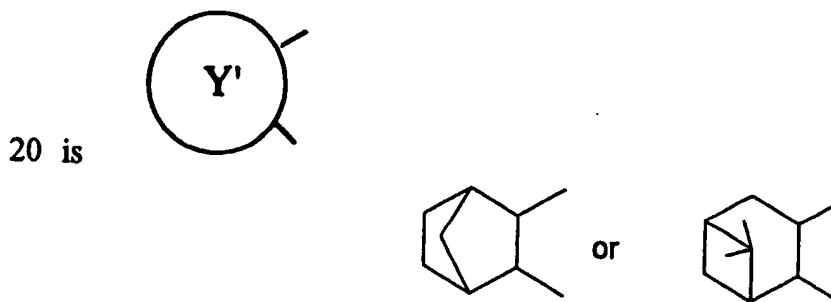
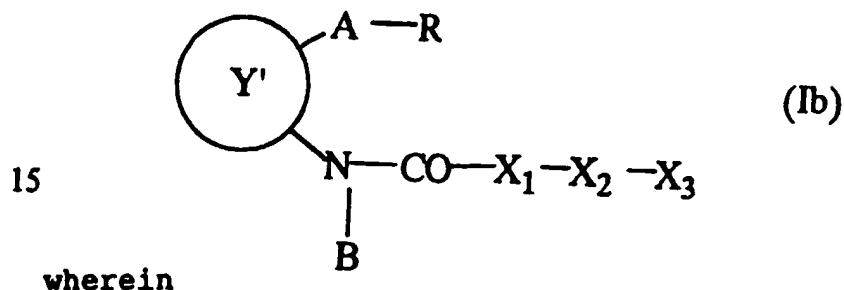
R
6
3
0
R
3
0
2



wherein X_2 is a singl bond, X_1 is phenylene, X_2 is alkenyl, alkynyl, $-\text{CH}=\text{NR}_6$ or $-\text{N}=\text{C}(\text{R}_1)\text{R}_8$.

9. The compound of claim 6, its salt or hydrate thereof, wherein R is COOR_1 , X_1 is phenylene, thiophenediyl or indolediyl, X_2 is a single bond, $-\text{N}=\text{N}-$, $-\text{CH}=\text{CH}-$, $-\text{CONH}-$, $-\text{NHCO}-$, ethynylene, $-\text{N}=\text{CH}-$, $-(\text{CH}_2)_n-$, $-\text{N}(\text{R}_5)-$, $-\text{O}-$, $-\text{S}-$, $-\text{SO}_2-$, $-\text{CO}-$, oxadiazolediyl or tetrazolediyl; and X_3 is phenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl, thienyl, cyclohexyl, 1-cyclohexenyl, 10 n-hexyl, indolyl or benzoxazolyl.

10. A compound of the formula (Ib):



wherein A is alkylene which optionally:

25 (i) is intervened by hetero atom or phenylene,
(ii) contains a carbonyl group, and/or
(iii) has one or more double- or triple- bonds at any one or more positions on the chain;

B is hydrogen, alkyl, aralkyl or acyl;

R is COOR_1 , CH_2OR_2 or $\text{CON}(\text{R}_3)\text{R}_4$;



R₁ is hydrogen or alkyl;

R₂ is hydrogen or alkyl;

R₃ and R₄ each are independently hydrogen, alkyl, hydroxy or alkylsulfonyl;

5 X₁ is a single bond, phenylene, naphtylene, thiophenediyl, indolediyl, or oxazolediyl;

X₂ is a single bond, -N=N-, -N=CH-, -CH=N-, -CH=N-N-, -CH=N-O-, -C=NNHCSNH-, -C=NNHCONH-, -CH=CH-, -CH(OH)-, -C(Cl)=C(Cl)-, -(CH₂)_n-, ethynylene, -N(R₅)-, -N(R₅₁)CO-, -N(R₅₂)SO₂-, -

10 N(R₅₃)CON(R₅₄)-, -CON(R₅₅)-, -SO₂N(R₅₆)-, -O-, -S-, -SO-, -SO₂-, -CO-, oxadiazolediyl, thiadiazolediyl or tetrazolediyl;

X₃ is alkyl, alkenyl, alkynyl, aryl, aralkyl, heterocyclic group, cycloalkyl, cycloalkenyl, thiazolinylidenemethyl, thiazolidinylidenemethyl, -CH=NR₆ or -N=C(R₇)R₈;

15 R₅, R₅₁, R₅₂, R₅₃, R₅₄, R₅₅ and R₅₆ each are hydrogen or alkyl;

R₆ is hydrogen, alkyl, hydroxy, alkoxy, carbamoyloxy, thiocarbamoyloxy, ureido or thioureido;

R₇ and R₈ each are independently alkyl, alkoxy or aryl; and n is 1 or 2;

20 wherein a cyclic substituent may have one to three substituents selected from the group consisting of nitro, alkoxy, sulfamoyl, substituted- or unsubstituted-amino, acyl, acyloxy, hydroxy, halogen, alkyl, alkynyl, carboxy, alkoxy carbonyl, aralkoxy carbonyl, aryloxycarbonyl, mesyloxy, cyano, alkenyloxy, hydroxyalkyl,

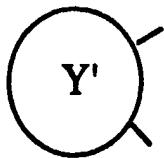
25 trifluoromethyl, alkylthio, -N=PPh₃, oxo, thioxo, hydroxyimino, alkoxyimino, phenyl and alkylenedioxy, or its salt or hydrate thereof, provided that those wherein X₁ and X₂ are a single bond, and X₃ is unsubstituted phenyl, and wherein X₁ is a single bond, X₂,



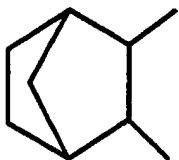
is -O-, and X, is benzyl or excluded.

11. The compound of claim 10, its salt or hydrate thereof,
wherein





is

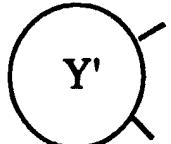


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12. The compound of claim 11, its salt or hydrate thereof, wherein R is COOR_1 .

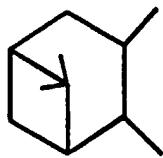
13. The compound of claim 11, its salt or hydrate thereof, wherein X_1 is phenylene or thiophenediyl, X_2 is a single bond, -
10 $\text{N}=\text{N}-$, $-\text{CH}=\text{CH}-$, ethynylene, $-\text{O}-$, $-\text{S}-$, $-\text{CO}-$, $-\text{CON}(\text{R}_{55})-$
 $-\text{N}(\text{R}_{51})\text{CO}-$ and X_3 is phenyl or thienyl.

14. The compound of claim 10, its salt or hydrate thereof, wherein



15

is



15. The compound of claim 14, its salt or hydrate thereof, wherein B is hydrogen, both X_1 and X_2 are a single bond, X_3 is thiaryl, thiiazolyl, thiadiazolyl, isothiazolyl, pyrrolyl,

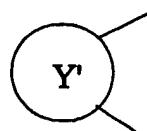
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pyridyl, benzofuryl, benzimidazolyl, benzothienyl, dibenzofuryl, dibenzothienyl, quinolyl or indolyl.

16. The compound of claim 14, its salt or hydrate thereof, wherein X_1 is phenylene,
5 thiophenediyl, indolediyl or oxazolediyl, X_2 is a single bond, $-N=N-$, $-CH=CH-$, ethynylene,
 $-S-$, or $-O-$, and X_3 is aryl or heterocyclic group.

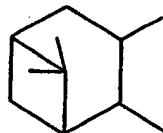
17. The compound of claim 10, its salt or hydrate thereof, wherein

10



is

15



A is alkylene which optionally: (i) contains a carbonyl group and/or (ii) has one or more double- or triple- bonds at any one or more positions on the chain; B is hydrogen; R is COOH or CH_2OH ; X_1 is a single bond; X_2 is a single bond; and X_3 is substituted- or unsubstituted-benzothienyl.

20

18. A compound according to claim 1 substantially as hereinbefore described with reference to any one of the Examples.

19. A compound according to claim 6 substantially as hereinbefore described with
25 reference to any one of the Examples.

20. A method of treating diseases involving mast cell dysfunction due to excessive production of PGD₂ including the step of administering to a subject in need thereof an effective amount of a compound according to claim 1.

5 21. Use of a compound according to claim 1 in the preparation of a medicament for the treatment of a disease involving mast cell dysfunction due to excessive production of PGD₂.

DATED this 28TH day of SEPTEMBER, 1999

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